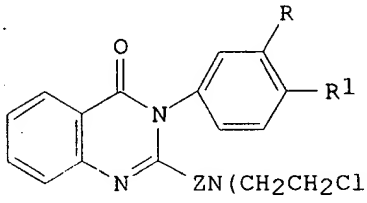
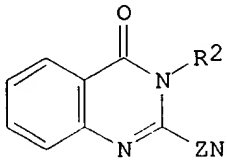
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1980:58712 CAPLUS
DOCUMENT NUMBER: 92:58712
TITLE: Study in nitrogen mustards, Part III. Synthesis of some 2-alkyl-3-aryl-4 (3H)-quinazolinone derivatives with nitrogen mustard moiety as possible antitumor agents
AUTHOR(S): Singh, Pritpal; Gupta, I. S.
CORPORATE SOURCE: Dep. Chem. Eng. Technol., Panjab Univ., Chandigarh, 160 014, India
SOURCE: J. Indian Chem. Soc. (1979), 56(1), 77-80
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



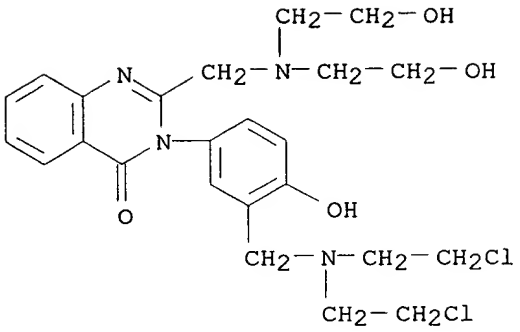
II

AB Title quinazolinones I [Z = (CH2)n (n = 0-2), CHMe; R = e.g. CH2N(CH2CH2OH)2, CH2NHCH2CH2Br; R1 = OH, OMe, OEt] (32 compds.) and II [Z = (CH2)n (n = 1, 2), CHMe; R2 = CH2CH2N(CH2CH2X)2 (X = Br, Cl, OH), SO2C6H4N(CH2CH2Cl)2] (10 compds.) were prepd. from N-acyl anthranilates by condensing with anilines or hydrazides, resp. I and II contain mono or bifunctional nitrogen mustard groups attached to the quinazoline through an enzymatically-hydrolyzable linkage; they showed relatively low toxicity.

IT **72544-40-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and chlorination of)

RN 72544-40-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-[3-[[bis(2-chloroethyl)amino]methyl]-4-hydroxyphenyl]-2-[[bis(2-hydroxyethyl)amino]methyl]- (9CI) (CA INDEX NAME)



IT **72497-16-6P 72497-17-7P 72509-85-4P**
72544-41-3P 72544-45-7P 72544-46-8P
72544-47-9P 72544-48-0P 72544-49-1P
72544-50-4P 72544-51-5P 72544-52-6P
72544-53-7P 72544-54-8P 72544-55-9P
72544-56-0P 72544-57-1P 72544-58-2P
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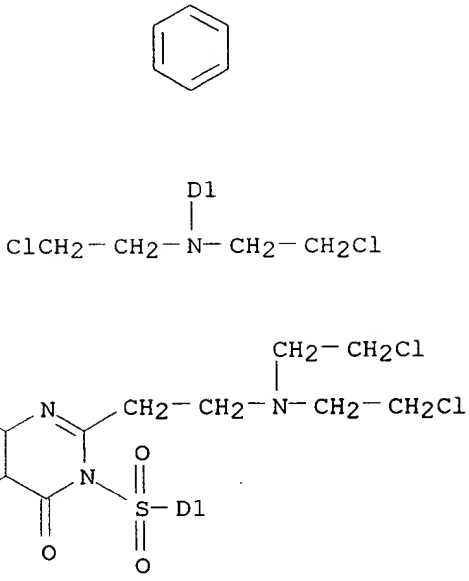
72544-62-8P 72544-63-9P 72544-64-0P
72544-65-1P 72544-66-2P 72544-67-3P
72544-68-4P 72544-69-5P 72544-70-8P
72544-72-0P 72544-73-1P 72544-74-2P
72544-75-3P 72544-76-4P 72544-77-5P
72544-78-6P 72544-79-7P 72544-80-0P
72544-81-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 72497-16-6 CAPLUS

CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[[[bis(2-chloroethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 72497-17-7 CAPLUS
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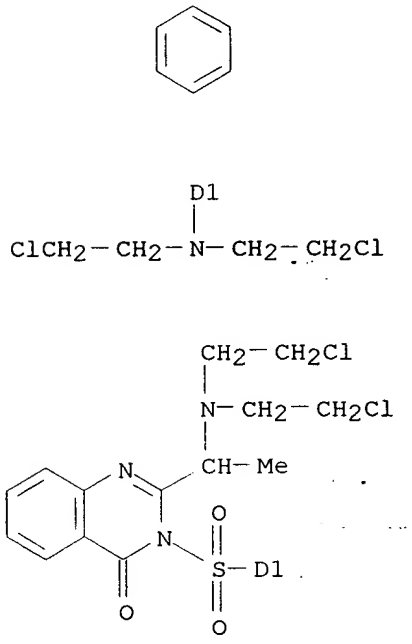

RN 72509-85-4 CAPLUS
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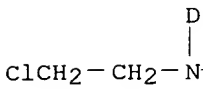
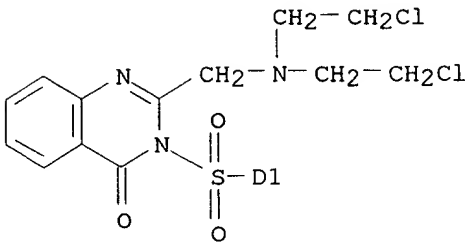
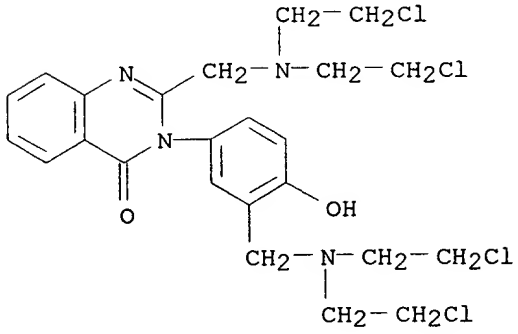
chloroethyl)amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)





RN 72544-41-3 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[bis(2-chloroethyl)amino]methyl]-3-[3-[[bis(2-chloroethyl)amino]methyl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-45-7 CAPLUS
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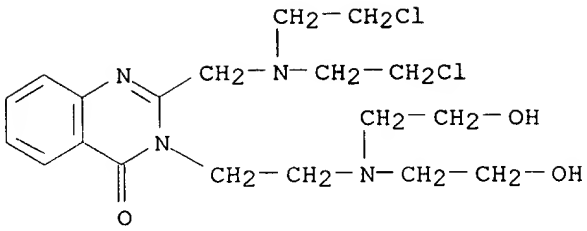

RN 72544-46-8 CAPLUS
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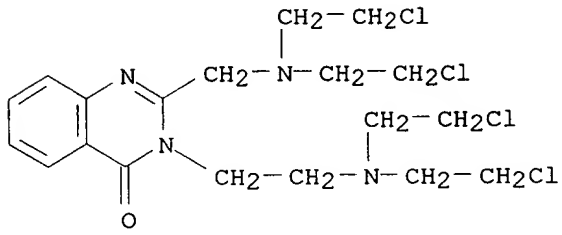

RN 72544-47-9 CAPLUS
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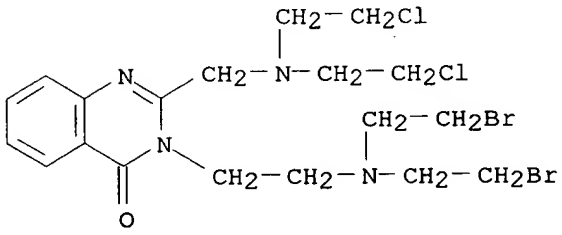

RN 72544-48-0 CAPLUS
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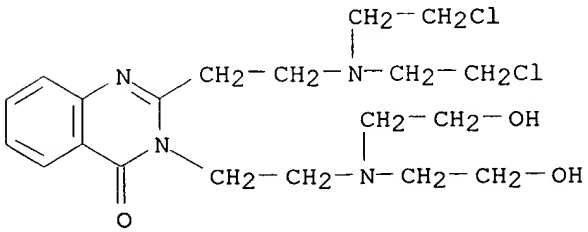
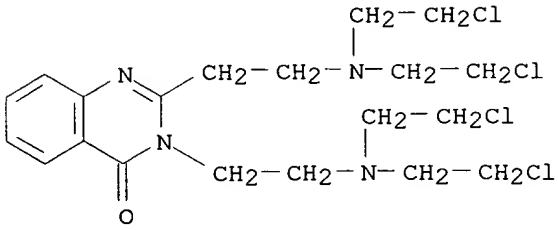
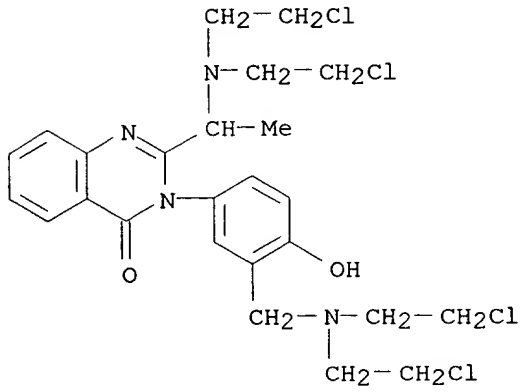


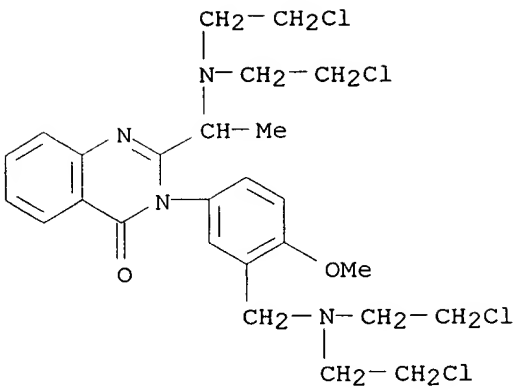
RN 72544-49-1 CAPLUS
CN 4(3H)-Quinazolinone, 2,3-bis[2-[bis(2-chloroethyl)amino]ethyl]- (9CI) (CA
INDEX NAME)



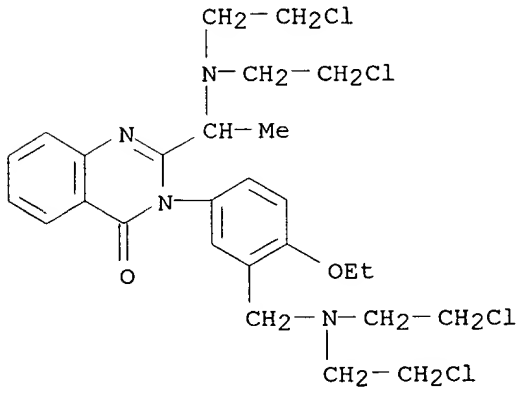
RN 72544-50-4 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-chloroethyl)amino]methyl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



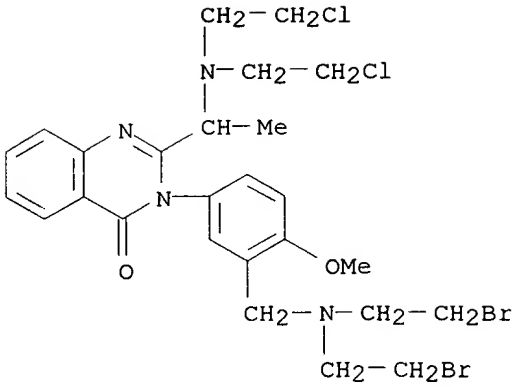
RN 72544-51-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-chloroethyl)amino]methyl]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



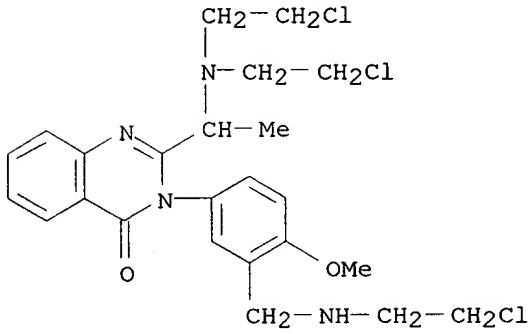
RN 72544-52-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-chloroethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



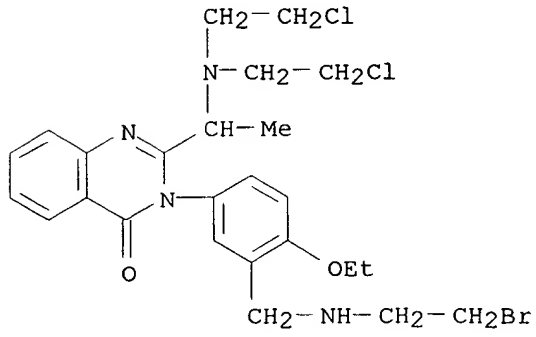
RN 72544-53-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-[3-[[bis(2-bromoethyl)amino]methyl]-4-methoxyphenyl]-2-[1-[bis(2-chloroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 72544-54-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[(2-chloroethyl)amino]methyl]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-55-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[(2-bromoethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-56-0 CAPLUS

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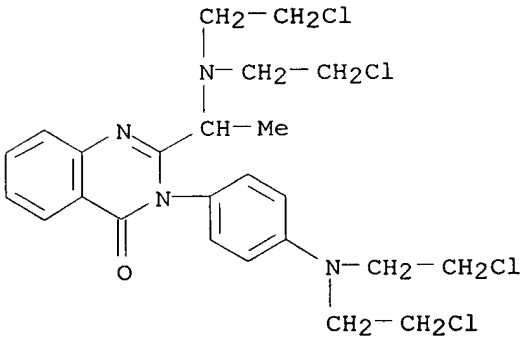

RN 72544-57-1 CAPLUS
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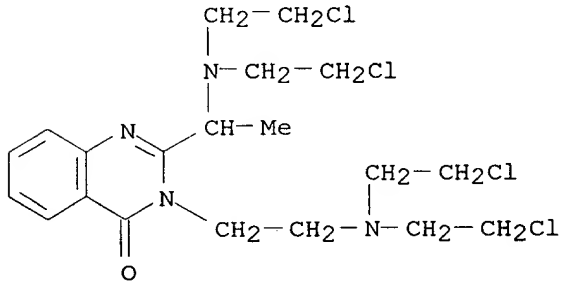

RN 72544-58-2 CAPLUS
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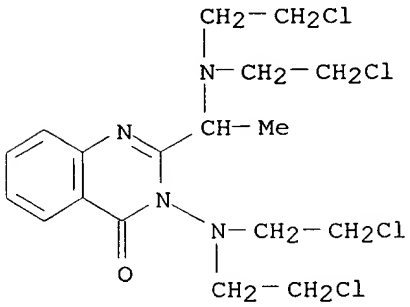

RN 72544-59-3 CAPLUS
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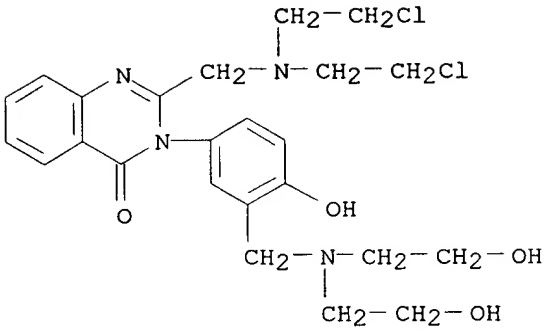
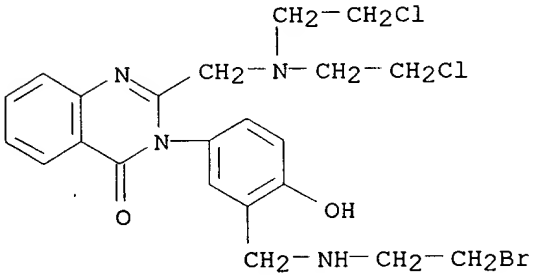


RN 72544-60-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[bis(2-chloroethyl)amino]methyl]-3-[3-[[(2-bromoethyl)amino]methyl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-61-7 CAPLUS
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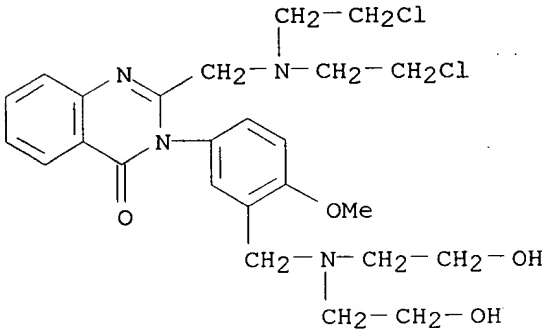

RN 72544-62-8 CAPLUS
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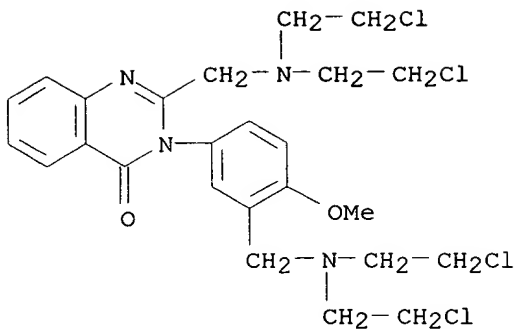

RN 72544-63-9 CAPLUS
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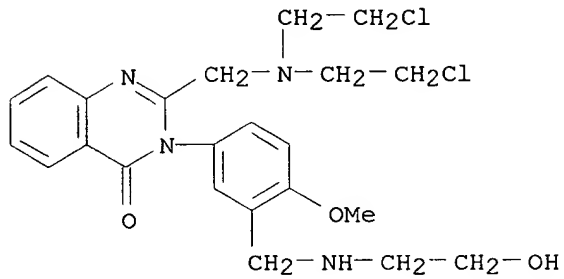
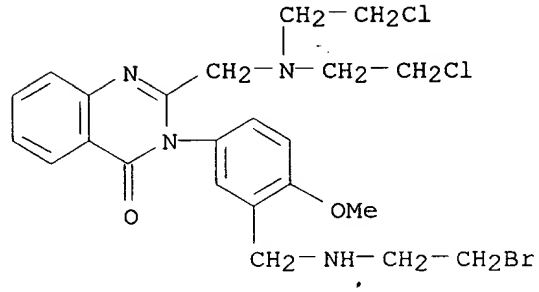
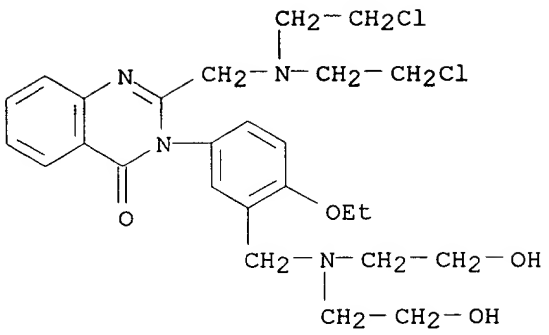


RN 72544-64-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[bis(2-chloroethyl)amino]methyl]-3-[3-[[(2-bromoethyl)amino]methyl]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-65-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[bis(2-chloroethyl)amino]methyl]-3-[3-[[bis(2-hydroxyethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-66-2 CAPLUS
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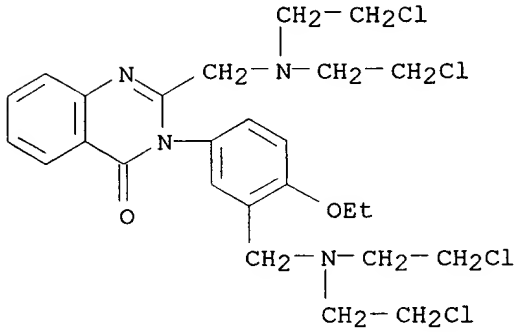
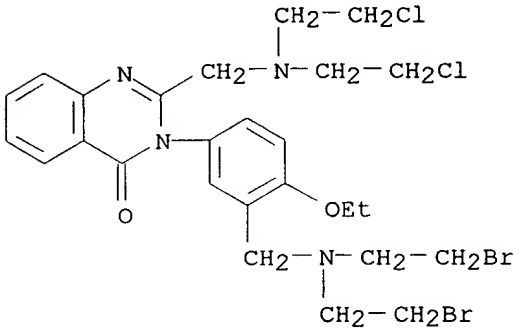


RN 72544-67-3 CAPLUS
CN 4(3H)-Quinazolinone,
3-[3-[[bis(2-bromoethyl)amino]methyl]-4-ethoxyphenyl]-
2-[[bis(2-chloroethyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 72544-68-4 CAPLUS
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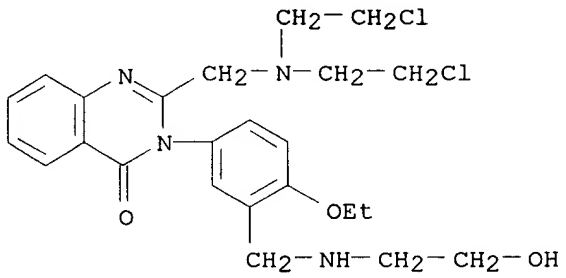
[[(2-hydroxyethyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 72544-69-5 CAPLUS
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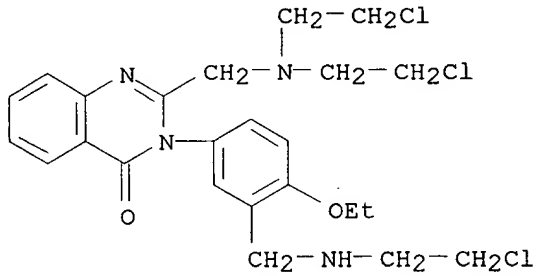
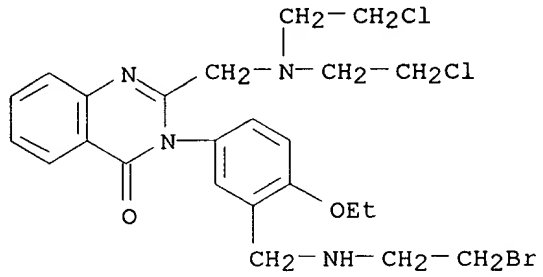
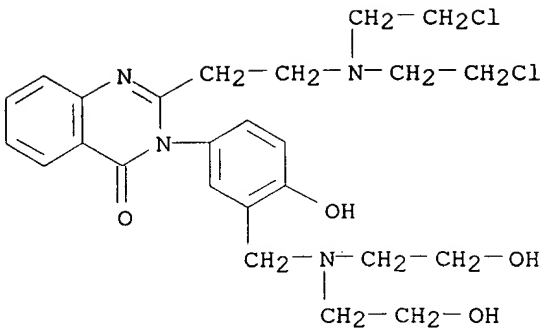


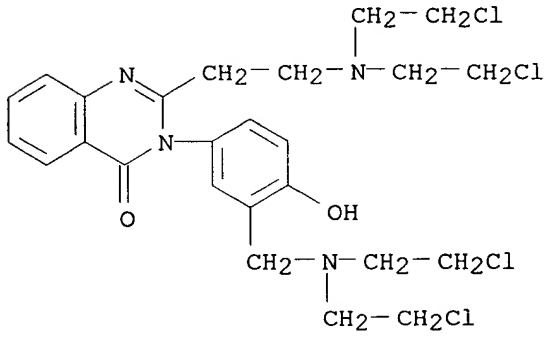
RN 72544-70-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[bis(2-chloroethyl)amino]methyl]-3-[3-[[(2-bromoethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-72-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-hydroxyethyl)amino]methyl]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

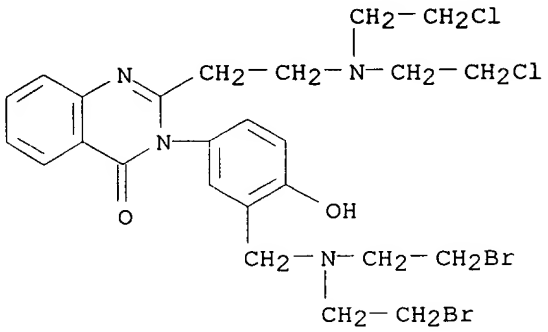


RN 72544-73-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-chloroethyl)amino]methyl]-4-hydroxyphenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

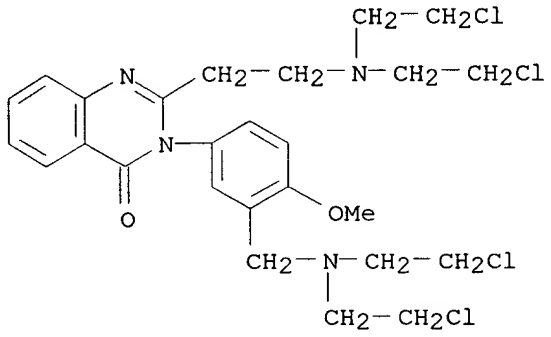


● 2 HCl

RN 72544-74-2 CAPLUS
CN 4(3H)-Quinazolinone, 3-[3-[[bis(2-bromoethyl)amino]methyl]-4-hydroxyphenyl]-2-[2-[bis(2-chloroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

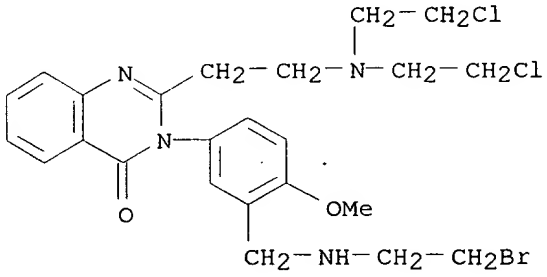


RN 72544-75-3 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-chloroethyl)amino]methyl]-4-methoxyphenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

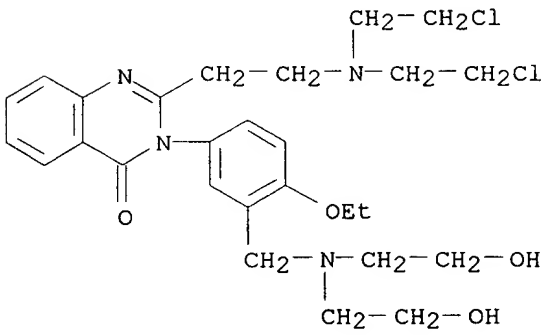


● 2 HCl

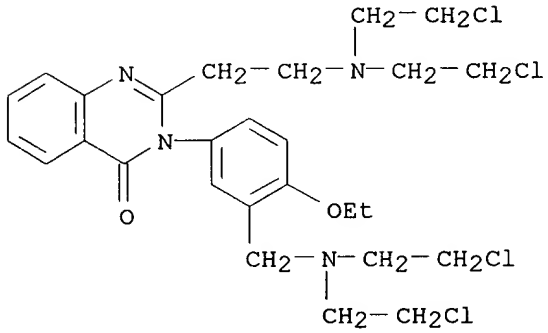
RN 72544-76-4 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[(2-bromoethyl)amino]methyl]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



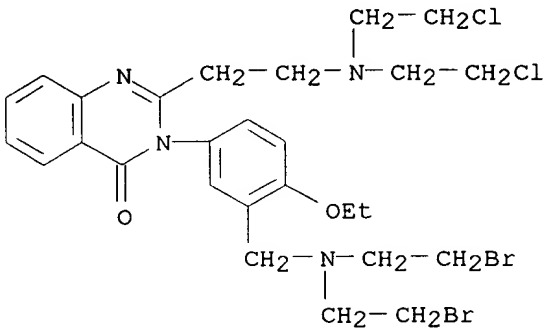
RN 72544-77-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-hydroxyethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



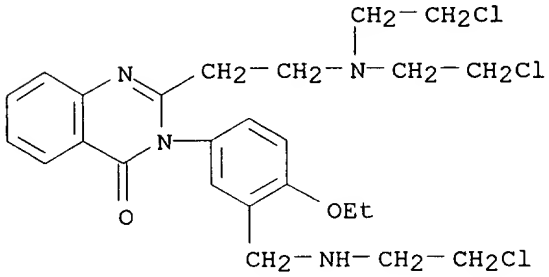
RN 72544-78-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[bis(2-chloroethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



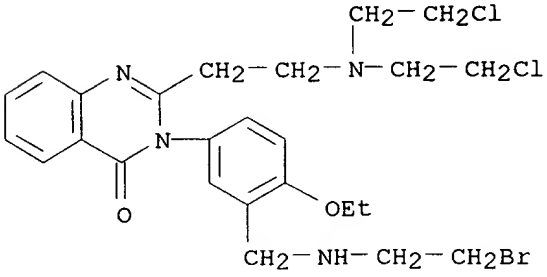
RN 72544-79-7 CAPLUS
CN 4(3H)-Quinazolinone,
3-[3-[[bis(2-bromoethyl)amino]methyl]-4-ethoxyphenyl]-
2-[2-[bis(2-chloroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 72544-80-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[(2-chloroethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



RN 72544-81-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[2-[bis(2-chloroethyl)amino]ethyl]-3-[3-[[(2-bromoethyl)amino]methyl]-4-ethoxyphenyl]- (9CI) (CA INDEX NAME)



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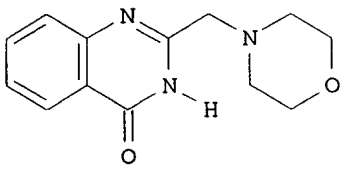
(FILE 'HOME' ENTERED AT 15:38:26 ON 13 MAR 2001)

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:673737 CAPLUS
DOCUMENT NUMBER: 132:35672
TITLE: Synthesis and biological activity of some 2-substituted quinazolin-4-ones
AUTHOR(S): Spirkova, K.; Stankovsky, S.; Mrvova, A.; Cipak, L'.
CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Chemical Technology, Slovak University of Technology, Bratislava, SK-812 37, Slovakia
SOURCE: Chem. Pap. (1999), 53(4), 272-275
CODEN: CHPAEG; ISSN: 0366-6352
PUBLISHER: Slovak Academic Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:35672
GI



I

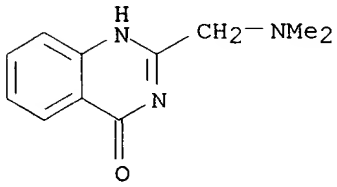
AB The nonclassical antifolates, e.g. 2-morpholinomethyl-3H-quinazolin-4-one (I), have been prepd. by nucleophilic substitution of bromine in 2-bromomethyl-3H-quinazolin-4-one by nitrogen and oxygen nucleophiles.

IR

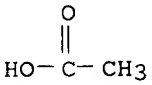
and 1H NMR spectra, 13C NMR data of selected compds., basic antibacterial and cytotoxic activities are presented.

IT **252570-57-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and biol. activity of quinazolinones as antibacterial and antitumor agents)

RN 252570-57-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-[(dimethylamino)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10
REFERENCE(S): (1) Gupta, C; J Med Chem 1968, V11, P392 CAPLUS
(2) Horakova, K; Neoplasma 1988, V35, P169 CAPLUS

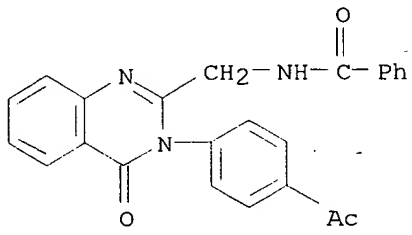


L8 ANSWER 24 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:273045 CAPLUS
DOCUMENT NUMBER: 124:331723
TITLE: Quinazolylthiazoles as CNS acting agents
AUTHOR(S): Pandey, Vinod Kumar; Gupta, Manjusha
CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, 226 007, India
SOURCE: Acta Pharm. (Zagreb) (1996), 46(1), 51-9
CODEN: ACPHEE; ISSN: 1330-0075
DOCUMENT TYPE: Journal
LANGUAGE: English

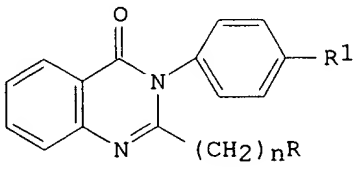
AB Treatment of anthranilic acid with an aryl acid chloride in the presence of pyridine yielded 2-aryl-4-oxo-3,1-benzoxazine which on treatment with p-aminoacetophenone in the presence of anhyd. pyridine afforded 2-aryl-3-(p-acetylphenyl)-3,4-dihydro-4-oxo-quinazolines (I) in excellent yields. Reaction of I with thiosemicarbazide in the presence of ethanol resulted in 2-aryl-4-oxo-3,4-dihydro-quinazolyl-3-[p-(acetophenone thiosemicarbazones)] (II) in the yields ranging from 60-65%. Reaction of II with acetophenone and iodine in glacial acetic acid yielded 2-aryl-4-oxo-3,4-dihydroquinazolyl-3-[p-(5'-phenyl-3'-thiazolyl)acetophenoneazines] in moderate yields; these compds. showed psychotropic activity without any toxicity (ALD50 values were > 1000 mg kg-1). Most of the compds. were also found to possess writhing effect while only one compd. exhibited hyperthermic activity. Four of such compds. showed promising CNS stimulant activity and two compds. were found to exert CNS depressant activity.

IT **176772-15-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and structure activity of quinazolylthiazoles as central nervous system agents)

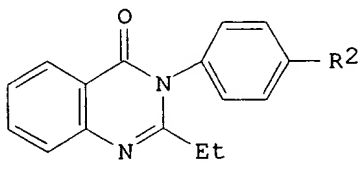
RN 176772-15-9 CAPLUS
CN Benzamide,
N-[[3-(4-acetylphenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]-
(9CI) (CA INDEX NAME)



arylcarbamoylmethoxyphenyl-4-(3H)-quinazolones
AUTHOR(S): Parasharya, P. M.; Soni, V. C.; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: J. Inst. Chem. (India) (1992), 64(6), 238-41
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

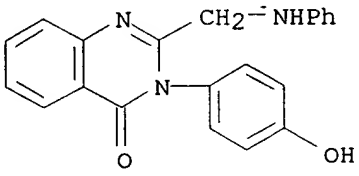


II

AB Some new 4(3H)-quinazolone derivs., I and II, having N-arylaminomethyl/N-alkylaminomethyl/N-arylaminoethyl group at C(2) and p-hydroxyphenyl/p-anisyl/p-arylaminoacyloxyphenyl/p-(N-arylcarbamoylmethoxy)phenyl group at C(3) were prepd. I and II showed moderate antimicrobial activity (no data). Structures of I and II were confirmed by IR spectra and elemental anal.

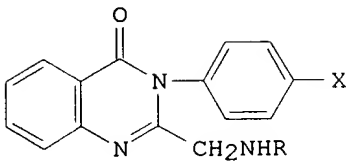
IT **156672-31-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antimicrobial activity of)

RN 156672-31-0 CAPLUS
CN 4(3H)-Quinazolinone, 3-(4-hydroxyphenyl)-2-[(phenylamino)methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 27 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1994:508675 CAPLUS
DOCUMENT NUMBER: 121:108675
TITLE: 4(3H)-Quinazolones. Part I: 2-Alkyl/arylaminomethyl-3-p-hydroxy/methoxyphenyl-4(3H)-quinazolones
AUTHOR(S): Parasharya, P. M.; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: J. Inst. Chem. (India) (1992), 64(5), 184-5
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal

LANGUAGE: English
GI



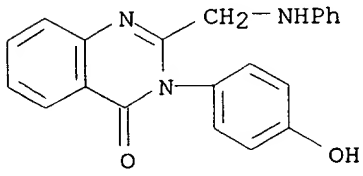
I

AB The title compds. I (X = OH, OMe; R = alkyl, aryl) were prepd. by amination of the 2-(bromomethyl) derivs. and tested for antibacterial activity. Some I showed remarkable antibacterial activity.

IT **156672-31-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antibacterial activity of)

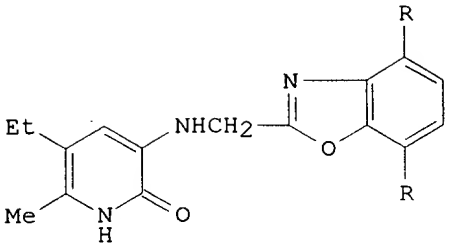
RN 156672-31-0 CAPLUS

CN 4(3H)-Quinazolinone, 3-(4-hydroxyphenyl)-2-[(phenylamino)methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 28 OF 57 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:591731 CAPLUS
DOCUMENT NUMBER: 117:191731
TITLE: Synthesis and evaluation of 2-pyridinone derivatives as HIV-1-specific reverse transcriptase inhibitors. 2. Analogs of 3-aminopyridin-2(1H)-one
AUTHOR(S): Saari, Walfred S.; Wai, John S.; Fisher, Thorsten E.; Thomas, Craig M.; Hoffman, Jacob M.; Rooney, Clarence S.; Smith, Anthony M.; Jones, James H.; Bamberger, Dona L.; et al.
CORPORATE SOURCE: Dep. Med. Chem., Merck Res. Lab., West Point, PA, 19486-0004, USA
SOURCE: J. Med. Chem. (1992), 35(21), 3792-802
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



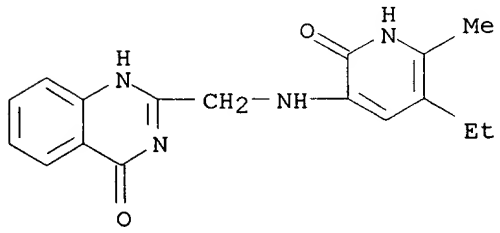
I

AB A series of nonnucleoside 3-aminopyridine-2(1H)-one derivs. was synthesized and evaluated for HIV-1 RT inhibitory properties. Several analogs proved to be potent and highly selective antagonists with in vitro IC50 values as low as 19 nM in the enzyme assay using rC.cntdot.dG as template.cntdot.primer. Two compds. from this series, benzoxazolylmethylaminopyridinones I (R = Me, Cl) inhibited the spread of HIV-1 IIIb infection by 95% in MT4 cell culture at concns. of 25-50 nM and were selected for clin. trials as antiviral agents.

IT **143707-89-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and virucidal activity of)

RN 143707-89-5 CAPLUS

CN 4(1H)-Quinazolinone, 2-[[(5-ethyl-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl)amino]methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1991:505457 CAPLUS

DOCUMENT NUMBER: 115:105457

TITLE: Antitubercular agents. LVI. The problem of optimal lipophilicity of antitubercular thiohydrazides

AUTHOR(S): Waisser, K.

CORPORATE SOURCE: Farm. Fak., Univ. Karlovy, Hradec Kralove, Czech.

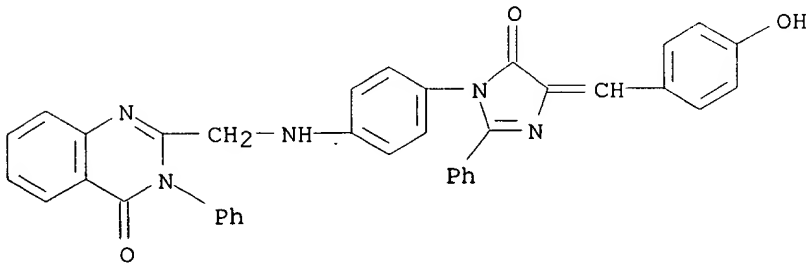
SOURCE: Cesk. Farm. (1991), 40(3), 106-7
CODEN: CKFRAY; ISSN: 0009-0530

DOCUMENT TYPE: Journal

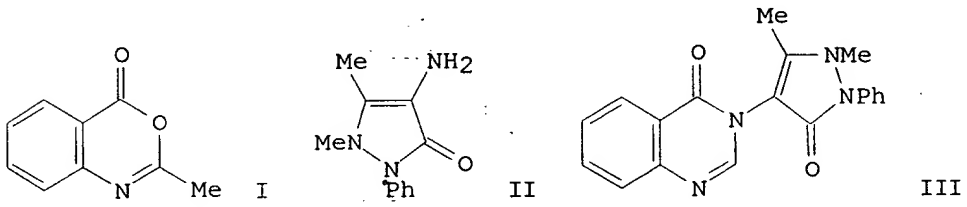
LANGUAGE: Czech

AB QSAR equations are derived from MIC data and partition chromatog. for detg. the optimal lipophilicity of thiohydrazides. Because of their

(prepn. and bactericidal activity of)
RN 134989-51-8 CAPLUS
CN 4(3H)-Quinazolinone,
2-[[[4-[4,5-dihydro-4-[(4-hydroxyphenyl)methylene]-5-
oxo-2-phenyl-1H-imidazol-1-yl]phenyl]amino]methyl]-3-phenyl- (9CI) (CA
INDEX NAME)



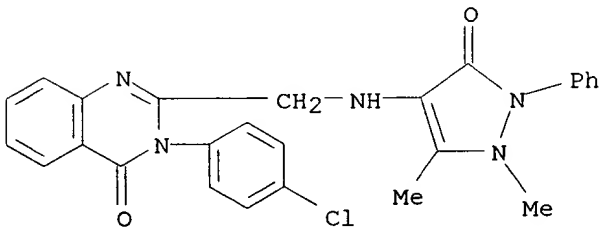
L8 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1991:122242 CAPLUS
DOCUMENT NUMBER: 114:122242
TITLE: Non-steroidal antiinflammatory agents. III: Synthesis of pyrazole derivatives of 4(3H)-quinazolinones
AUTHOR(S): Farghaly, Ahmed M.; Chaaban, Ibrahim; Khalil, Mounir A.; Bekhit, Adnan A.
CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt
SOURCE: Alexandria J. Pharm. Sci. (1990), 4(1), 52-6
CODEN: AJPSES
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:122242
GI



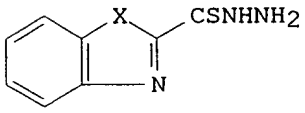
I II III

AB Several groups of compds. were synthesized having a pyrazole or pyrazoline
moiety attached to 4(3H)-quinazolinone at the 2- or 3-position either directly or through different linkages. The linkages include methinamino,
ethenyl, iminomethyl, aminomethyl or methinehydrazino grouping. Thus, acetanthranil (I) was treated with aminoantipyrine II to give 4(3H)-quinazolinone III. The antiinflammatory activity of representative examples of the products is reported.

IT **132111-60-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antiinflammatory activity of)
RN 132111-60-5 CAPLUS
CN 4(3H)-Quinazolinone, 3-(4-chlorophenyl)-2-[[(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)amino]methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 32 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1990:400196 CAPLUS
DOCUMENT NUMBER: 113:196
TITLE: Tuberculostatics. Part 49. Thiohydrazides, potential tuberculostatics
AUTHOR(S): Waisser, K.; Houngbedji, N.; Odlerova, Z.; Thiel, W.; Mayer, R.
CORPORATE SOURCE: Fac. Pharm., Univ. Charles, Hradec Kralove, 50165, Czech.
SOURCE: Pharmazie (1990), 45(2), 141-2
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: French
GI

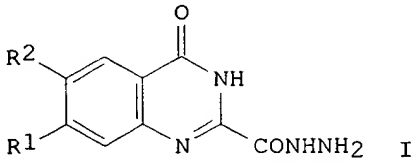


I

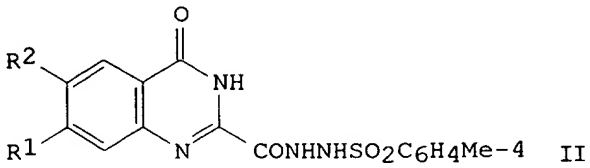
AB Four thiohydrazides (I; X = NH, O, S, or CONH) had differing degrees of antimycobacterial activity in vitro. When the most active compd., I (X = O), was tested in tuberculous mice, there was a high mortality rate after a few days of treatment, perhaps due to interaction of the thiohydrazide group with the oxo group of transaminases. I therefore cannot be used as drugs, and their pharmacol. study has been abandoned.

IT **127627-24-1**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(tuberculostatic activity of)
RN 127627-24-1 CAPLUS

CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:112384
GI



I



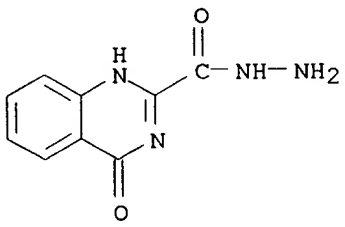
II

AB Quinazolinecarbohydrazides I [R1 = H, Me, OMe, halo, and R2 = H; R1R2 = (CH2O2)] were prepd. from the resp. anthranilamides by cyclocondensation with EtO2CCO2Et and subsequent amidation with N2H4; I showed antitubercular activity. Also prepd. were tosyl derivs. II.

IT **34632-71-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and antitubercular activity of)

RN 34632-71-8 CAPLUS

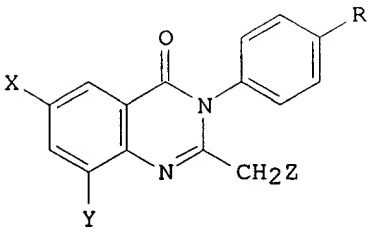
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, hydrazide (9CI) (CA INDEX NAME)



→ L8 ANSWER 36 OF 57 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1987:207516 CAPLUS
DOCUMENT NUMBER: 106:207516
TITLE: Synthesis and anticonvulsant activity of some new 4(3H)-quinazolone derivatives
AUTHOR(S): El-Nasser Ossman, Abdel Rahman; El-Sayed Barakat, Saber
CORPORATE SOURCE: Fac. Pharm., Al-Azhar Univ., Cairo, Egypt

SOURCE: Arch. Pharm. Chemi, Sci. Ed. (1986), 14(2), 37-43
CODEN: AVPCCS; ISSN: 0302-248X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

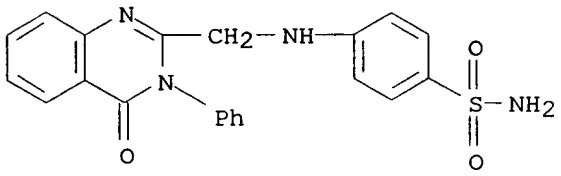


I, Z=NHC6H4SO2NH2-4
II, Z=Br

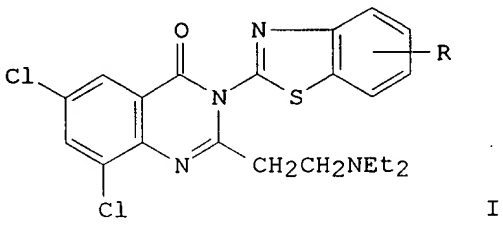
AB Some new 2,3-disubstituted-4(3H)-quinazolones (I, X and Y = H or Br, and R
= H, Br, Cl or NO2) as potential anticonvulsants were prepd. by the N-bromosuccinimide bromination of 2-methyl-3-phenyl-4(3H)-quinazolones followed by the reaction of the resulting bromomethyl derivs. (II) with sulfanilamide [63-74-1].

IT **108282-55-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and anticonvulsant activity of)

RN 108282-55-9 CAPLUS
CN Benzenesulfonamide, 4-[[(3,4-dihydro-4-oxo-3-phenyl-2-quinazolinyl)methyl]amino]- (9CI) (CA INDEX NAME)



→L8 ANSWER 37 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1986:497416 CAPLUS
DOCUMENT NUMBER: 105:97416
TITLE: Synthesis and biological activities of certain derivatives of 3-aryl-4(3H)-quinazolinones. Part II
AUTHOR(S): Rao, A. Devender; Shankar, C. Ravi; Reddy, P. Bhaghavan; Reddy, V. Malla
CORPORATE SOURCE: Coll. Pharm. Sci., Kakatiya Univ., Warangal, 506 009, India
SOURCE: J. Indian Chem. Soc. (1985), 62(3), 234-7
CODEN: JICSAH; ISSN: 0019-4522



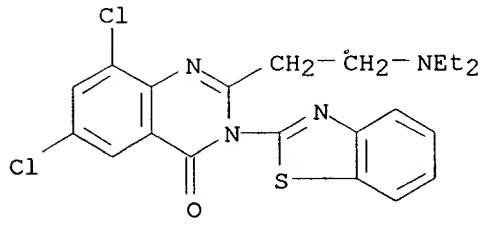
I

AB The title quinazolinones I (R = H, Me, Cl, OMe, OEt) were prepd. by treating 2-aminobenzothiazoles with 3,5-dichloroacetylanthranilic acid followed by refluxing the product with paraformaldehyde and Et2NH.HCl. The fungicidal activity of I against Aspergillus fumigatus and Alternaria alternata depended on their structure. Best inhibition of Alternaria growth was obtained with I (R = 4-Cl) [98256-90-7] and I (R = 6-OMe) [98256-92-9]; with Aspergillus highest inhibition was obtained with I (R =
5-Cl) [98256-91-8].

IT **98256-83-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and fungicidal activity of, structure in relation to)

RN 98256-83-8 CAPLUS

CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-6,8-dichloro-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

→L8 ANSWER 42 OF 57 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1985:142800 CAPLUS

DOCUMENT NUMBER: 102:142800

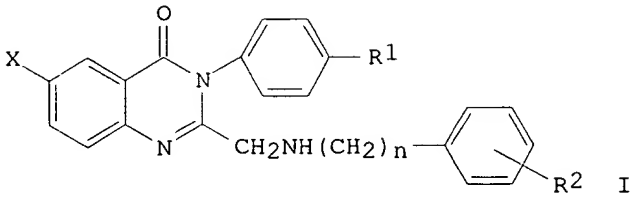
TITLE: Acetylcholinesterase and succinate dehydrogenase inhibitory activity of trisubstituted quinazolones

AUTHOR(S): Kumar, Pradeep; Ahmad, S.; Bhargava, K. P.; Shanker, K.

CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India

SOURCE: Indian Drugs (1985), 22(4), 202-4

CODEN: INDRBA; ISSN: 0019-462X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

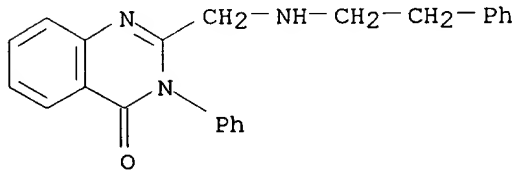


I

AB The inhibitory activities of 16 2-(arylalkylamino)methyl-3-aryl-6-substituted-4-(3H)quinazolones I (R1 = H, Cl, or OMe; R2 = Cl, Me, or OMe; X = H or I; n = 1 or 2) against acetylcholinesterase [9000-81-1] and succinate dehydrogenase [9002-02-2] were detd. Structure-activity relations are discussed.

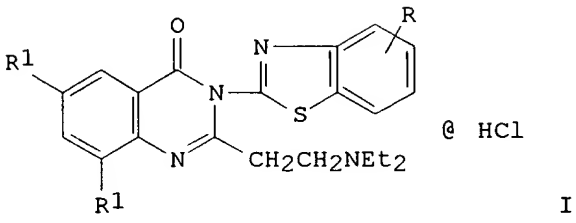
IT **19062-63-6D**, derivs.
RL: **BIOL (Biological study)**
(acetylcholinesterase and succinic dehydrogenase inhibition by)

RN 19062-63-6 CAPLUS
CN 4(3H)-Quinazolinone, 3-phenyl-2-[[(2-phenylethyl)amino]methyl]- (9CI) (CA INDEX NAME)

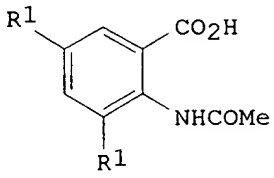


L8 ANSWER 43 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1985:45864 CAPLUS
DOCUMENT NUMBER: 102:45864
TITLE: Synthesis and antiinflammatory activity of 2-substituted-phenethyl-3-substituted-phenyl-4(3H)-quinazolinones
AUTHOR(S): Singh, Inder Pal; Saxena, A. K.; Sinha, J. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE: Indian J. Chem., Sect. B (1984), 23B(6), 592-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

ACCESSION NUMBER: 1982:6681 CAPLUS
DOCUMENT NUMBER: 96:6681
TITLE: Synthesis of some new 4(3H)-quinazolinones as potential fungicides
AUTHOR(S): Chaurasia, M. R.; Sharma, Surendra K.; Kumar, Sunil
CORPORATE SOURCE: Dep. Chem., D.A.V. Coll., Dehra Dun, 248 001, India
SOURCE: Curr. Sci. (1981), 50(19), 841-3
CODEN: CUSCAM; ISSN: 0011-3891
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



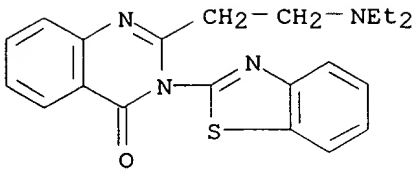
I



II

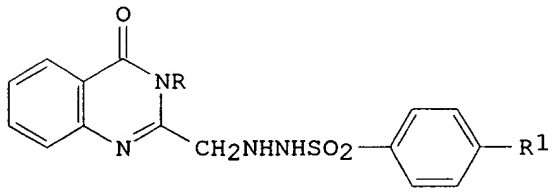
AB Benzothiazolylquinazolines I (R = H, 4-, 5-, 6-Me, 4-, 5-, 6-Cl, 6-MeO, 6-EtO, R1 = H, Br) were prepd. in 32-71% yields by cyclocondensation of II in the presence of an appropriate 2-aminobenzimidazole to give intermediates (no data) which were condensed with CH2O and Et2NH.HCl. I inhibited Aspergillus niger and Draschlera australiensis.

IT **80144-66-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and fungicidal activity of)
RN 80144-66-7 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-benzothiazolyl)-2-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L8 ANSWER 48 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1981:84054 CAPLUS
DOCUMENT NUMBER: 94:84054
TITLE: Quinazolinone derivatives of etiological interest. II. Synthesis and antibacterial activity of certain 3-aryl-2-(.beta.-arylsulfonylhydrazinomethyl)-4(3H)-quinazolinones
AUTHOR(S): Abdel-Aleem, A. M.; Abdel-Ghaffar, A. F.
CORPORATE SOURCE: Fac. Pharm. Microbiol., Assiut Univ., Assiut, Egypt
SOURCE: Indian J. Pharm. Sci. (1980), 42(3), 79-81
CODEN: IJSIDW
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

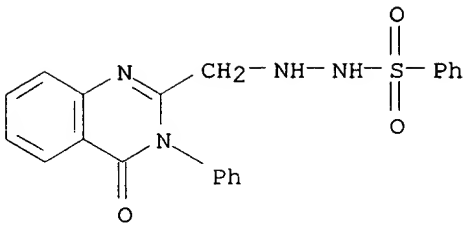


I

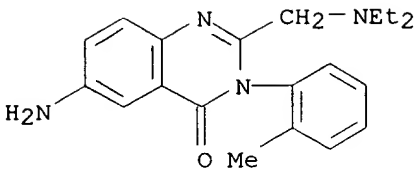
AB Quinazolinones I (R = 3-MeC6H4, 4-MeOC6H4, 4-ClC6H4, R1 = H, Me, NHAc, Br, Cl, NO2; R = Ph, 4-MeC6H4, 3-MeOC6H4, 4-BrC6H4, 3-ClC6H4, 4-EtO2CC6H4, 2-pyridyl, R1 = H) were prepd. by treating the chloromethylquinazolinones with 4-R1C6H4SO2NHNH2. I had bactericidal activity less than that of sulfanilamide.

IT **76534-78-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and bactericidal activity of)

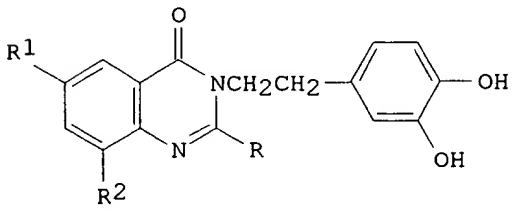
RN 76534-78-6 CAPLUS
CN Benzenesulfonic acid, 2-[(3,4-dihydro-4-oxo-3-phenyl-2-quinazolinyl)methyl]hydrazide (9CI) (CA INDEX NAME)



L8 ANSWER 49 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1980:426374 CAPLUS
DOCUMENT NUMBER: 93:26374
TITLE: Studies on biologically active halogenated compounds. II. Chemical modifications of 6-amino-2-fluoromethyl-3-[o-tolyl]-4[3H]-quinazolinone and the CNS depressant activities of related compounds
AUTHOR(S): Tani, Junichi; Yamada, Yoshihisa; Ochiai, Takashi; Ishida, Ryuichi; Inoue, Ichizo; Oine, Toyonari
CORPORATE SOURCE: Res. Lab., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan
SOURCE: Chem. Pharm. Bull. (1979), 27(11), 2675-87
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A no. of derivs. of 6-amino-2-fluorometyl-3-(o-tolyl)-4(3H)-quinazolinone (6-aminomethaqualone), a potent muscle relaxant, were prepd. and screened in terms of the loss of righting reflex test and the rotating rod test in mice. Several derivs. with addnl. F substitution or with repositioning of the F atom exhibited high activities. Other structural modification included acylation, carbamoylation, and alkoxycarbonylation of the 6-amino group, hydroxylation at the 3-tolyl group, and replacement of the F atom at the 2-fluoromethyl group by O, N and S nucleophiles; these modification all resulted in loss of activity.
IT **73832-37-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and antidepressant activity of)
RN 73832-37-8 CAPLUS
CN 4(3H)-Quinazolinone, 6-amino-2-[(diethylamino)methyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 50 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1980:216 CAPLUS
DOCUMENT NUMBER: 92:216
TITLE: Monoamine oxidase inhibitory activity of 4(3H)-quinazolinones of dopamine
AUTHOR(S): Ahmad, Shakeel; Satsangi, R. K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, India
SOURCE: Indian J. Pharm. Sci. (1979), 41(3), 126-7
CODEN: IJSIDW
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The title compds. I (R = Ph, PhCH:CH, or benzamidomethyl; R1 = H, Br or Cl; R2 = H, Br, Cl, or I) were evaluated for monoamine oxidase [9001-66-5] inhibiting activity in vitro. The dibromo deriv. was more inhibiting than the mono deriv. Structure-activity relations are discussed.

IT **68501-50-8**
RL: **BIOL (Biological study)**
(as monoamine oxidase inhibitor)

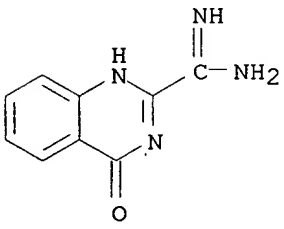
RN 68501-50-8 CAPLUS
CN Benzamide, N-[[3-[2-(3,4-dihydroxyphenyl)ethyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)

DOCUMENT NUMBER: 89:135653
TITLE: Antioxidative stabilization of cosmetic emulsions
AUTHOR(S): Kokoshvili, E. M.; Sokolova, T. N.; Klyachko, Yu. A.; Lyubarskii, L. N.; Skvortsova, A. B.; Chernova, E. M.
CORPORATE SOURCE: Rizh. Proizvod. Ob'edin. Parfyumerno-Kosmet. Prom. "Dzintars", Riga, USSR
SOURCE: Maslo-Zhir. Prom-st. (1978), (7), 29-30
CODEN: MZPYAE; ISSN: 0025-4649
DOCUMENT TYPE: Journal
LANGUAGE: Russian

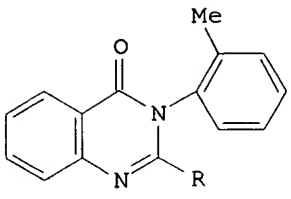
AB Of the 4 antioxidants tested for stabilizing cosmetic emulsions, Antal C [67298-14-0] was the best. Antioxidant-property studies at various concns. (0.1-1%) at 20.degree. and 40.degree. for 12 mo (peroxide no. detn.) indicated that optimum concn. of Antal C was 0.25-0.5%.

IT **51931-47-6**
RL: **BIOL (Biological study)**
(antioxidant, for cosmetic emulsions)

RN 51931-47-6 CAPLUS
CN 2-Quinazolinecarboximidamide, 1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 53 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1977:83505 CAPLUS
DOCUMENT NUMBER: 86:83505
TITLE: Synthesis and central nervous system activity of quinazolones related to 2-methyl-3-(o-tolyl)-4(3H)-quinazolone (methaqualone)
AUTHOR(S): Ager, I. R.; Harrison, D. R.; Kennewell, P. D.; Taylor, J. B.
CORPORATE SOURCE: Roussel Lab., Covingham/Swindon/Wiltshire, Engl.
SOURCE: J. Med. Chem. (1977), 20(3), 379-86
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I, R=CH_2F, HCl

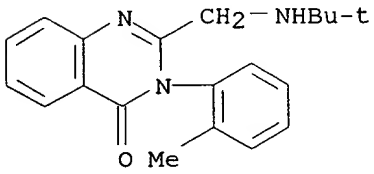
II, R=$CH_2SC(=NH)NH_2$, HBr

AB A series of 71 title compds. was prepd. by condensation of acetylanthranilates with the appropriate arylamines, or by bromination of methaqualone [72-44-6] in the 2-Me group followed by displacement of the Br atom with Cl or F, or N, O, or S nucleophiles. Only the 2-fluoromethyl deriv. (I) [61555-12-2] or certain isothiouronium salts, e.g., 2-[[3'-(o-tolyl)-4'(3'H)-oxoquinazolin-2'-yl]methylthiouronium bromide (II) [61554-89-0], which could be hydrolyzed in vivo to the 2-mercaptomethyl deriv., [61555-13-3], had central nervous system depressant activity of the same magnitude as methaqualone. Activity of the compds. in mice was detd. by 5 tests, i.e., the loss of righting reflex, rotating drum test, antagonism of convulsions from max. electroshock and pentylenetetrazole, and antagonism of writhing from p-benzoquinone. Structure-activity relations are discussed.

IT **61555-09-7**
RL: BAC (Biological activity or effector, except adverse); **BIOL (Biological study)**
(central nervous system depressant activity of)

RN 61555-09-7 CAPLUS

CN 4(3H)-Quinazolinone, 2-[[(1,1-dimethylethyl)amino]methyl]-3-(2-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L8 ANSWER 54 OF 57 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1975:465305 CAPLUS

DOCUMENT NUMBER: 83:65305

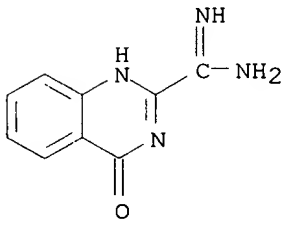
TITLE: Preservation and analysis of acetomethoxane

AUTHOR(S): Terekhina, I. A.; Zelenetskaya, A. A.; Deryacheva, L. A.; Skvortsova, A. B.

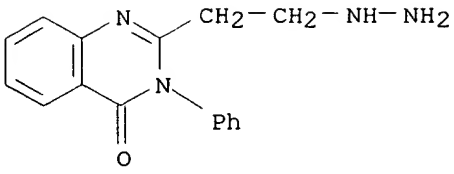
CORPORATE SOURCE: Vses. Nauchno-Issled. Inst. Sint. Nat. Dushistykh Veshchestv, Selo Vorontsovo, USSR

SOURCE: Maslo-Zhir. Promst. (1974), (8), 27-8

RL: **BIOL (Biological study)**
(stabilizer, for spoilage prevention in cosmetics and perfume)
RN 51931-47-6 CAPLUS
CN 2-Quinazolinecarboximidamide, 1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 56 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1968:442637 CAPLUS
DOCUMENT NUMBER: 69:42637
TITLE: Effect of some newer monoamine oxidase inhibitors on catechol amine induced pressor responses
AUTHOR(S): Gupta, T. K.; Kohli, R. P.; Parmar, Surendra S.; Arora, R. C.
CORPORATE SOURCE: K. G. Med. Coll., Lucknow Univ., Lucknow, India
SOURCE: Jap. J. Pharmacol. (1968), 18(2), 169-74
CODEN: JJPAAZ
DOCUMENT TYPE: Journal
LANGUAGE: English
AB At doses of 5 mg./kg., 2-ethylhydrazino-3-phenyl-4-quinazolone (QZH-2), 2-methyl-3(2')-benzoylhydrazino-4-quinazolone (QZH-3), and 2-methyl-3(4')-benzoylhydrazino-6-bromo-4-quinazolone (QZH-6) potentiated the epinephrine- and norepinephrine-induced pressor responses in bilaterally vagotomized cats. However, at the same dosage, 2-methylhydrazino-3-phenyl-4-quinazolone (QZH-1), 2-methyl-3-(4')-benzoylhydrazino-4-quinazolone (QZH-4), 2-methyl-3(4')-benzoylhydrazino-6-chloro-4-quinazolone (QZH-5), and 2-methyl 3(4')-benzoylhydrazino-6-iodo-4-quinazolone (QZH-7) did not have such potentiating properties. There was no definite correlation between the potentiation of catechol amine responses by the various monoamine oxidase inhibitors and their in vitro monoamine oxidase-inhibitory activity.
IT **15647-65-1**
RL: **BIOL (Biological study)**
(blood pressure response to pyrocatechol amines and)
RN 15647-65-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-(2-hydrazinoethyl)-3-phenyl- (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 57 OF 57 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1968:11537 CAPLUS
DOCUMENT NUMBER: 68:11537
TITLE: Anticonvulsant properties of some newer monoamine oxidase inhibitors
AUTHOR(S): Kohli, R. P.; Gupta, Trihuvan Kumar; Parmar, Surendra S.; Arora, Ramesh C.
CORPORATE SOURCE: Dep. Pharmacol. Therap, K. G. Med. Coll., Lucknow Univ., Lucknow, India
SOURCE: Jpn. J. Pharmacol. (1967), 17(3), 409-15
CODEN: JJPAAZ
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In vivo inhibition of monoamine oxidase by quinazolone hydrazides and quinazolone hydrazines was detd. by the dopa response test and the reserpine reversal test (Chessin, et al., CA 51: 13199f; Everett, et al., CA 60: 8501b). Administration of dopa to animals treated with 1-methylphenpropyl hydrazine (JB-835), pargyline, or phenelzine (all given i.p. at 20 mg./kg.) caused marked stimulation, piloerection, increased motor activity, irritability, tremors, jerks, straub tail, exophthalmus, and fighting behavior. The monoamine oxidase inhibitors, 2-ethylhydrazino-3-phenyl-4-quinazolone (QZH-2), 2-methyl-3(4')-benzoylhydrazino-6-chloro-4-quinazolone (QZH-5), or 2-methyl-3(4')-benzoylhydrazino-6-iodo-4-quinazolone (QZH-7) (oral administration of 150 mg./kg.), produced mild stimulation and a slight increase in motor activity after dopa administration. Oral administration of 2-methyl-3(2')-benzoylhydrazino-4-quinazolone (QZH-3) or 2-methyl-3(4')-benzoylhydrazino-6-bromo-4-quinazolone (QZH-6) (both 150 mg./kg.) caused only a slight stimulation without any increase in activity while 2-methylhydrazino-3-phenyl-4-quinazolone (QZH-1) and 2-methyl-3(4')-benzoylhydrazino-4-quinazolone (QZH-4) were devoid of any dopa-potentiating effect. I.p. injection of JB-835, pargyline, or phenelzine (all 20 mg./kg.) prior to i.p. administration of 5 mg. reserpine/kg. into mice reversed the effect of reserpine and produced marked excitation, exophthalmus, piloerection, and other sympathomimetic effects. Oral administration of QZH-3, QZH-5, or QZH-7 produced only a partial reversal of the effects of reserpine, causing only a slight stimulation and no marked increase in activity or other sympathomimetic signs. No reversal of the effects of reserpine was observed in animals pretreated with QZH-1, QZH-2, QZH-4, or QZH-6. The protective effect of the monoamine oxidase inhibitors against convulsions induced in rats by s.c. injection of pentylenetetrazole (80 mg./kg.) showed no relation with the in vitro inhibition of monoamine oxidase activity which was reported previously (Parmar and Arora, CA 65: 13701f). QZH-2, QZH-3, QZH-5, QZH-6,

09/ 724,941

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NEWS 4	Feb 01	DKILIT now produced by FIZ Karlsruhe and has a new update frequency
NEWS 5	Feb 19	Access via Tymnet and SprintNet Eliminated Effective 3/31/02
NEWS 6	Mar 08	Gene Names now available in BIOSIS
NEWS 7	Mar 22	TOXLIT no longer available
NEWS 8	Mar 22	TRCTHERMO no longer available
NEWS 9	Mar 28	US Provisional Priorities searched with P in CA/CAplus and USPATFULL
NEWS 10	Mar 28	LIPINSKI/CALC added for property searching in REGISTRY
NEWS 11	Apr 02	PAPERCHEM no longer available on STN. Use PAPERCHEM2 instead.
NEWS 12	Apr 08	"Ask CAS" for self-help around the clock
NEWS 13	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 14	Apr 09	ZDB will be removed from STN
NEWS 15	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 16	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 17	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 18	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 19	Jun 03	New e-mail delivery for search results now available
NEWS 20	Jun 10	MEDLINE Reload
NEWS 21	Jun 10	PCTFULL has been reloaded
NEWS 22	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 23	Jul 19	NTIS to be reloaded July 28, 2002

NEWS EXPRESS	February 1 CURRENT WINDOWS VERSION IS V6.0d, CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP), AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:36:56 ON 22 JUL 2002

09/ 724,941

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=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 10:37:20 ON 22 JUL 2002
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STRUCTURE FILE UPDATES:   21 JUL 2002  HIGHEST RN 439659-64-0
DICTIONARY FILE UPDATES:  21 JUL 2002  HIGHEST RN 439659-64-0

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for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1 HAS NO ANSWERS
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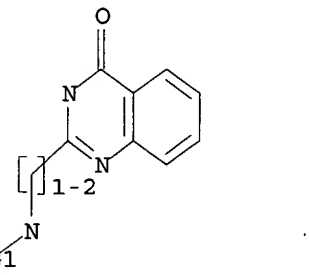


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G1 C,H,S

Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SCREEN SEARCH COMPLETED -   2268 TO ITERATE

 44.1% PROCESSED    1000 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        42505 TO    48215
PROJECTED ANSWERS:           35046 TO    40250
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09/ 724,941

L2 50 SEA SSS SAM L1

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SEARCH TIME: 00.00.10

L3 36714 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 141.42 141.63

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FILE COVERS 1907 - 22 Jul 2002 VOL 137 ISS 4
FILE LAST UPDATED: 21 Jul 2002 (20020721/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l3
L4 248 L3

=> s l4 and (carbonyl or carboxamid? or sulfon?)
139778 CARBONYL
15839 CARBOXAMID?
229803 SULFON?
L5 21 L4 AND (CARBONYL OR CARBOXAMID? OR SULFON?)

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YOU HAVE REQUESTED DATA FROM 21 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:319882 CAPLUS
DOCUMENT NUMBER: 134:326543
TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators
INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

09/ 724,941

SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

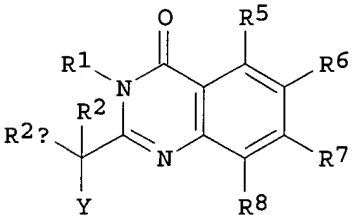
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-198253P P 19991027
US 2000-213104P P 20000621

OTHER SOURCE(S): MARPAT 134:326543
GI



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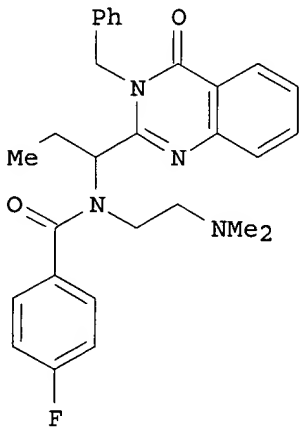


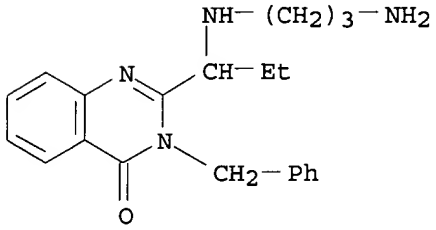
II

AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, **carboxamido**, aminocarbonyl, or (hetero)aryl] were prepd. by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addn. of N,N-dimethylethylenediamine (55%), and

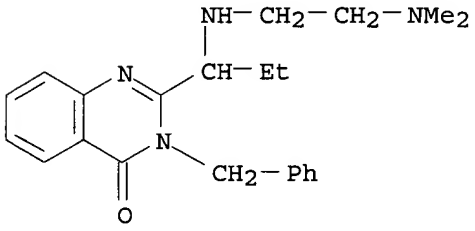
(6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

IT **336119-86-9DP**, resin-bound **336119-90-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336119-86-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[(3-aminopropyl)amino]propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

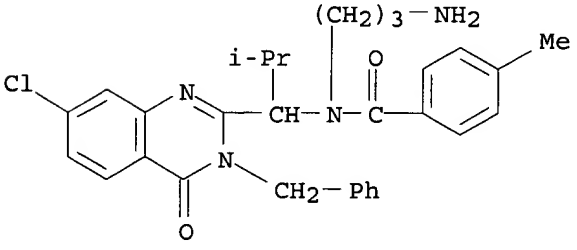


RN 336119-90-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[[2-(dimethylamino)ethyl]amino]propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **336115-13-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336115-13-0 CAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



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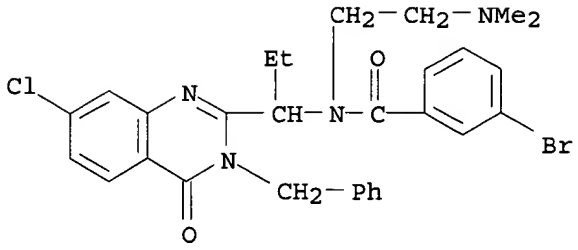
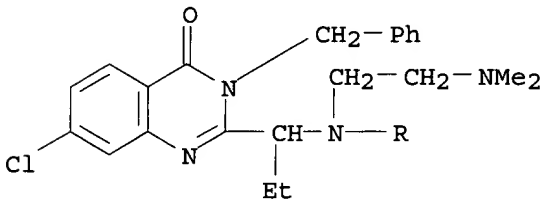
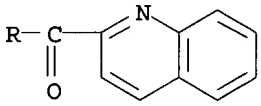
336125-01-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336116-57-5 CAPLUS
CN Benzamide, 3-bromo-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

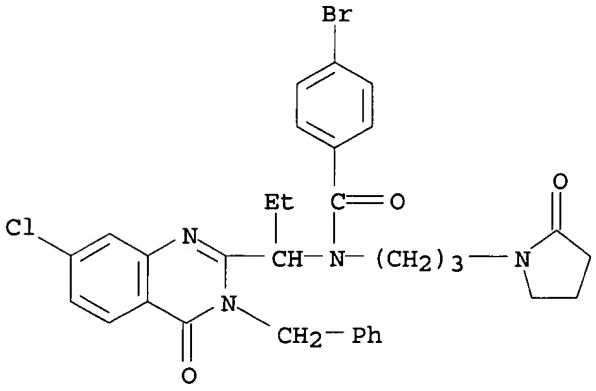


RN 336116-59-7 CAPLUS
CN 2-Quinolinecarboxamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)





RN 336116-61-1 CAPLUS
CN Benzamide, 4-bromo-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



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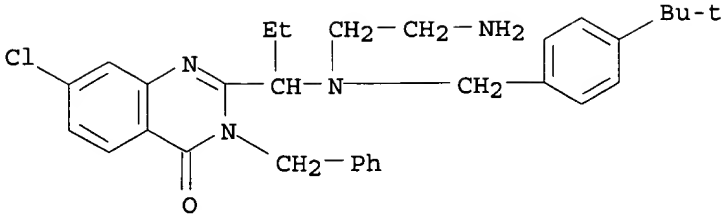

RN 336119-83-6 CAPLUS
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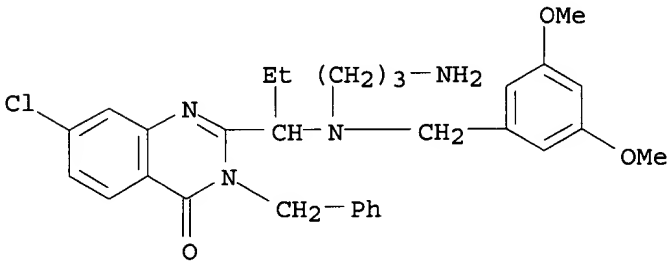

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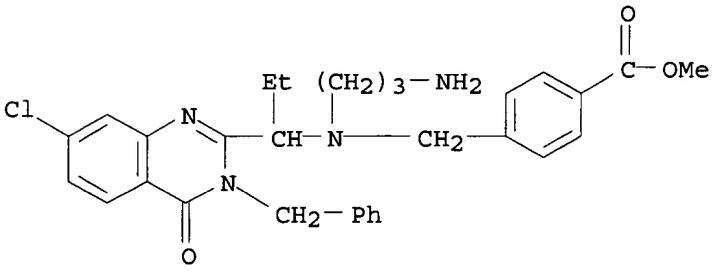
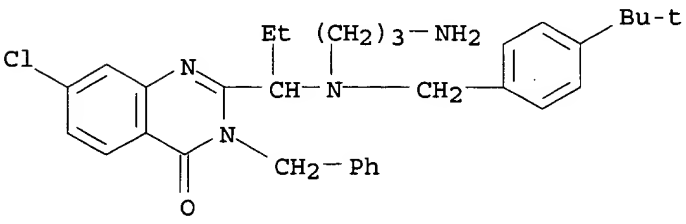
CN Benzoic acid, 4-[[(3-aminopropyl)[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

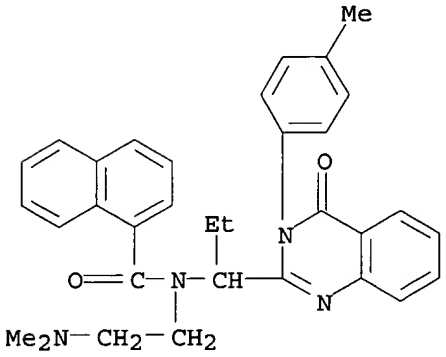


RN 336119-85-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[(3-aminopropyl)[[4-(1,1-dimethylethyl)phenyl]methyl]amino]propyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

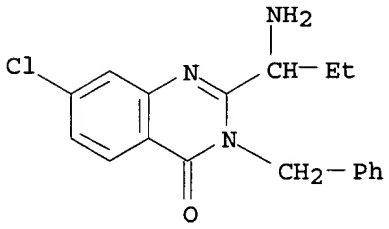


09/ 724,941

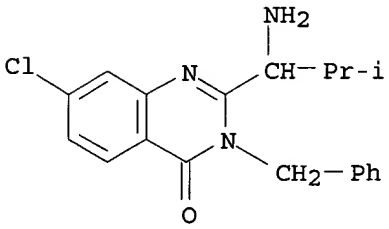
RN 336125-01-0 CAPLUS
CN 1-Naphthalenecarboxamide, N-[1-[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



IT **336119-87-0P 336119-88-1P**
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)
RN 336119-87-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-(1-aminopropyl)-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 336119-88-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-(1-amino-2-methylpropyl)-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:208250 CAPLUS
DOCUMENT NUMBER: 134:252352
TITLE: Preparation of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compounds as mediators of hedgehog

signaling pathways.
INVENTOR(S): Baxter, Anthony David; Boyd, Edward Andrew; Guichert, Oivin M.; Price, Stephen; Rubin, Lee D.
PATENT ASSIGNEE(S): Curis, Inc., USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019800	A2	20010322	WO 2000-US25461	20000915
WO 2001019800	A3	20011206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1216234	A2	20020626	EP 2000-963551	20000915

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.:

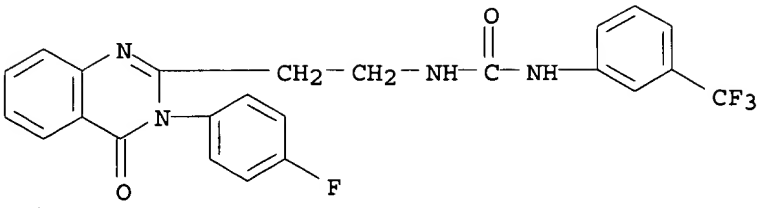
US 1999-154526P	P	19990916
US 1999-159412P	P	19991014
US 1999-162899P	P	19991101
WO 2000-US25461	W	20000915

OTHER SOURCE(S): MARPAT 134:252352

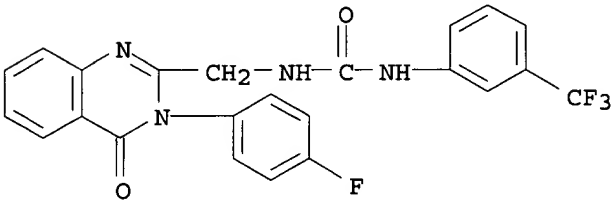
AB R1LX1Y1Z1LX2Y2Z2LR2 [R1, R2 = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroarylalkyl; L = null, alkyl, alkenyl, alkynyl, (CH2)nO(CH2)p, etc.; n, p = 0-10; X1, X2 = NR8, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; Y1, Y2 = CO, CS, SO2, SO, C(:NCN), heteroaryl, bond, etc.; Z1, Z2 = NR8, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; R8 = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroaralkyl, etc.], were prepd. Thus, triphosgene in EtOAc was added to 4-nitro-3-trifluoromethylaniline in EtOAc followed by stirring and reflux. The mixt. was concd., dissolved in CHCl3, and treated with 3-(4-fluorophenyl)-2-(1-methylaminoethyl)-4-oxo-3,4-dihydroquinazoline in CHCl3 to give 97% 1-[1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-3-(3-trifluoromethyl-4-nitrophenyl)-1-methylurea. The latter inhibited sonic hedgehog-induced Gli transcription activity with IC50 <5 .mu.M.

IT **330796-20-8P 330796-21-9P 330796-24-2P**
330796-25-3P 330796-27-5P 330796-28-6P
330796-29-7P 330796-30-0P 330796-31-1P
330796-43-5P 330796-44-6P 330796-45-7P
330796-46-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compds. as mediators of hedgehog signaling pathways)

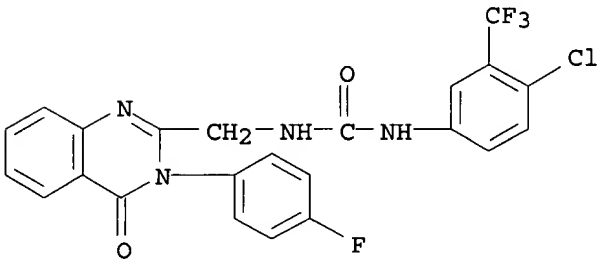
RN 330796-20-8 CAPLUS
CN Urea, N-[2-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



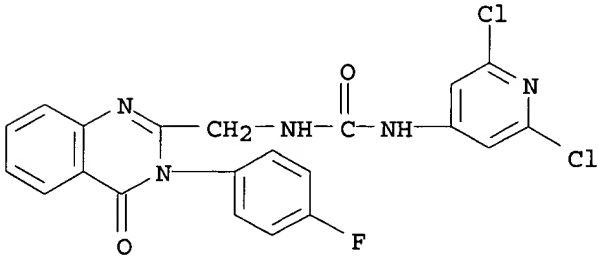
RN 330796-21-9 CAPLUS
CN Urea, N-[[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



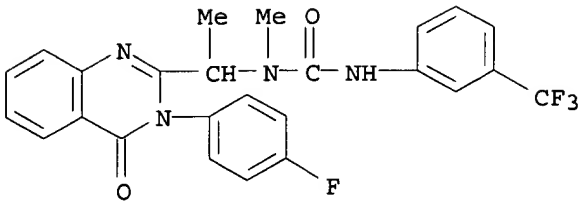
RN 330796-24-2 CAPLUS
CN Urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-[[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



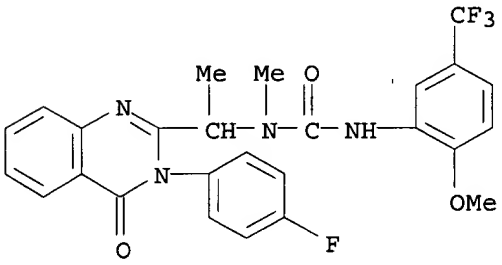
RN 330796-25-3 CAPLUS
CN Urea, N-(2,6-dichloro-4-pyridinyl)-N'-[[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



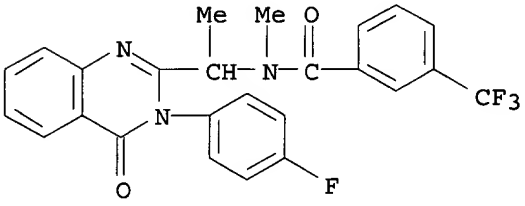
RN 330796-27-5 CAPLUS
CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



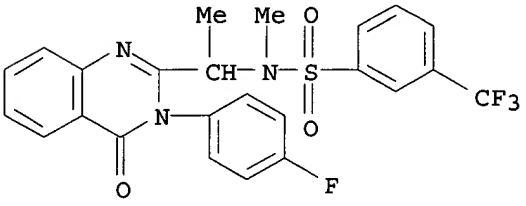
RN 330796-28-6 CAPLUS
CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N'-[2-methoxy-5-(trifluoromethyl)phenyl]-N-methyl- (9CI) (CA INDEX NAME)



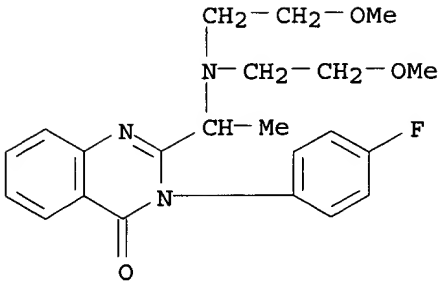
RN 330796-29-7 CAPLUS
CN Benzamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



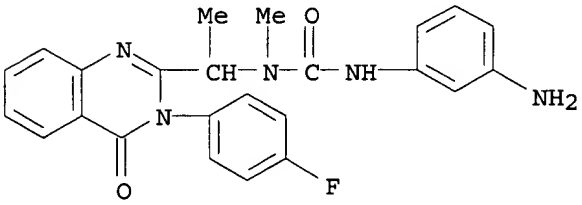
RN 330796-30-0 CAPLUS
CN Benzenesulfonamide, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



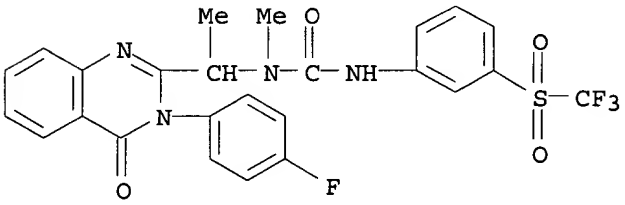
RN 330796-31-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[bis(2-methoxyethyl)amino]ethyl]-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



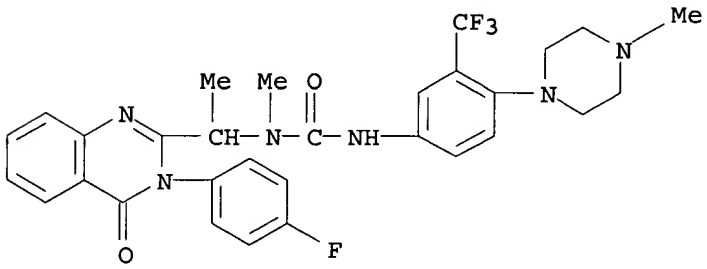
RN 330796-43-5 CAPLUS
CN Urea, N'-(3-aminophenyl)-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl- (9CI) (CA INDEX NAME)



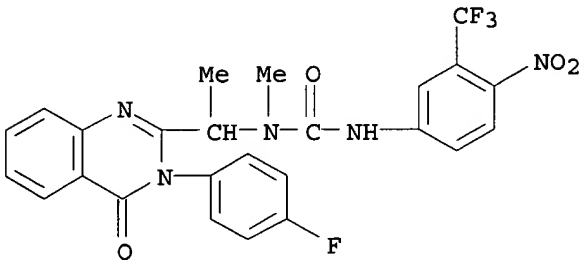
RN 330796-44-6 CAPLUS
CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-[3-[(trifluoromethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



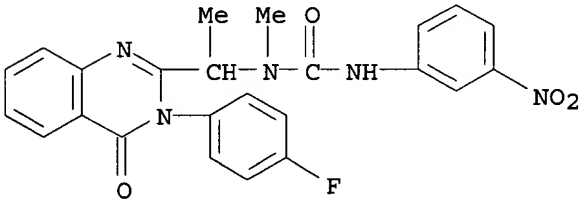
RN 330796-45-7 CAPLUS
CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-[4-(4-methyl-1-piperazinyl)-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



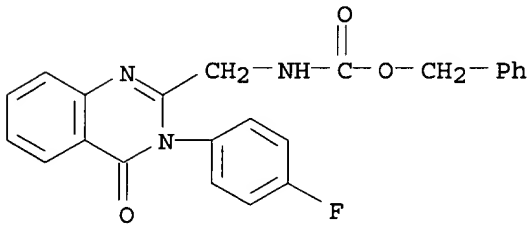
RN 330796-46-8 CAPLUS
CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



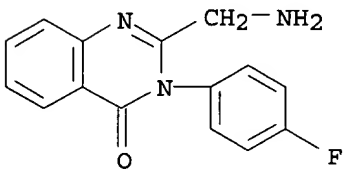
IT **330796-47-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compds. as mediators of hedgehog signaling pathways)
RN 330796-47-9 CAPLUS
CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



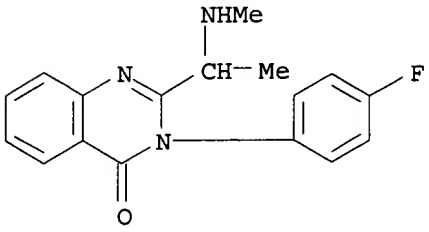
IT **330796-22-0P 330796-23-1P 330796-26-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compds. as mediators of hedgehog signaling pathways)
RN 330796-22-0 CAPLUS
CN Carbamic acid, [[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 330796-23-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-(aminomethyl)-3-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



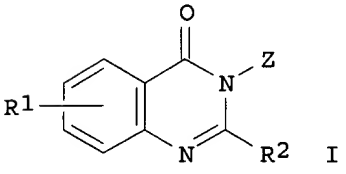
RN 330796-26-4 CAPLUS
CN 4(3H)-Quinazolinone, 3-(4-fluorophenyl)-2-[1-(methylamino)ethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:91539 CAPLUS
DOCUMENT NUMBER: 134:147610
TITLE: Compositions containing N-amino- and N-hydroxy-quinazolinones and methods for preparing combinatorial libraries thereof
INVENTOR(S): Gao, Yun
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6184377	B1	20010206	US 1997-990855	19971215
US 2001018518	A1	20010830	US 2001-775339	20010201

PRIORITY APPLN. INFO.: US 1997-990855 A1 19971215
OTHER SOURCE(S): MARPAT 134:147610
GI



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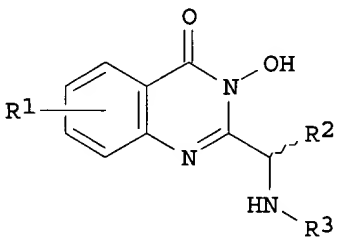


II

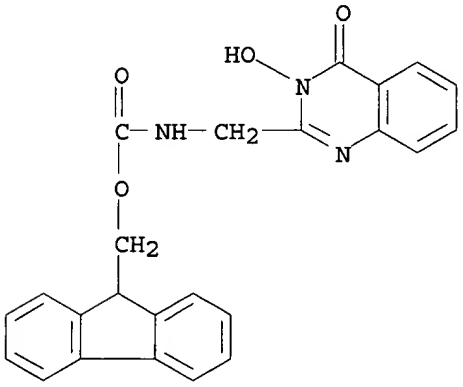
AB The invention is directed to certain N-amino- and N-hydroxy-quinazolinone compds., and methods for their synthesis. The compds. may find use in combinatorial libraries. More specifically, the invention is directed to the synthesis of 3-hydroxy- and 3-amino-4(1H)-quinazolinones via the reaction of an appropriate 2-aminobenzamide compd. with a carboxylic acid or acyl halide at ambient temp., performed on a solid support or in soln. In particular, the compds. are prepd. via supported compds. I [R1 = H, halo, alkyl, OH, alkoxy, etc.; or adjacent (R1)2 = (hetero)arom. fusion; R2 = (un)substituted alkyl, alkoxy, N-protected amino acid residue, Ph, etc.; Z = NHCO2CH2-Sup, OCH2-Sup, etc.; Sup = solid support]. For instance, Sup-ONH2 reacted with 15 isatoic anhydrides to give 15 supported

2-amino-N-hydroxybenzamides Sup-ONH-CO-C6H4-n(R1)n-NH2-2. The latter compds. were mixed into 5 groups of 3, and each group was then split 16 ways and cyclized sep. with each of 16 Fmoc-protected amino acids, using PyBrOP in DMAC as the condensing agent. Each of the 80 resultant Fmoc-protected quinazolinone mixts. was deprotected with piperidine, sepd. into 24 wells of a reactor block, and reacted with a selection of 8 chloroformates, 8 **sulfonyl** chlorides, and 8 isocyanates. The resulting 1920 product mixts. were treated with TFA to cleave the resin, yielding a library of 5760 different 3-hydroxyquinazolin-4-ones [II; R1 = H, Me, MeO, halo, and/or NO2; R2 = amino acid sidechain; R3 = other sidechain forming a carbamate, **sulfonamide**, or urea group], as 3-compd. mixts., which were stored for future bioassay.

IT **324528-85-0DP**, derivs., resin-bound **324528-86-1DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; methods for prepn. of N-amino- and N-hydroxy-quinazolinones and combinatorial libraries thereof)

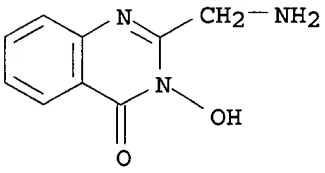
RN 324528-85-0 CAPLUS

CN Carbamic acid, [(3,4-dihydro-3-hydroxy-4-oxo-2-quinazolinyl)methyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



RN 324528-86-1 CAPLUS

CN 4(3H)-Quinazolinone, 2-(aminomethyl)-3-hydroxy- (9CI) (CA INDEX NAME)

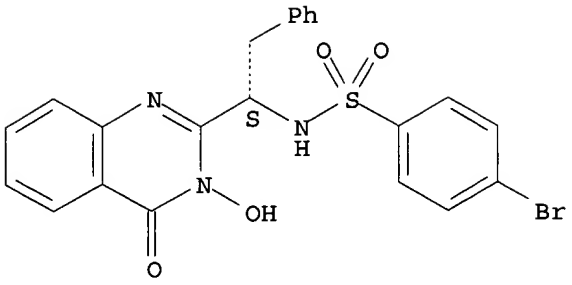


IT **324528-53-2P 324528-54-3P 324528-55-4P 324528-61-2P 324528-62-3P 324528-88-3DP**, esters, derivs. **324528-91-8DP**, derivs. **324528-92-9DP**, derivs. **324528-94-1DP**, derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(target compd.; methods for prepn. of N-amino- and N-hydroxy-quinazolinones and combinatorial libraries thereof)

RN 324528-53-2 CAPLUS

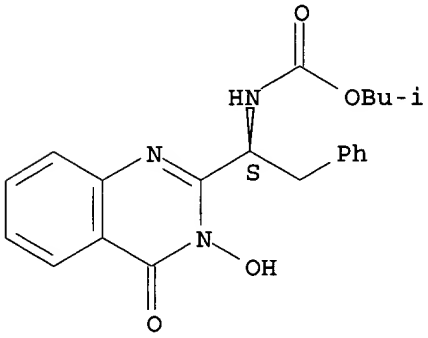
CN Benzenesulfonamide, 4-bromo-N-[(1S)-1-(3,4-dihydro-3-hydroxy-4-oxo-2-quinazolinyl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



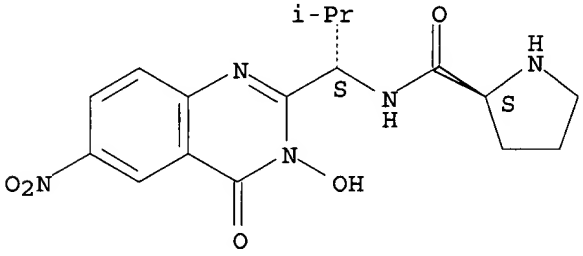
RN 324528-54-3 CAPLUS
CN Carbamic acid, [(1S)-1-(3,4-dihydro-3-hydroxy-4-oxo-2-quinazolinyl)-2-phenylethyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



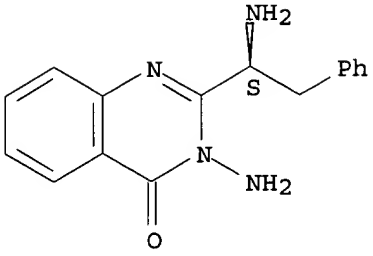
RN 324528-55-4 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(1S)-1-(3,4-dihydro-3-hydroxy-6-nitro-4-oxo-2-quinazolinyl)-2-methylpropyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



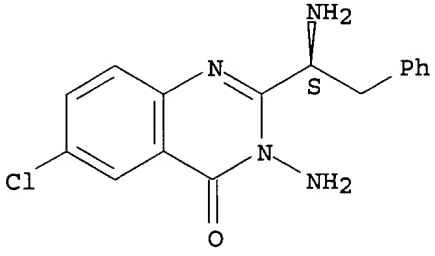
RN 324528-61-2 CAPLUS
CN 4(3H)-Quinazolinone, 3-amino-2-[(1S)-1-amino-2-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

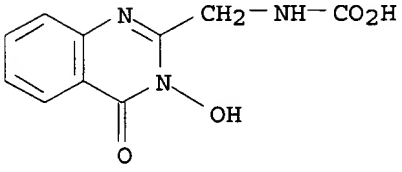


RN 324528-62-3 CAPLUS
CN 4(3H)-Quinazolinone, 3-amino-2-[(1S)-1-amino-2-phenylethyl]-6-chloro- (9CI) (CA INDEX NAME)

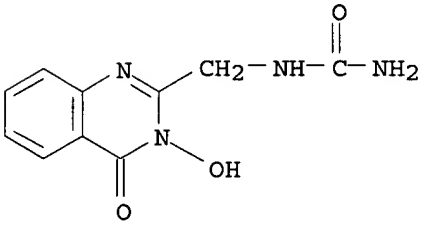
Absolute stereochemistry.



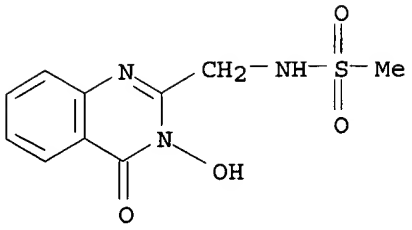
RN 324528-88-3 CAPLUS
CN Carbamic acid, [(3,4-dihydro-3-hydroxy-4-oxo-2-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



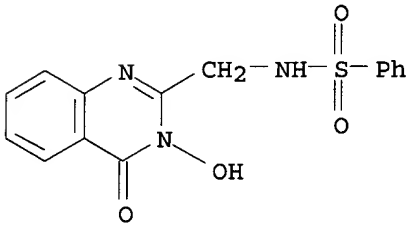
RN 324528-91-8 CAPLUS
CN Urea, [(3,4-dihydro-3-hydroxy-4-oxo-2-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



RN 324528-92-9 CAPLUS
CN Methanesulfonamide, N-[(3,4-dihydro-3-hydroxy-4-oxo-2-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



RN 324528-94-1 CAPLUS
CN Benzenesulfonamide, N-[(3,4-dihydro-3-hydroxy-4-oxo-2-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:666928 CAPLUS
DOCUMENT NUMBER: 133:261508
TITLE: Screening of antiviral compounds targeted to the HIV-1 gp41 core structure
INVENTOR(S): Jiang, Shibo; Debnath, Asim K.
PATENT ASSIGNEE(S): New York Blood Center, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

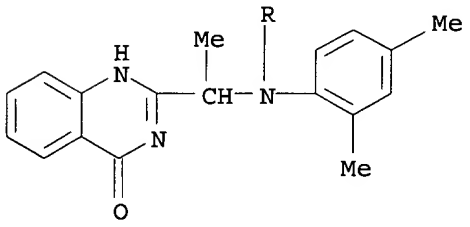
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055377	A1	20000921	WO 2000-US6771	20000315
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1161564	A1	20011212	EP 2000-917952	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

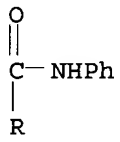
PRIORITY APPLN. INFO.: US 1999-124907P P 19990317
US 2000-525874 A 20000314
WO 2000-US6771 W 20000315
OTHER SOURCE(S): MARPAT 133:261508
AB A method for the screening of antiviral compds. targeted to the HIV-1 gp41

core structure comprises capturing polyclonal antibodies from an animal other than a mouse directed against a trimer of a heterodimer contg. an N-peptide and a C-peptide onto a solid-phase, mixing a compd. to be tested with an N-peptide and then adding a C-peptide, adding the resultant mixt. to the resultant polyclonal antibody-coated solid-phase and then removing unbound peptides and unbound compd., adding a monoclonal antibody directed against the trimer of a heterodimer contg. an N-peptide and a C-peptide and measuring the antibody binding of the monoclonal antibody. A method for inhibiting HIV-1 virus replication or infectivity in a patient involves administering to the patient an antiviral compd. targeted to the HIV-1 gp41 core structure selected from the group consisting of 7-[6-phenylamino-4[4-[(3,5-disulfo-8-hydroxynaphthyl)azo]-2-methoxy-5-methyl-phenylamino]-1,3,5-triazine-2-yl]-4-hydroxy-3-[(2-methoxy-5-sulfophenyl)azo]-2-naphthalene **sulfonic** acid and 5-[(4-chloro-6-phenylamino-1,3,5-triazine-2-yl)-aminol]-4-hydroxy-3-[(4-methyl-5-sulfophenyl)azo]-2,7-naphthalene disulfonic acid.

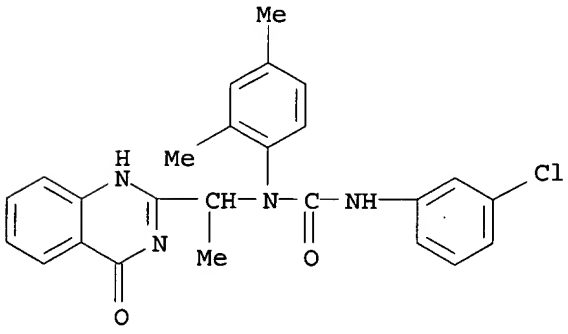
IT **245764-86-7 245764-87-8 245764-88-9**
245764-89-0 245764-90-3 294844-30-7
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(screening of antiviral compds. targeted to HIV-1 gp41 core structure)

RN 245764-86-7 CAPLUS
CN Urea, N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2,4-dimethylphenyl)-N'-phenyl- (9CI) (CA INDEX NAME)

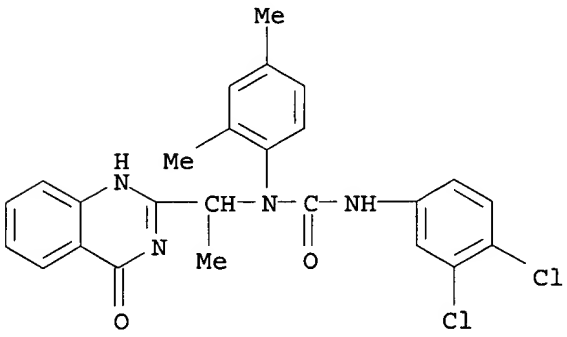




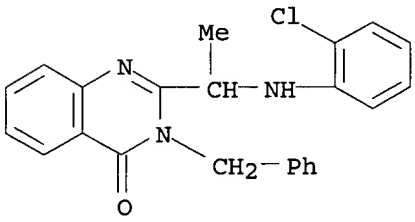
RN 245764-87-8 CAPLUS
CN Urea, N'-(3-chlorophenyl)-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2,4-dimethylphenyl)- (9CI) (CA INDEX NAME)



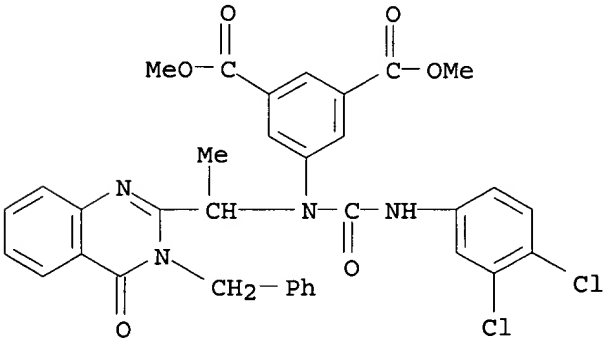
RN 245764-88-9 CAPLUS
CN Urea, N'-(3,4-dichlorophenyl)-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2,4-dimethylphenyl)- (9CI) (CA INDEX NAME)



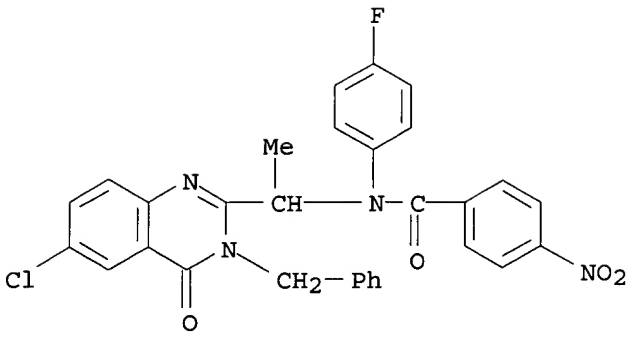
RN 245764-89-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[(2-chlorophenyl)amino]ethyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 245764-90-3 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5-[[[(3,4-dichlorophenyl)amino]carbonyl][1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 294844-30-7 CAPLUS
CN Benzamide, N-[1-[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-fluorophenyl)-4-nitro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:561006 CAPLUS
DOCUMENT NUMBER: 133:170210
TITLE: Silver halide photographic material containing dye dispersant and coupler
INVENTOR(S): Iwagaki, Masaru; Kawabe, Satomi; Kawashima, Yasuhiko
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 66 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000227645	A2	20000815	JP 1999-28588	19990205

OTHER SOURCE(S): MARPAT 133:170210
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

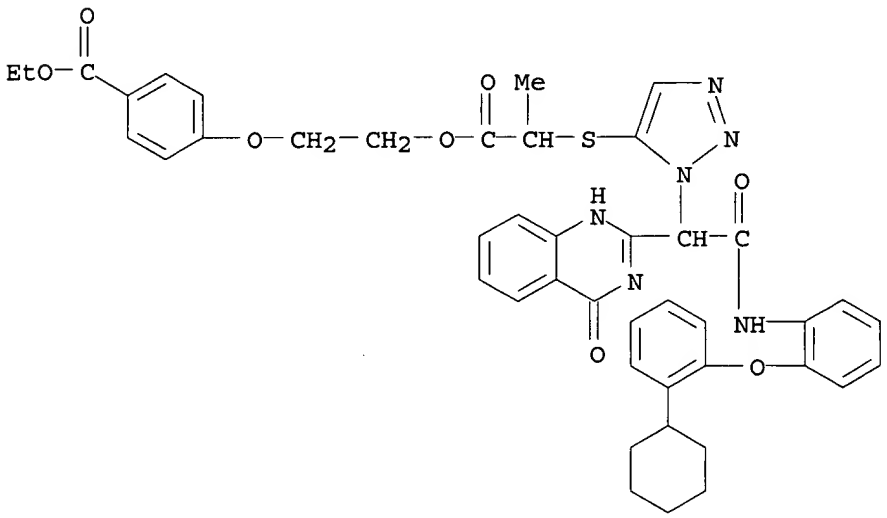
AB The title photog. material, possessing photog. constitutive layers including .gtoreq.1 blue-photosensitive, .gtoreq.1 green-photosensitive, and .gtoreq.1 red-photosensitive layers and .gtoreq.1 non-photosensitive layer on a support, contains .gtoreq.1 dye I [A = acidic nucleus; L1-3 = methine; n1 = 0-2; X1 = O, S, Se; R11-12 = H, (substituted) alkyl; R13-14 = alkyl], and (i) magenta coupler II (R21 = arylthio; R22 = aryl; R23 = substituent; n2 = 1-5), (ii) .gtoreq.1 cyan coupler III (R31 = alkyl, cycloalkyl; R32 = substituent; n3 = 1-4; X3 = group releasing upon reaction with an oxidized color developing agent) or (iii) .gtoreq.1 DIR compd. IV, V, YTSCR41R42CO2CR46R47X6 or YTSCR41R42CO2(CH2)2W [Y = yellow coupler residue capable of coupling with an oxidized color developing agent; T = (substituted) 1,2,4- or 1,2,3-triazole skeleton linking to the coupling position of Y by N atom; S = S linking to the C atom of T; R41, R46 = H, (substituted) alkyl, (substituted) aryl; R42, R43, R47 = (substituted) alkyl, (substituted) aryl; R44, R45 = substituent; X6 = oxycarbonyl, carbamoyl, **carbonyl**; W = (substituted) aryloxy, (substituted) arylthio, (substituted) **sulfonyl**; n4 = 0-4; m = 0-5]. The material may contain, in .gtoreq.1 of the photog. constitutive layers, a mixt. of .gtoreq.2 dyes in which .gtoreq.80% of the chem. structural formulas are the same but the other structural portions are

different from each other. The photog. material shows improved sharpness and storage stability.

IT **287980-01-2**
RL: DEV (Device component use); USES (Uses)
(photog. film contg. dye dispersant and coupler)

RN 287980-01-2 CAPLUS

CN Benzoic acid, 4-[2-[2-[[1-[2-[[2-(2-cyclohexylphenoxy)phenyl]amino]-1-(1,4-dihydro-4-oxo-2-quinazolinyl)-2-oxoethyl]-1H-1,2,3-triazol-5-yl]thio]-1-oxopropoxy]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

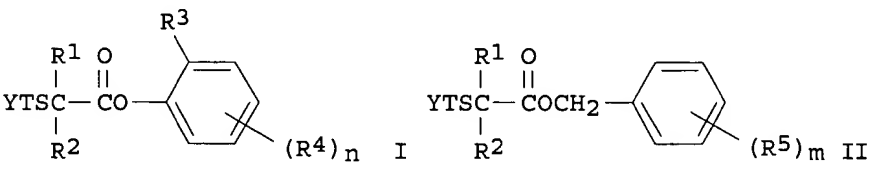


L5 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:189042 CAPLUS
DOCUMENT NUMBER: 130:289163
TITLE: Silver halide color photographic material with improved sharpness and storage stability
INVENTOR(S): Ishige, Osamu; Tozai, Masakazu; Nishizeki, Masato; Sato, Naoki
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11072887	A2	19990316	JP 1998-171337	19980618
US 6027867	A	20000222	US 1998-100722	19980619

PRIORITY APPLN. INFO.: JP 1997-168844 19970625
OTHER SOURCE(S): MARPAT 130:289163
GI



AB The Ag halide color photog. material contains a photog. DIR (development inhibitor releasing) coupler represented by I (Y = yellow coupler residue; T = 1,2,4-triazole, 1,2,3-triazole; R1 = H, alkyl, aryl; R2 = alkyl, aryl; R3 = alkyl, aryl; R4 = substituent; n = 0-4), II (Y, T, R1, R2 = same as the above; R5 = alkyl, aryl; m = 0-5), YTSCR1R2COOCR6R7X (Y, T, R1, R2 = same as the above; R6 = H, alkyl, aryl; R7 = alkyl, aryl; X = oxycarbonyl, carbamoyl, **carbonyl**), or YTSCR1R2COOCH2CH2W (Y, T, R1, R2 = same as the above; W = aryloxy, arylthio, **sulfonyl**).

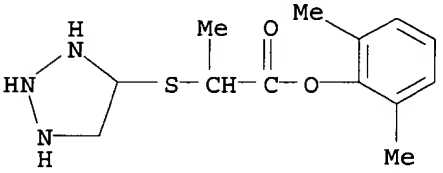
IT **221633-12-1 221633-14-3 221633-32-5 221633-38-1**
RL: DEV (Device component use); USES (Uses)
(DIR coupler in silver halide color photog. material with improved sharpness and storage stability)

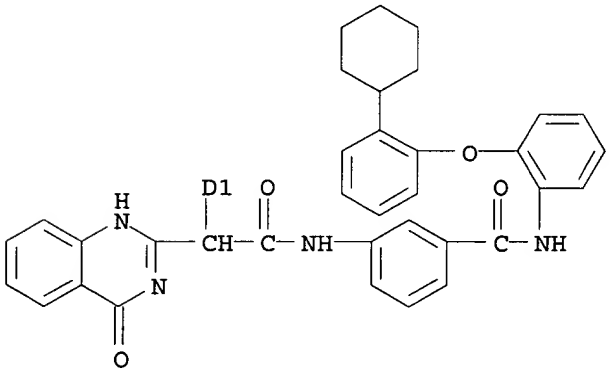
RN 221633-12-1 CAPLUS

CN Propanoic acid, 2-[[N-[2-[[3-[[[2-(2-cyclohexylphenoxy)phenyl]amino]carbonyl]phenyl]amino]-1-(1,4-dihydro-4-oxo-2-quinazolinyl)-2-oxoethyl]-1H(or 2H)-1,2,3-triazolyl]thio]-, 2,6-dimethylphenyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 221633-11-0
CMF C48 H49 N7 O6 S
CCI IDS
CDES *



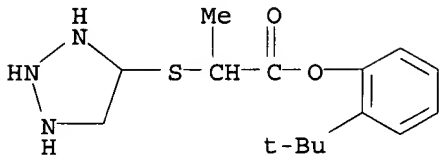


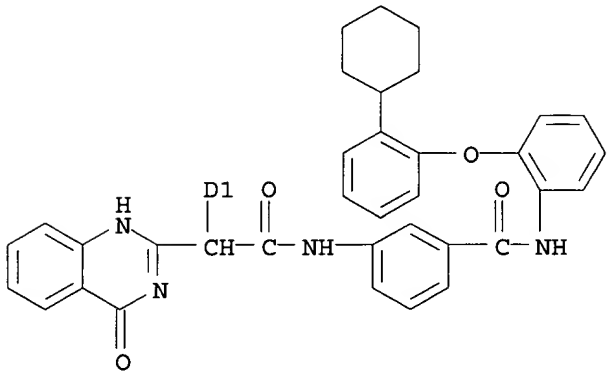
RN 221633-14-3 CAPLUS

CN Propanoic acid, 2-[[N-[2-[[3-[[[2-(2-cyclohexylphenoxy)phenyl]amino]carbonyl]phenyl]amino]-1-(1,4-dihydro-4-oxo-2-quinazolinyl)-2-oxoethyl]-1H(or 2H)-1,2,3-triazolyl]thio]-, 2-(1,1-dimethylethyl)phenyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 221633-13-2
CMF C50 H53 N7 O6 S
CCI IDS
CDES *

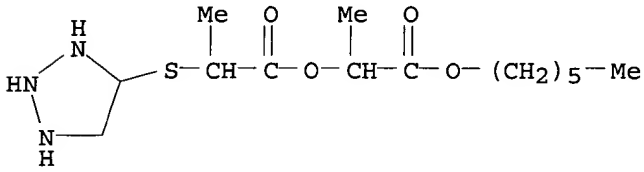


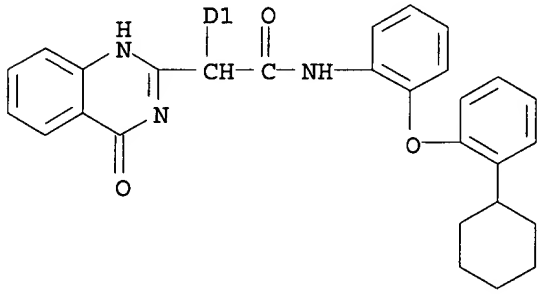


RN 221633-32-5 CAPLUS
CN Propanoic acid, 2-[[N-[2-[[2-(2-cyclohexylphenoxy)phenyl]amino]-1-(1,4-dihydro-4-oxo-2-quinazolinyl)-2-oxoethyl]-1H(or 2H)-1,2,3-triazolyl]thio]-, 2-(hexyloxy)-1-methyl-2-oxoethyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 221633-31-4
CMF C42 H52 N6 O7 S
CCI IDS
CDES *

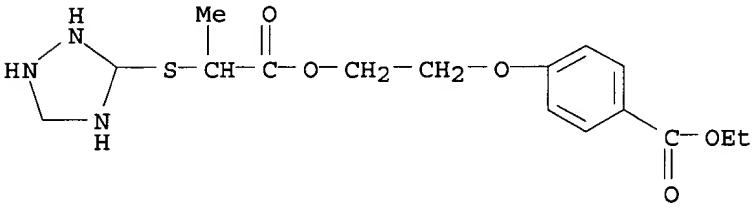


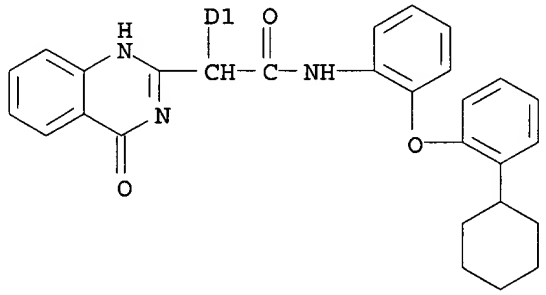


RN 221633-38-1 CAPLUS
CN Benzoic acid, 4-[2-[2-[[1(or 4)-[2-[[2-(2-cyclohexylphenoxy)phenyl]amino]-1-(1,4-dihydro-4-oxo-2-quinazolinyl)-2-oxoethyl]-1H(or 4H)-1,2,4-triazolyl]thio]-1-oxopropoxy]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

CM 1

CRN 221633-37-0
CMF C44 H48 N6 O8 S
CCI IDS
CDES *

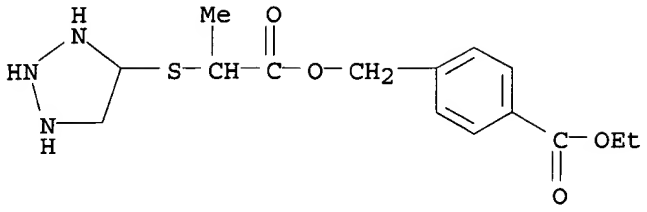


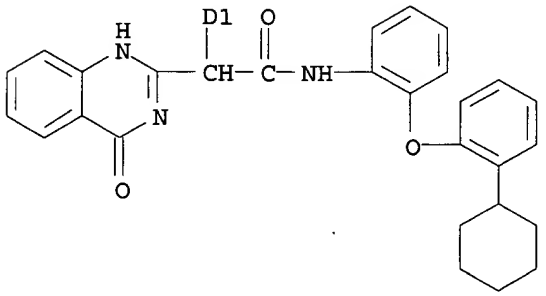


IT **221633-02-9P**
RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(in prepn. of photog. DIR coupler)
RN 221633-02-9 CAPLUS
CN Benzoic acid, 4-[[2-[[1(or 2)-[2-[[2-(2-cyclohexylphenoxy)phenyl]amino]-1-(1,4-dihydro-4-oxo-2-quinazolinyl)-2-oxoethyl]-1H(or 2H)-1,2,3-triazolyl]thio]-1-oxopropoxy]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

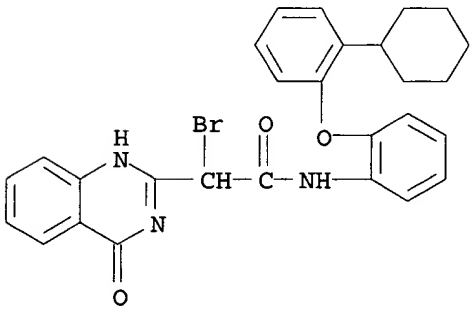
CM 1

CRN 221633-01-8
CMF C43 H46 N6 O7 S
CCI IDS
CDES *

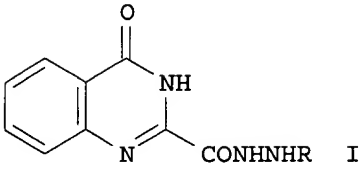




IT **134555-29-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(in prepn. of photog. DIR coupler)
RN 134555-29-6 CAPLUS
CN 2-Quinazolineacetamide, .alpha.-bromo-N-[2-(2-cyclohexylphenoxy)phenyl]-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



L5 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:89943 CAPLUS
DOCUMENT NUMBER: 130:223234
TITLE: Synthesis and anti-monoamine oxidase activity of 1-(4-quinazolone-2-**carbonyl**)-2-alkyl(or aralkyl)hydrazines
AUTHOR(S): Mekuskiene, G.; Rocka, V.; Vainilavicius, P.
CORPORATE SOURCE: Vil'nyuss. Univ., Vilnius, Lithuania
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1998), 32(10), 10-12
CODEN: KHFZAN; ISSN: 0023-1134
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



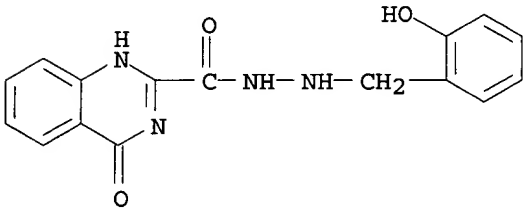
I

AB Title compds. I (R = CHMe2, CHMePh, CHMeC6H4OH-2) were prepd. by condensation of I (R = H) with ketones, followed by borohydride redn. These compds. and the previously prepd. I (R = CH2Ph, CH2C6H4OH-2) were tested for in vitro anti-monoamine oxidase activity; I (R = CHMe2, CHMePh) were also tested in vivo. Comparison with previously tested pyrimidine analogs showed that replacement of the pyrimidine moiety by the quinazoline moiety resulted in lower anti-monoamine oxidase activity and lower toxicity.

IT **202746-94-9 221071-86-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anti-monoamine oxidase activity of)

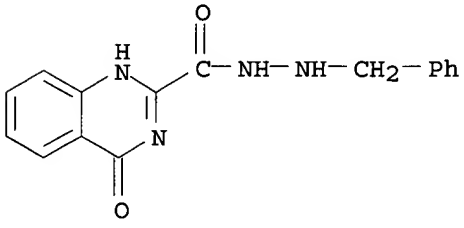
RN 202746-94-9 CAPLUS

CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, 2-[(2-hydroxyphenyl)methyl]hydrazide (9CI) (CA INDEX NAME)



RN 221071-86-9 CAPLUS

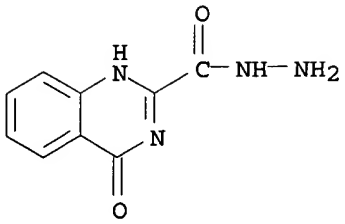
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, 2-(phenylmethyl)hydrazide (9CI) (CA INDEX NAME)



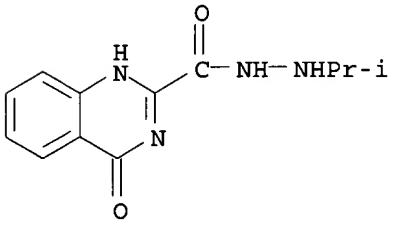
IT **34632-71-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with ketones)

RN 34632-71-8 CAPLUS

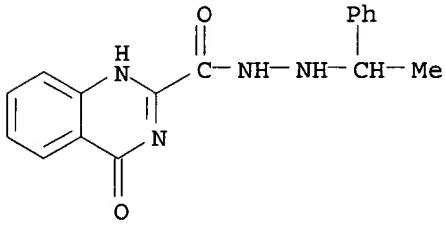
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, hydrazide (9CI) (CA INDEX NAME)



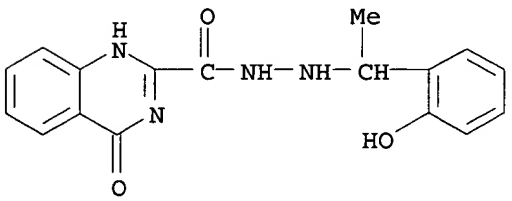
IT **221071-87-0P 221071-88-1P 221071-89-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anti-monoamine oxidase activity of)
RN 221071-87-0 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, 2-(1-methylethyl)hydrazide (9CI) (CA INDEX NAME)



RN 221071-88-1 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, 2-(1-phenylethyl)hydrazide (9CI) (CA INDEX NAME)

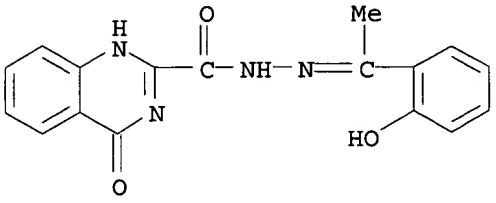


RN 221071-89-2 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, 2-[1-(2-hydroxyphenyl)ethyl]hydrazide (9CI) (CA INDEX NAME)

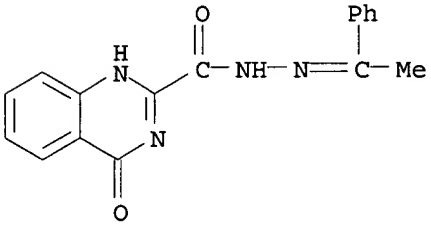


IT **202746-92-7P 202747-09-9P 221071-85-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

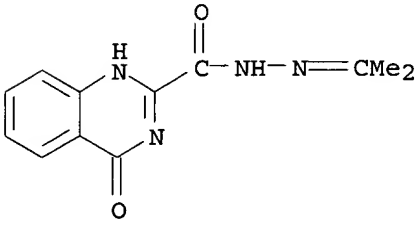
(prepn. and redn. of)
RN 202746-92-7 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, [1-(2-hydroxyphenyl)ethylidene]hydrazide (9CI) (CA INDEX NAME)



RN 202747-09-9 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, (1-phenylethylidene)hydrazide (9CI) (CA INDEX NAME)



RN 221071-85-8 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, (1-methylethylidene)hydrazide (9CI) (CA INDEX NAME)

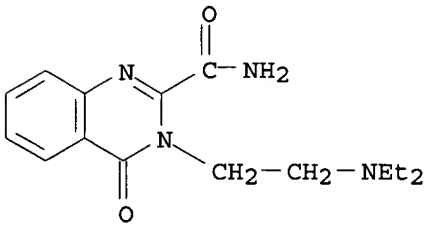


L5 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:19452 CAPLUS
DOCUMENT NUMBER: 130:177140
TITLE: Correspondence analysis of protein kinase C (PKC) inhibition by bis-basic substituted benzamides
AUTHOR(S): Gilbert, Jacques; Cheminant, Michel; Bignon, Eric; Pons, Michel; Ojasoo, Tiiu; Dore, Jean-Christophe
CORPORATE SOURCE: CNRS-SIRCOB, Universite de Versailles/St. Quentin-en-Yvelines, Versailles, 78000, Fr.
SOURCE: Drug Design and Discovery (1998), 15(4), 253-267, 2 plates
CODEN: DDDIEV; ISSN: 1055-9612
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of a novel series of bis-basic substituted benzamides and their relative potency in inhibiting rat brain protein kinase alpha

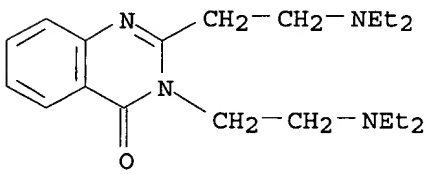
(PKC.alpha.) activity were described. None of the compds. inhibited enzyme activity via the catalytic domain but several did via the regulatory domain at 1-5.mu.M concns. Inhibition was comparable to that of several di- and triphenylacrylonitriles and triphenylethylenes. According to a multivariate factor (correspondence) anal. of QSAR descriptors, hydrophobicity (log p) and hydration energy were the most discriminant descriptors, much more so than mol. mass, molar refractivity, polarizability, mol. vol. and solvent-accessible surface. Inhibitory activity was correlated with high hydrophobicity and low hydration energy. The higher potency of N,N'-oxalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL9) that differed from its congener by the presence of an oxamide rather than succinamide moiety was tentatively explained by the greater neg. charges assocd. with the **carbonyl** groups of its oxamide residue. The higher potency of N,N'-terephthalylbis[(o-amino)[2-(diethylamino)ethyl]benzamide] (GL22) in which an arom. ring is inserted between two benzamide moieties in para,para' rather than ortho,ortho' positions might be due to a planar conformation facilitating membrane insertion. In conclusion, correspondence anal. is a neat way of highlighting similarities and differences in mol. properties (QSAR descriptors and potency). Therapeutic doses of many classes of drug might interfere with the regulatory domain of PKC.alpha. if, like the test-compds., they have basic side-chain(s), high hydrophobicity, low hydration energy, a planar conformation and/or a highly charged reactive (oxamide) moiety. The compds. thus prepd. were tested against tamoxifen and analogs thereof.

IT **220583-05-1P 220583-08-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and protein kinase C-inhibiting activity of benzamide derivs.)

RN 220583-05-1 CAPLUS
CN 2-Quinazolinecarboxamide, 3-[2-(diethylamino)ethyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



RN 220583-08-4 CAPLUS
CN 4(3H)-Quinazolinone, 2,3-bis[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:422519 CAPLUS

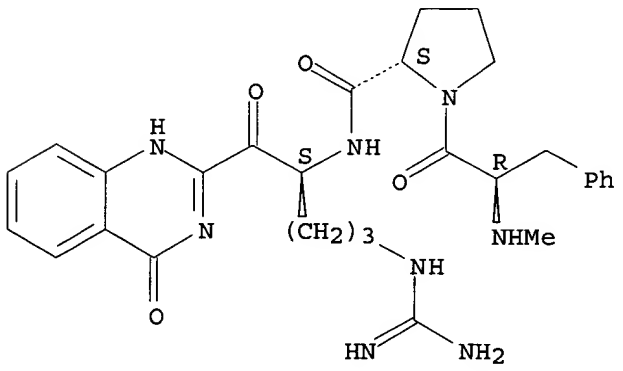
DOCUMENT NUMBER: 125:104245
TITLE: Potent thrombin inhibitors that probe the S1' subsite: tripeptide transition state analogs based on a heterocycle-activated **carbonyl** group
AUTHOR(S): Costanzo, Michael J.; Maryanoff, Bruce; Hecker, Leonard R.; Schott, Mary R.; Yabut, Stephen C.; Zhang, Han-Cheng; Andrade-Gordon, Patricia; Kauffman, Jack A.; Lewis, Joan M.; et al.
CORPORATE SOURCE: R. W. Johnson Pharmaceutical Research Institute, Spring House, PA, 19477, USA
SOURCE: J. Med. Chem. (1996), 39(16), 3039-3043
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of peptidoyl heterocycles with the motif Me-(D-Phe)-Pro-Arg-Het was synthesized and evaluated for inhibition of human .alpha.-thrombin and bovine trypsin. The preferred form of "Het" was a 2-azole, with the best thrombin inhibitor (Ki = 0.19 nM) having a 2-benzothiazole group (2, RWJ-50353). The best selectivity for thrombin over trypsin (try/thr ratio = 88) was obtained with the N-methyl-2-imidazole group (thrombin Ki = 50 nM). In analogs of 2 with the activated **carbonyl** reduced to an alc. group (two diastereomers), there was a substantial loss of thrombin inhibition , as expected for a transition state analog. Inhibitor 2 shows excellent selectivity for thrombin over other blood coagulation enzymes, such as plasmin (ratio = 12,000), tPA (ratio = 3,300), activated protein C (ratio = 19,000), and streptokinase (ratio = 6,300), but the selectivity of 2 for thrombin over trypsin is more modest (ratio = 16). Compd. 2 has an IC50 value of 23.+-.2 nM for inhibition of thrombin-induced platelet aggregation (human, gel-filtered). The mol. structure of a complex between 2, human .alpha.-thrombin, and hirugen was detd. by x-ray crystallog. Besides the std. active-site interactions for tripeptide thrombin inhibitors, the structure shows novel interactions in the S1' region, where the benzothiazole ring forms a hydrogen bond with His-57 and an arom. stacking interaction with Trp-60D of the unique insertion loop of thrombin.

IT **178926-01-7P**
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptidoyl heterocycles as potent thrombin inhibitors)

RN 178926-01-7 CAPLUS

CN L-Prolinamide, N-methyl-D-phenylalanyl-N-[4-[(aminoiminomethyl)amino]-1-[(1,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]butyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1996:392102 CAPLUS
DOCUMENT NUMBER: 125:143319
TITLE: Peptidyl heterocycles useful in the treatment of thrombin related disorders
INVENTOR(S): Costanzo, Michael J.; Maryanoff, Bruce E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 59 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5523308	A	19960604	US 1995-486473	19950607
CA 2224110	AA	19961219	CA 1996-2224110	19960603
WO 9640748	A1	19961219	WO 1996-US8456	19960603
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9659713	A1	19961230	AU 1996-59713	19960603
AU 721079	B2	20000622		
EP 833839	A1	19980408	EP 1996-917014	19960603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1192747	A	19980909	CN 1996-196103	19960603
JP 11506762	T2	19990615	JP 1996-501056	19960603
ZA 9604759	A	19971208	ZA 1996-4759	19960606
NO 9705747	A	19980203	NO 1997-5747	19971205

PRIORITY APPLN. INFO.: US 1995-486473 A 19950607
WO 1996-US8456 W 19960603

OTHER SOURCE(S): MARPAT 125:143319

AB Peptidyl heterocycles ANHCR1R2CO[B(CH2)nCO]pE (A = alkyl, substituted phenylalkyl, amino acid moiety, etc.; R1 = H, alkyl; R2 = aminoalkyl, alkoxyalkyl, Ph or substituted phenyl; B = 1,2-piperidinediyl or 4-alkyl-1,2-piperidinediyl, n = 0-3; p = 0, 1; E = heterocyclyl) or their pharmaceutically acceptable salts were prepd. for use in the treatment of thrombin and trypsin related disorders. Thus, N-methyl-D-phenylalanyl-N-[4-[(aminoiminomethyl)amino]-1S-[(benzothiazol-2-yl)**carbonyl**]butyl]-L-prolinamide (1) was prepd. from N-CBZ-N-methyl-D-phenylalanyl-L-prolyl-NG-CBZ-L-arginine-aldehyde by sequential reaction with acetone cyanohydrin, gaseous HCl in MeOH, 2-aminothiophenol, and Dess-Martin periodinane. Compd. 1 and 56 other synthesized compds. were tested for their ability to inhibit thrombin or trypsin mediated hydrolysis. Thr IC50 (.mu.M) and Trp IC50 (.mu.M) values for compd. 1 are 0.00023 and 0.0031, resp.

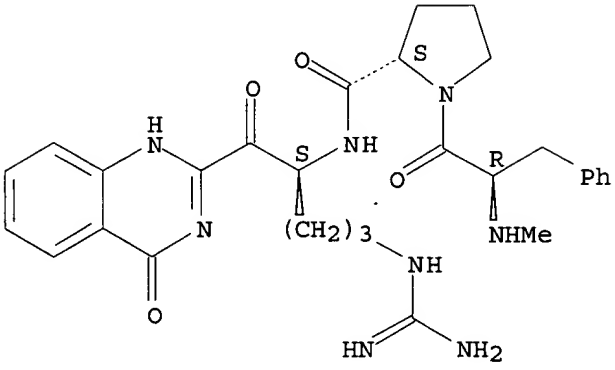
IT **180059-94-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of peptidyl heterocycles useful in the treatment of thrombin related disorders)

RN 180059-94-3 CAPLUS
CN L-Prolinamide, N-methyl-D-phenylalanyl-N-[4-[(aminoiminomethyl)amino]-1-[(1,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]butyl]-, (S)-, acetate (5:13) (9CI) (CA INDEX NAME)

CM 1

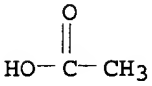
CRN 178926-01-7
CMF C29 H36 N8 O4
CDES *

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2

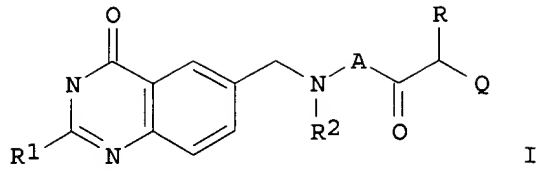


L5 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:346688 CAPLUS
DOCUMENT NUMBER: 122:160664
TITLE: Quinazoline derivatives as neoplasm inhibitors
INVENTOR(S): Barker, Andrew John; Boyle, Francis Thomas; Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): Zeneca Ltd., UK; British Technology Group Ltd.
SOURCE: Brit. UK Pat. Appl., 71 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

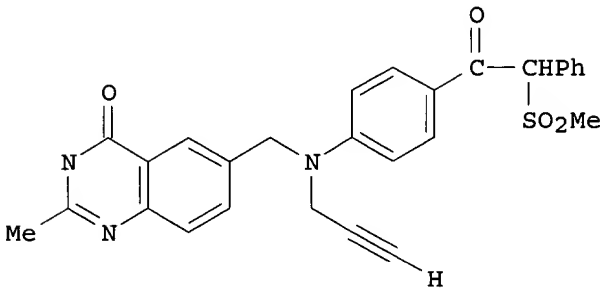
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2271111	A1	19940406	GB 1993-20077	19930929
ZA 9306768	A	19940330	ZA 1993-6768	19930914
WO 9407869	A1	19940414	WO 1993-GB2015	19930928

W: AU, BG, BR, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, PT, RO, RU, SE, SK, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: GB 1992-20571 19920930
OTHER SOURCE(S): MARPAT 122:160664
GI



I



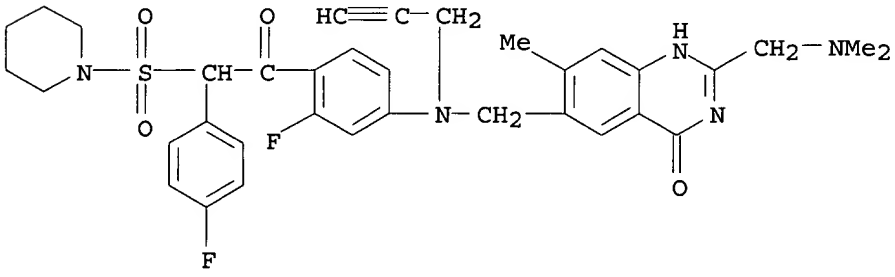
II

AB Quinazolines I (R1 = H, substituent; R2 = H, alkyl, etc.; A = phenylene, arom. heterocyclene ring; R = Ph, heteroaryl; Q = nitro, cyano, carbamoyl, etc.) were disclosed. Compds. I are useful as antitumor agents. A specifically claimed example compd. is 4-[[(2-methyl-4-oxo-3,4-dihydro-6-quinazolinyl)methyl](2-propenyl)amino]-.alpha.-(methylsulfonyl)desoxybenzoin (II).

IT **161417-83-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as neoplasm inhibitor)

RN 161417-83-0 CAPLUS

CN Piperidine, 1-[[2-[4-[[[2-[(dimethylamino)methyl]-1,4-dihydro-7-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-2-fluorophenyl]-1-(4-fluorophenyl)-2-oxoethyl]sulfonyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:457466 CAPLUS

DOCUMENT NUMBER: 121:57466

TITLE: Syntheses of indolyl-4(3H)-quinazolinones

AUTHOR(S): Hermecz, Istvan; Kokosi, Jozsef; Podanyi, Benjamin; Szasz, Gyorgy

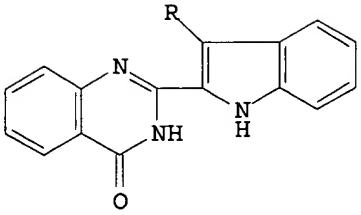
CORPORATE SOURCE: CHINOIN Pharm. and Chem. Works Ltd., Budapest, H-1325, Hung.

SOURCE: Heterocycles (1994), 37(2), 903-14
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



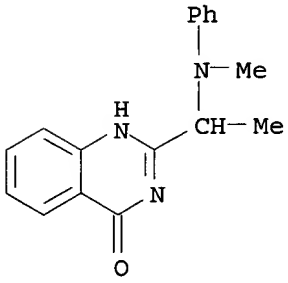
I

AB 2-(1H-Indol-2-yl)-4(3H)-quinazolinones I (R = H, Me) and 2-(2-ethoxy-**carbonyl**-1H-indol-3-yl)-4(3H)-quinazolin-4-one were prepd. by the Fischer indolization of 2-(1-phenylhydrazonoalkyl)- and 2-(2-phenylhydrazono-2-ethoxycarbonylethyl)-4(3H)-quinazolinones, resp. Also prepd. was 2-(1H-indol-3-yl)-4(3H)-quinazolinone. A reaction mechanism is discussed.

IT **155912-20-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 155912-20-2 CAPLUS

CN 4(1H)-Quinazolinone, 2-[1-(methylphenylamino)ethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:449324 CAPLUS

DOCUMENT NUMBER: 119:49324

TITLE: 4-(3H)-quinazolones. Part III: Alkyl or arylaminomethyl/substituted cinnamyl-3-p **sulfonamidophenyl**-4-(3H)-quinazolones

AUTHOR(S): Gaur, V. B.; Shah, V. H.; Parikh, A. R.

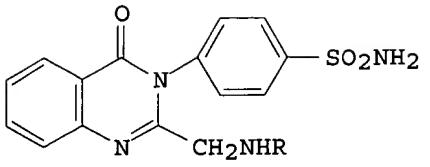
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India

SOURCE: J. Inst. Chem. (India) (1991), 63(6), 219-20
CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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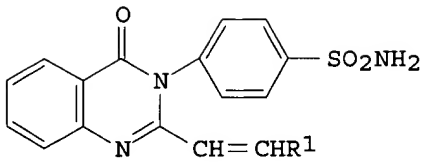
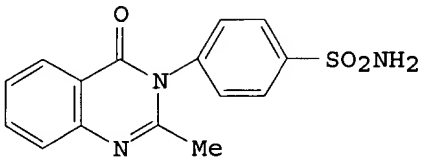


II



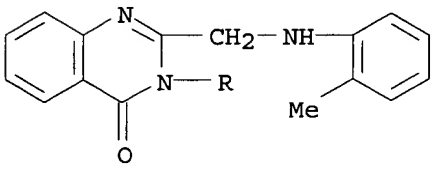
III

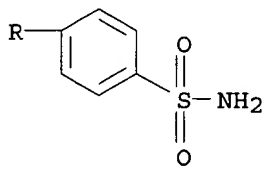
AB Title compds, including aminomethyl derivs. I (R = Ph, 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, 2-MeOC6H4, 3-MeOC6H4, 4-MeOC6H4, 2-O2NC6H4, 3-O2NC6H4, 4-O2NC6H4, 2-ClC6H4, 3-ClC6H4, 4-ClC6H4, 4-BrC6H4, PhCH2, 1-naphthyl, Me, Bu, octadecyl) and styryl derivs. II (R1 = 2-O2NC6H4, 3-O2NC6H4, 4-O2NC6H4, 2-ClC6H4, 3-ClC6H4, 4-ClC6H4), were prepd. from aminosulfonylphenylmethylquinazolone III. Several aminomethyl derivs., e.g., I (2-O2NC6H4, 3-O2NC6H4, 4-O2NC6H4, 2-ClC6H4, 3-ClC6H4, 4-ClC6H4, Bu, octadecyl) showed good bactericidal and fungicidal activity.

IT **147766-66-3P 147766-67-4P 147766-68-5P**
147766-69-6P 147766-70-9P 147766-71-0P
147766-72-1P 147766-73-2P 147766-74-3P
147766-75-4P 147766-76-5P 147766-77-6P
147766-78-7P 147766-79-8P 147766-80-1P
147766-81-2P 147766-82-3P 147766-83-4P
147766-84-5P 147766-85-6P 147766-86-7P
147792-99-2P 148472-79-1P 148472-80-4P
149411-85-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 147766-66-3 CAPLUS

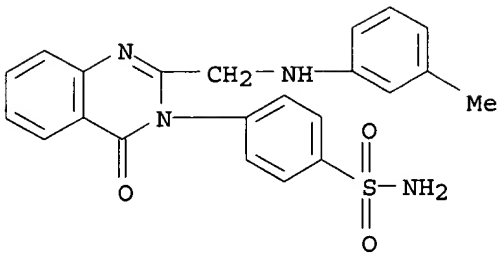
CN Benzenesulfonamide, 4-[2-[[(2-methylphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



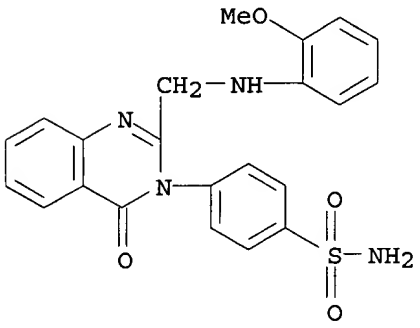


RN 147766-67-4 CAPLUS

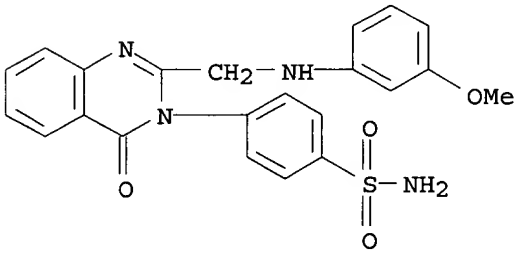
CN Benzenesulfonamide, 4-[2-[[(3-methylphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



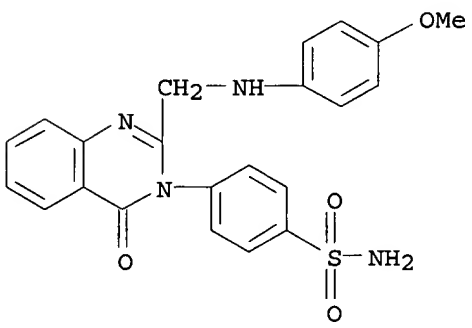
RN 147766-68-5 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-methoxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



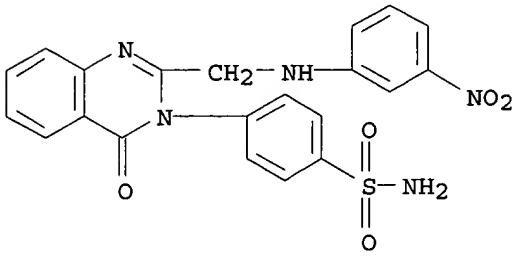
RN 147766-69-6 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-methoxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



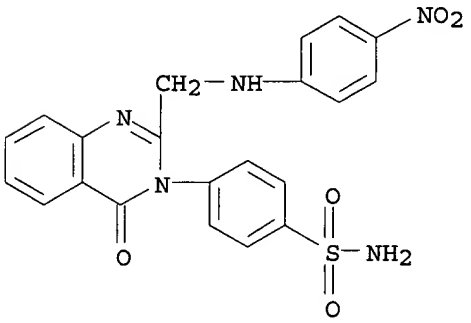
RN 147766-70-9 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-methoxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



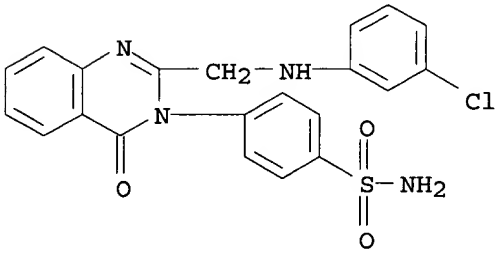
RN 147766-71-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-nitrophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



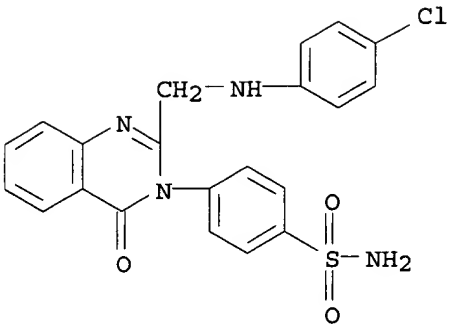
RN 147766-72-1 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-nitrophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



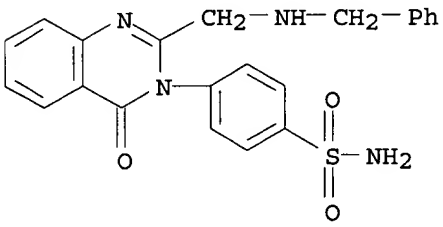
RN 147766-73-2 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-chlorophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



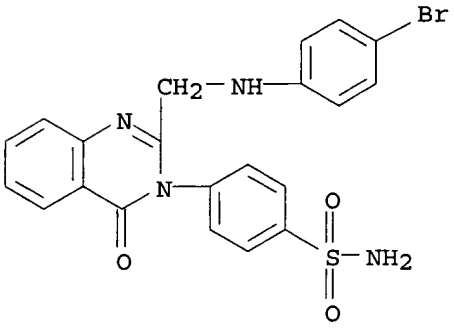
RN 147766-74-3 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-chlorophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



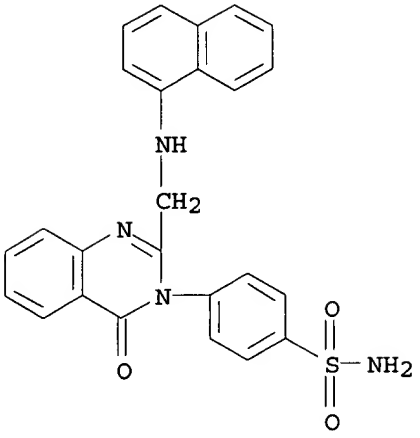
RN 147766-75-4 CAPLUS
CN Benzenesulfonamide, 4-[4-oxo-2-[[(phenylmethyl)amino]methyl]-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



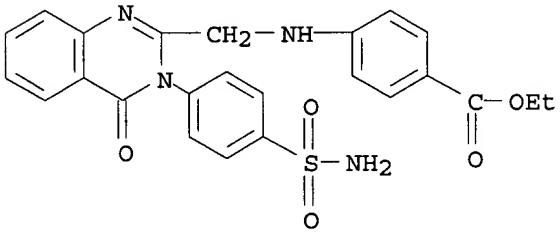
RN 147766-76-5 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-bromophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



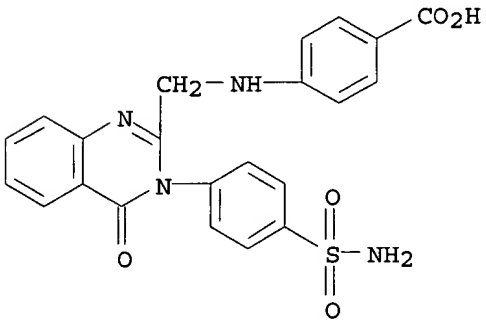
RN 147766-77-6 CAPLUS
CN Benzenesulfonamide, 4-[2-[(1-naphthalenylamino)methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



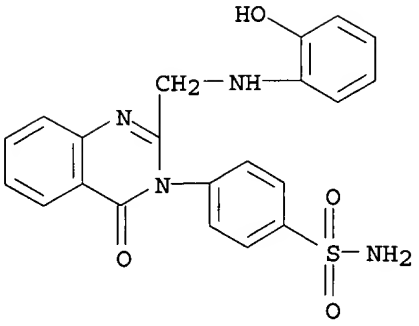
RN 147766-78-7 CAPLUS
CN Benzoic acid, 4-[[[3-[4-(aminosulfonyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



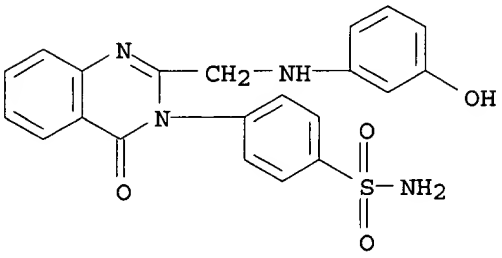
RN 147766-79-8 CAPLUS
CN Benzoic acid, 4-[[[3-[4-(aminosulfonyl)phenyl]-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 147766-80-1 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-hydroxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 147766-81-2 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-hydroxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 147766-82-3 CAPLUS
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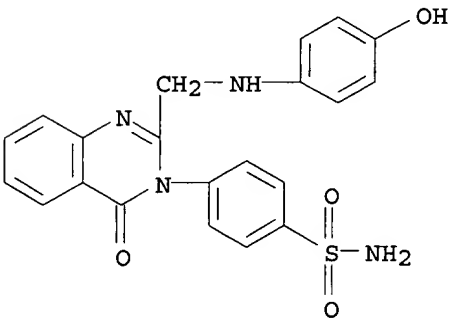
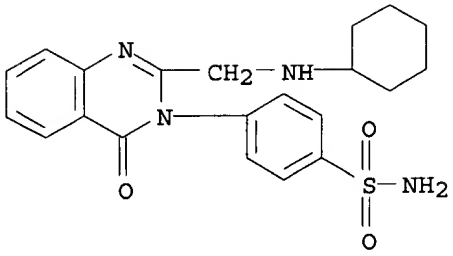
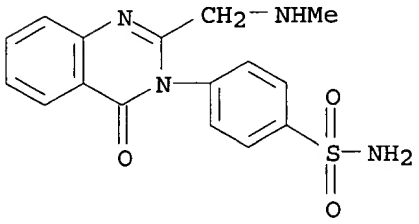


RN 147766-83-4 CAPLUS
CN Benzenesulfonamide, 4-[2-[(cyclohexylamino)methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 147766-84-5 CAPLUS
CN Benzenesulfonamide, 4-[2-[(methylamino)methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 147766-85-6 CAPLUS
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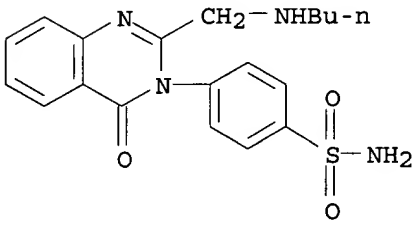
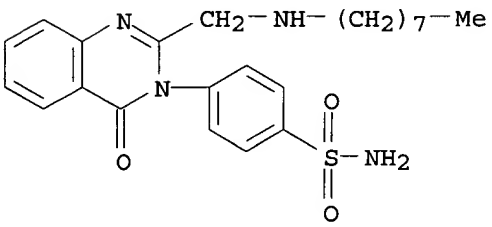


RN 147766-86-7 CAPLUS
CN Benzenesulfonamide, 4-[2-[(octylamino)methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 147792-99-2 CAPLUS
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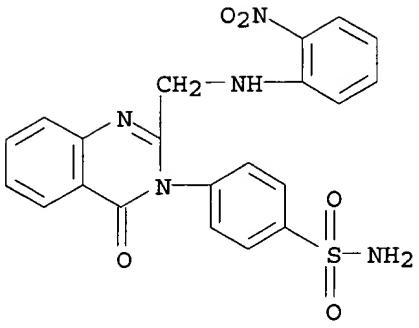
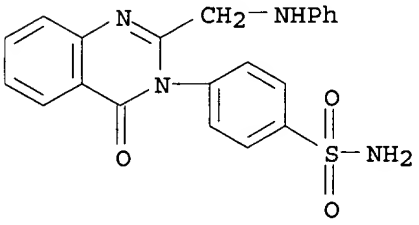
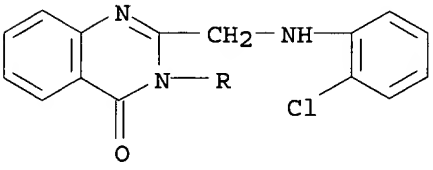
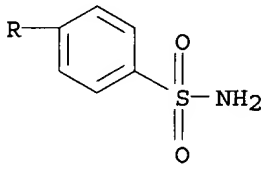


RN 148472-79-1 CAPLUS
CN Benzenesulfonamide, 4-[4-oxo-2-[(phenylamino)methyl]-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)

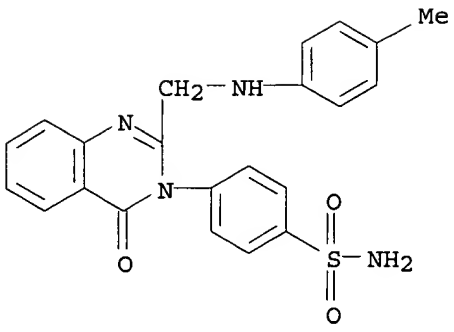


RN 148472-80-4 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-chlorophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)

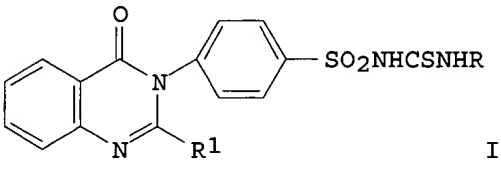




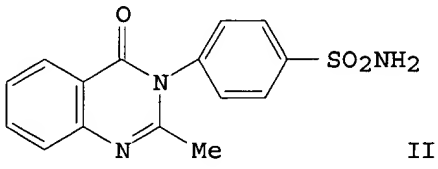
RN 149411-85-8 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-methylphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:656106 CAPLUS
DOCUMENT NUMBER: 115:256106
TITLE: 4-(3H)-quinazolones. Part II: 2-alkyl- or arylaminomethyl-substituted cinnamyl-3-p-(N-phenylthioureidosulfophenyl)-4-(3H)-quinazolones
AUTHOR(S): Gaur, V. B.; Shah, V. H.; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: J. Inst. Chem. (India) (1991), 63(2), 66-8
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

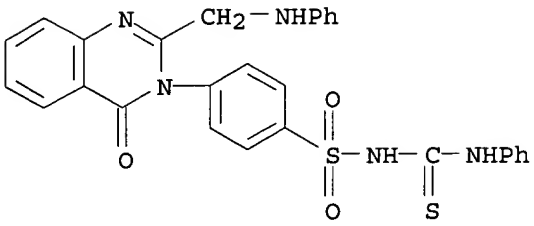


II

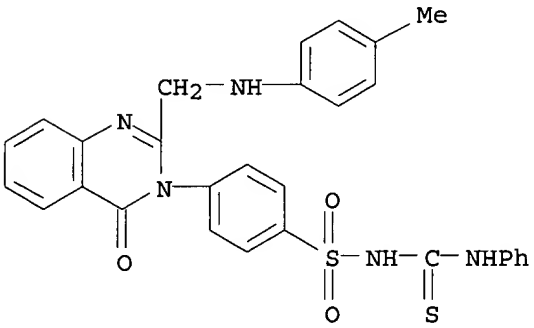
AB Title compds. I (R = Ph, substituted Ph, furyl, naphthyl, octadecyl; R1 = CH2NHR, CHBrCHBrPh, CH:CHPh) were prepd. from the **sulfonamide** II. I have bactericidal and fungicidal activity.

IT **137205-41-5P 137205-42-6P 137205-43-7P**
137205-44-8P 137205-45-9P 137205-46-0P
137205-47-1P 137205-48-2P 137205-49-3P
137205-50-6P 137205-51-7P 137205-52-8P
137205-53-9P 137205-54-0P 137205-55-1P
137205-56-2P 137205-57-3P 137205-58-4P
137205-59-5P 137205-60-8P 137205-61-9P
137205-62-0P 137205-63-1P 137205-92-6P
137205-93-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

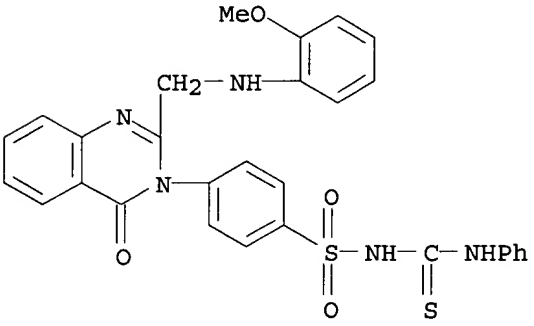
RN 137205-41-5 CAPLUS
CN Benzenesulfonamide, 4-[4-oxo-2-[(phenylamino)methyl]-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



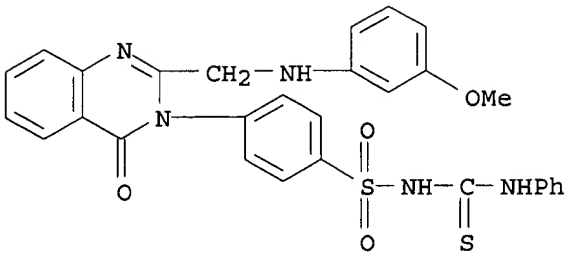
RN 137205-42-6 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-methylphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[[(phenylamino)thioxomethyl]amino]- (9CI) (CA INDEX NAME)



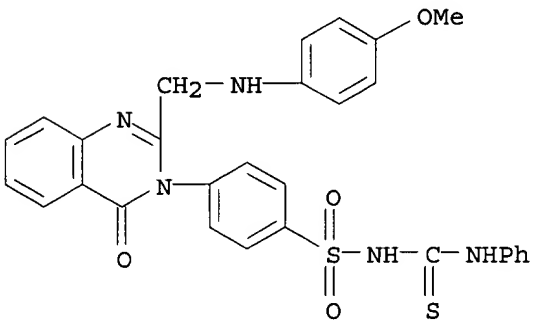
RN 137205-43-7 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-methoxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



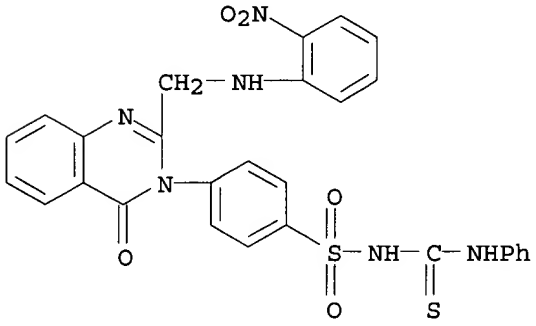
RN 137205-44-8 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-methoxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



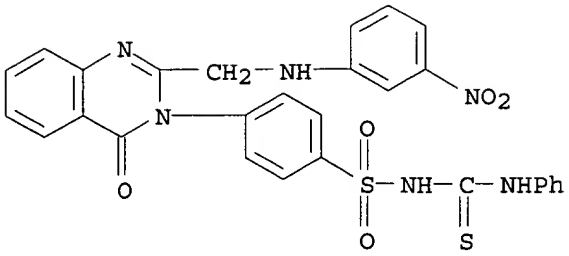
RN 137205-45-9 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-methoxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



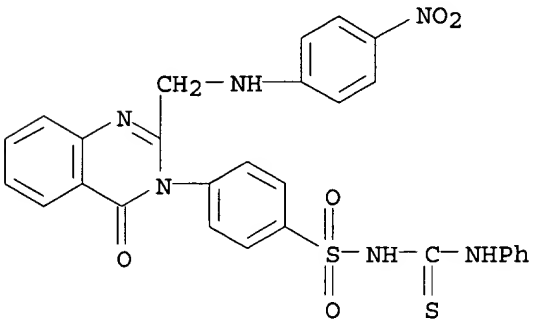
RN 137205-46-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-nitrophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



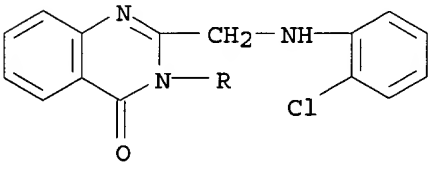
RN 137205-47-1 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-nitrophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)

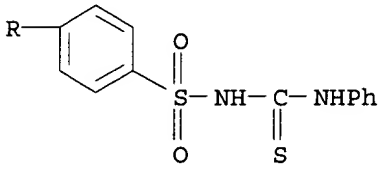


RN 137205-48-2 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-nitrophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)

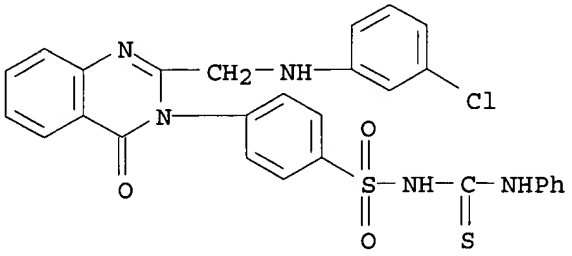


RN 137205-49-3 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-chlorophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)

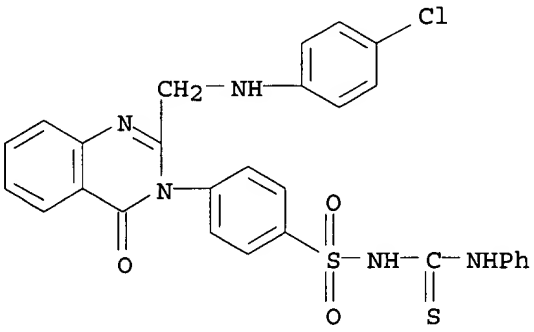




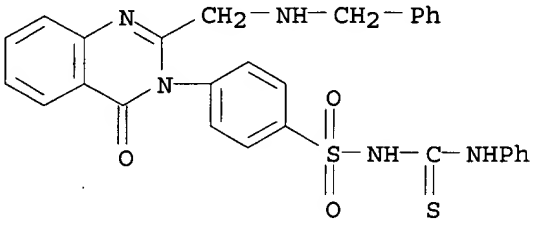
RN 137205-50-6 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-chlorophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



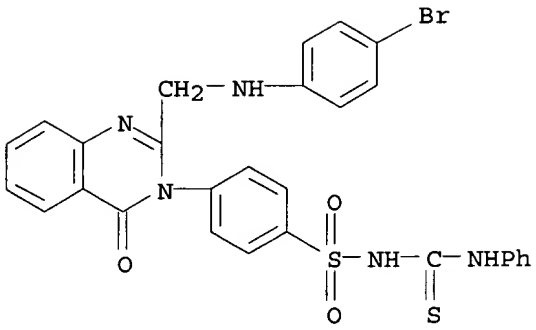
RN 137205-51-7 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-chlorophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



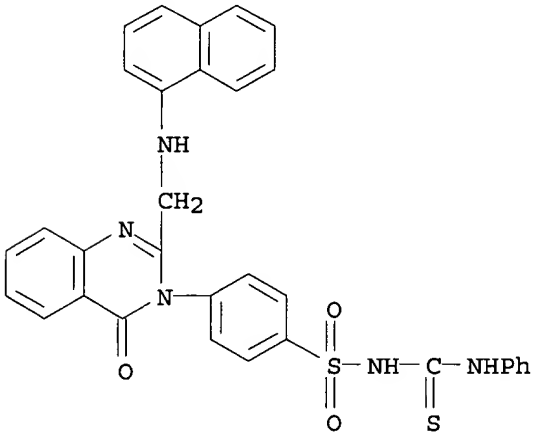
RN 137205-52-8 CAPLUS
CN Benzenesulfonamide, 4-[4-oxo-2-[[(phenylmethyl)amino]methyl]-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



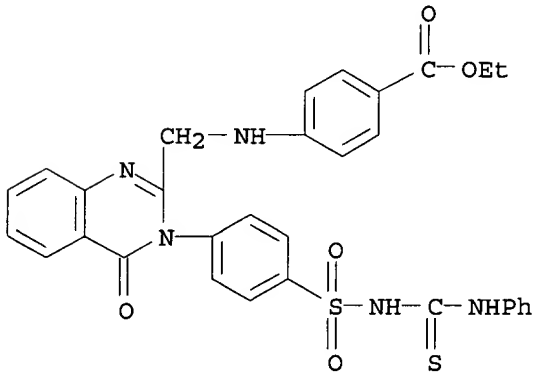
RN 137205-53-9 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-bromophenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



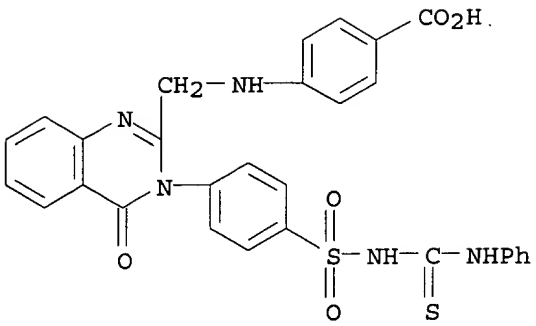
RN 137205-54-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[(1-naphthalenylamino)methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



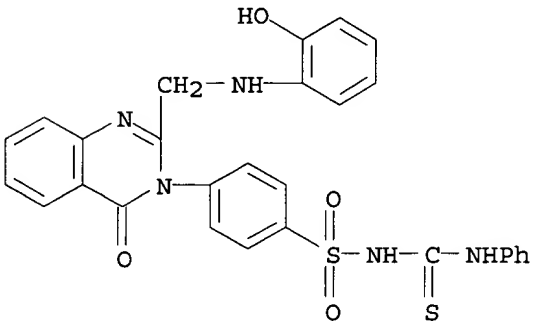
RN 137205-55-1 CAPLUS
CN Benzoic acid, 4-[[[3,4-dihydro-4-oxo-3-[4-[[[(phenylamino)thioxomethyl]amino]sulfonyl]phenyl]-2-quinazolinyl]methyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



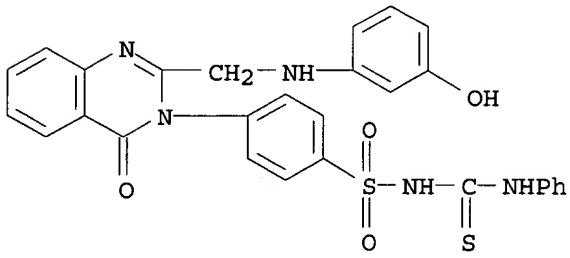
RN 137205-56-2 CAPLUS
CN Benzoic acid, 4-[[[3,4-dihydro-4-oxo-3-[4-[[[(phenylamino)thioxomethyl]amino]sulfonyl]phenyl]-2-quinazolinyl]methyl]amino]- (9CI) (CA INDEX NAME)



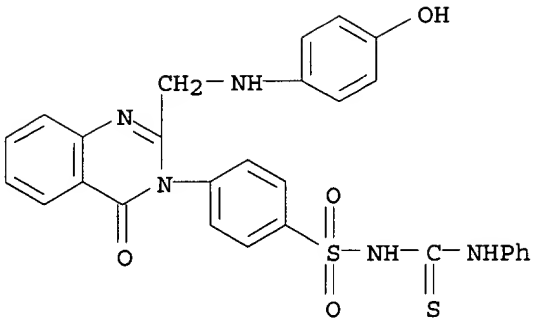
RN 137205-57-3 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-hydroxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



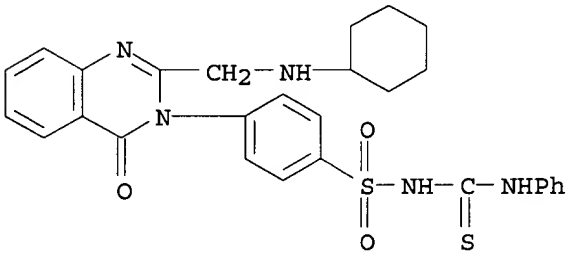
RN 137205-58-4 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-hydroxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



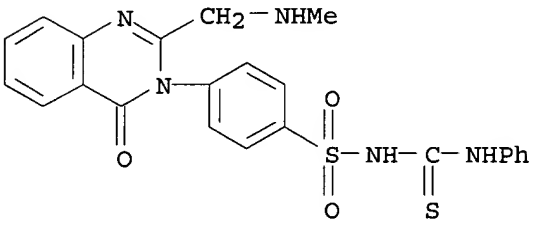
RN 137205-59-5 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(4-hydroxyphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



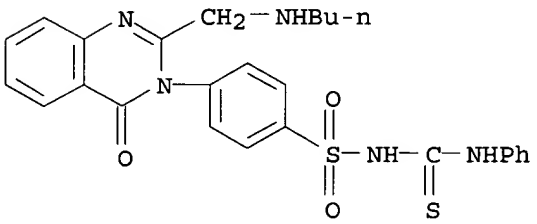
RN 137205-60-8 CAPLUS
CN Benzenesulfonamide, 4-[2-[(cyclohexylamino)methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



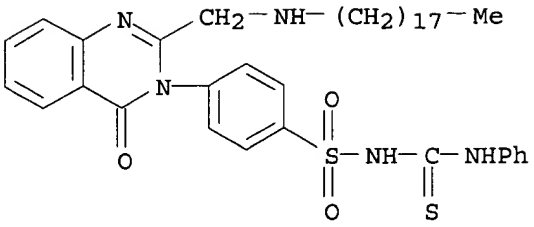
RN 137205-61-9 CAPLUS
CN Benzenesulfonamide, 4-[2-[(methylamino)methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



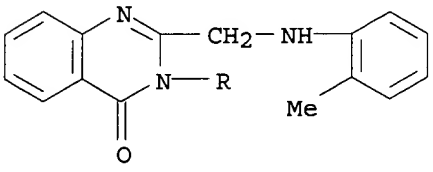
RN 137205-62-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[(butylamino)methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)

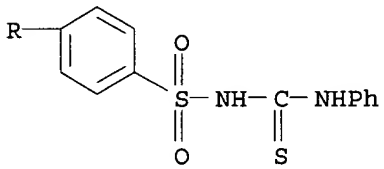


RN 137205-63-1 CAPLUS
CN Benzenesulfonamide, 4-[2-[(octadecylamino)methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)

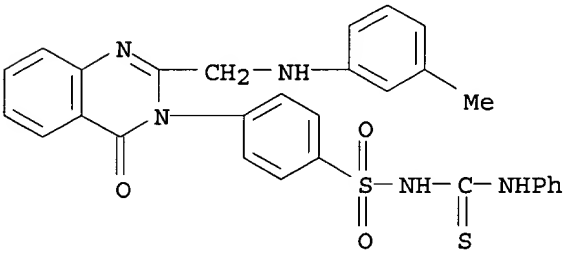


RN 137205-92-6 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(2-methylphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)





RN 137205-93-7 CAPLUS
CN Benzenesulfonamide, 4-[2-[[(3-methylphenyl)amino]methyl]-4-oxo-3(4H)-quinazolinyl]-N-[(phenylamino)thioxomethyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:514965 CAPLUS
DOCUMENT NUMBER: 111:114965
TITLE: Preparation of (**carboxamidomethyl**)cephemcarboxylic acids as antibiotics
INVENTOR(S): Arnould, Jean Claude; Jung, Frederick Henri; Boucherot, Dominique; Strawson, Colin John; Davies, David Huw
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

09/ 724,941

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 304158	A1	19890222	EP 1988-306420	19880713
EP 304158	B1	19940622		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 47942	A2	19890428	HU 1988-3692	19880715
HU 201949	B	19910128		
FI 8803439	A	19890124	FI 1988-3439	19880720
ZA 8805271	A	19890329	ZA 1988-5271	19880720
DK 8804148	A	19890124	DK 1988-4148	19880722
NO 8803275	A	19890124	NO 1988-3275	19880722
AU 8819762	A1	19890127	AU 1988-19762	19880722
JP 01093592	A2	19890412	JP 1988-182006	19880722
CN 1031378	A	19890301	CN 1988-106393	19880723
US 5019570	A	19910528	US 1988-223988	19880725
US 5232918	A	19930803	US 1991-653149	19910211
US 5371220	A	19941206	US 1992-886392	19920521

PRIORITY APPLN. INFO.: EP 1987-401718 19870723
US 1988-223988 19880725
US 1991-653149 19910211

OTHER SOURCE(S): MARPAT 111:114965

GI For diagram(s), see printed CA Issue.

AB Cephalosporins having Q as a 3-position substituent [R1 = H, (substituted) C1-6 alkyl, etc.; Het = 5- or 6-membered heterocyclic ring Q1, Q2; A = CH, N; B = O, S, etc.; 1 or 2 of D, E, F, and G = N, the remainder = CH; or Het = pyrazinone, pyridinone, etc.; Het is fused by any 2 adjacent C atoms to the benzene ring and is bonded via a C atom to the CH2NR1CO group; R2, R3 = OH, in vivo hydrolyzable ester thereof; R3 is ortho to R2] were prepd. as antibiotics. Reaction of 6,7-bis(phenylacetoxy)-1,4-dihydro-1-ethyl-4-oxoquinoline-3-**carbonyl** chloride with 3-(aminomethyl)-7-[2-(2-amino-4-thiazolyl)-2-[(Z)-[(1-carboxy-1-methylethoxy)imino]]acetamido]ceph-3-em-4-carboxylic acid in DMF contg. Et3N, followed by deprotection and workup, gave 7-[2-(2-amino-4-thiazolyl)-2-[(Z)-[(1-carboxy-1-methylethoxy)imino]]acetamido]-3-[(1,4-dihydro-1-ethyl-6,7-dihydroxy-4-oxoquinolin-3-**carboxamido**)methyl]ceph-3-em-4-carboxylic acid (I). I had min. inhibitory concns. of 0.008 .mu.g/mL and 16 .mu.g/mL, resp., against Escherichia coli DCO and Staphylococcus aureus 147 N.

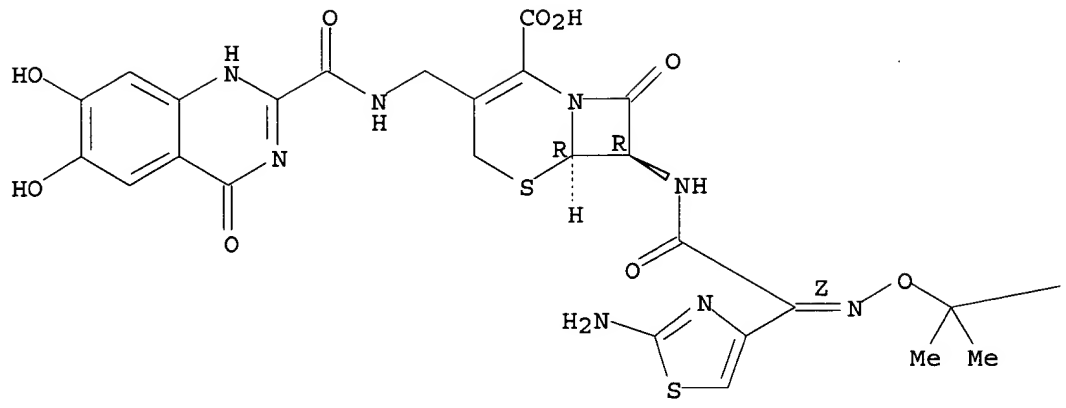
IT **122234-19-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antibiotic)

RN 122234-19-9 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-3-[[[(1,4-dihydro-6,7-dihydroxy-4-oxo-2-quinazolinyl)carbonyl]amino]methyl]-8-oxo-, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

—CO_2H

L5 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:135739 CAPLUS
DOCUMENT NUMBER: 110:135739
TITLE: Preparation of 4-amino-3-hydroxy-5-cyclohexylpentanoyl-containing peptides as renin inhibitors
INVENTOR(S): Gante, Joachim; Raddatz, Peter; Sombroek, Johannes; Schmitges, Claus J.; Minck, Klaus Otto
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3721855	A1	19880922	DE 1987-3721855	19870702
EP 286813	A2	19881019	EP 1988-102971	19880229
EP 286813	A3	19901212		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AU 8812617	A1	19880915	AU 1988-12617	19880301
AU 614951	B2	19910919		
JP 63258451	A2	19881025	JP 1988-56504	19880311
ZA 8801782	A	19881026	ZA 1988-1782	19880311
HU 49147	A2	19890828	HU 1988-1191	19880311

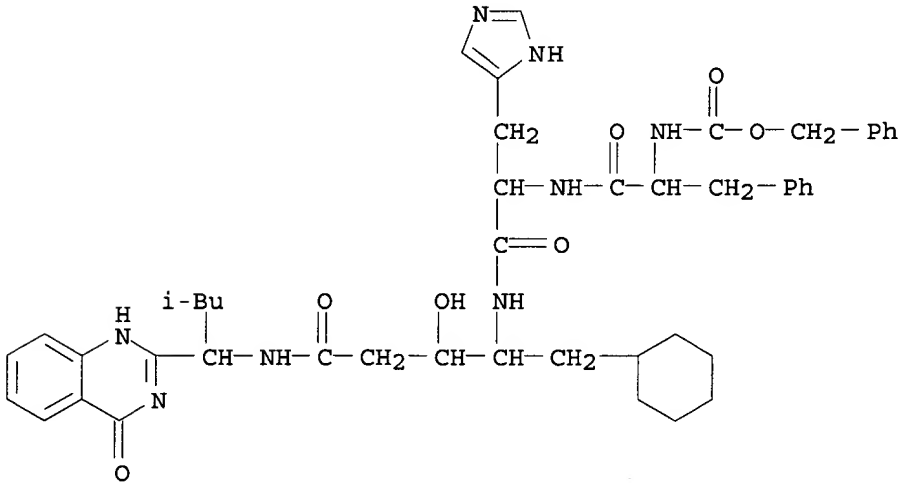
HU 204848 B 19920228
PRIORITY APPLN. INFO.: DE 1987-3707879 19870312
DE 1987-3721855 19870702
OTHER SOURCE(S): MARPAT 110:135739

AB X-Z-NR2-CHR3-CR4-(CHR5)n-CO-E-NR6-D [I; X = H, R1O(CH2)mCO, R1SO2, etc.; Z = 0-4 amino acid residues chosen from Abu, Ada, Ala, .beta.-Ala, Arg, Asn, Asp, Bia, Cal, Dab, Gln, Glu, Gly, His, N(i.m.)-alkyl-His, Ile, Leu, tert-Leu, Lys, Met, .alpha.-Nal, .beta.-Nal, Nbg, Nle, Orn, Phe, Pro, Ser, Thr, Tic, Trp, Tyr, Val; E = 0-2 amino acid residues chosen from Abu, Ala, Cal, His, Ile, Leu, Met, Nle, Phe, Trp, Tyr, Val; D = CH2CH(OH)CH2OH, (CH2)zSO2R7, phenylalkyl, furylalkyl, thienylalkyl, pyridylalkyl, etc.; R1, R3 = H, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, (substituted) C3-7 cycloalkyl, etc.; R2, R5, R6 = H, alkyl; R4 = :O, (H,OH), (H,NH2); R7 = OH, alkoxy, amino; m = 0-5; n = 1, 2; z = 2-6; Bia = 3-(2-benzimidazolyl)alanyl; Cal = 3-cyclohexylalanyl; Dab = 2,4-diaminobutyryl; .alpha.-Nal = .alpha.-naphthylalanyl; .beta.-Nal = .beta.-naphthylalanyl, Nbg = (2-norbornyl)glycyl; Tic = tetrahydroisoquinolinyl-1-**carbonyl**], useful as renin inhibitors (no data), were prepd. 2-[1S-(3S-Hydroxy-4S-(N-tert-butoxycarbonylphenylalanylhistidylamino)-5-cyclohexylpentanoylamino)-3-methylbutyl]-3H-quinazolin-4-one was prepd. by the soln. phase method.

IT **119422-52-5**
RL: RCT (Reactant)
(hydrogenolysis of, in prepn. of renin inhibitor)

RN 119422-52-5 CAPLUS

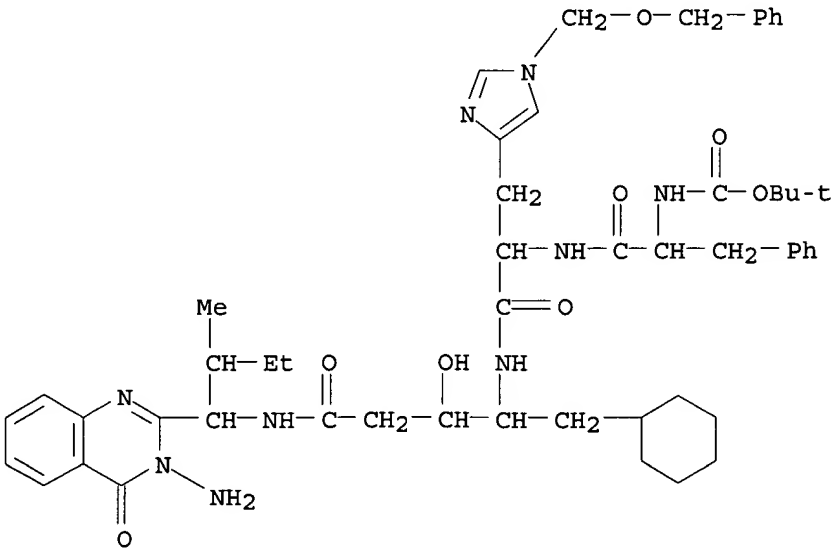
CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-4-[[N-[N-[(phenylmethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, (S)- (9CI) (CA INDEX NAME)



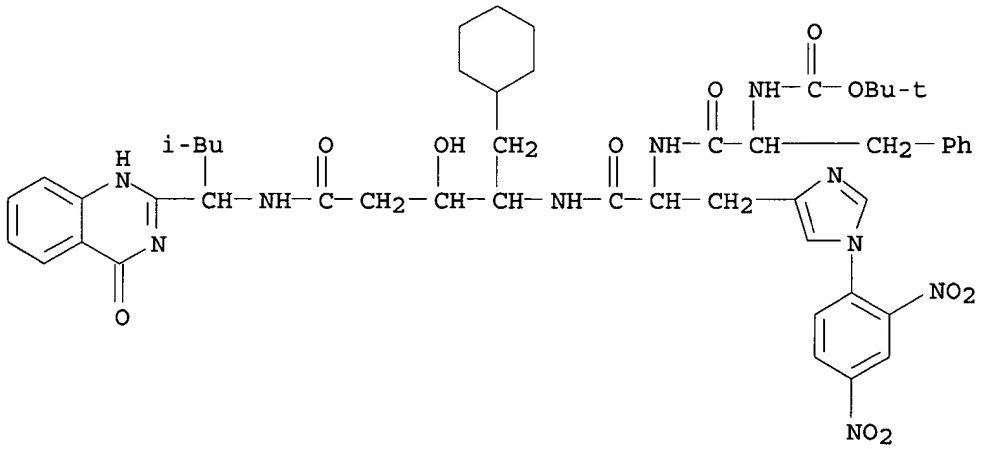
IT **119422-45-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of renin inhibitor)

RN 119422-45-6 CAPLUS

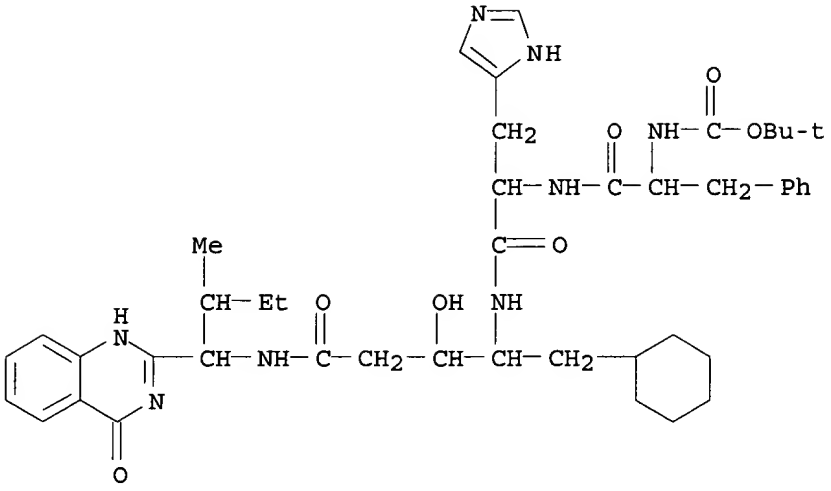
CN L-threo-Pentonamide, N-[1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-2-methylbutyl]-5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-1-[(phenylmethoxy)methyl]-L-histidyl]amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



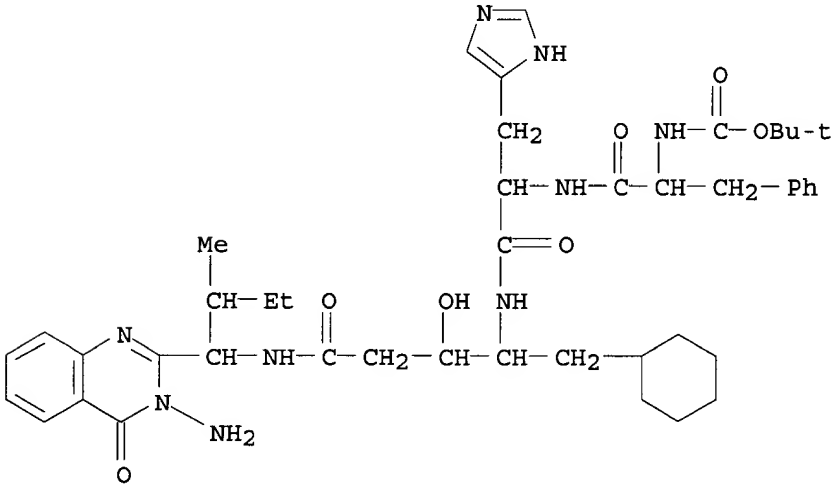
IT **119422-33-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for renin inhibitor)
RN 119422-33-2 CAPLUS
CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-1-(2,4-dinitrophenyl)-L-histidyl]amino]-, (S)- (9CI) (CA INDEX NAME)



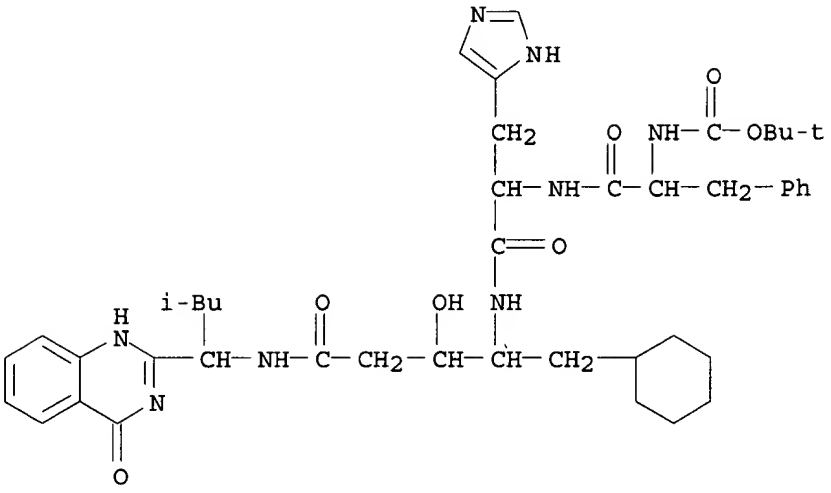
IT **119422-16-1P 119422-17-2P 119422-18-3P**
119422-19-4P 119422-23-0P 119422-24-1P
119422-25-2P 119422-30-9P 119422-31-0P
119422-32-1P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as renin inhibitor)
RN 119422-16-1 CAPLUS
CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-2-methylbutyl]-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



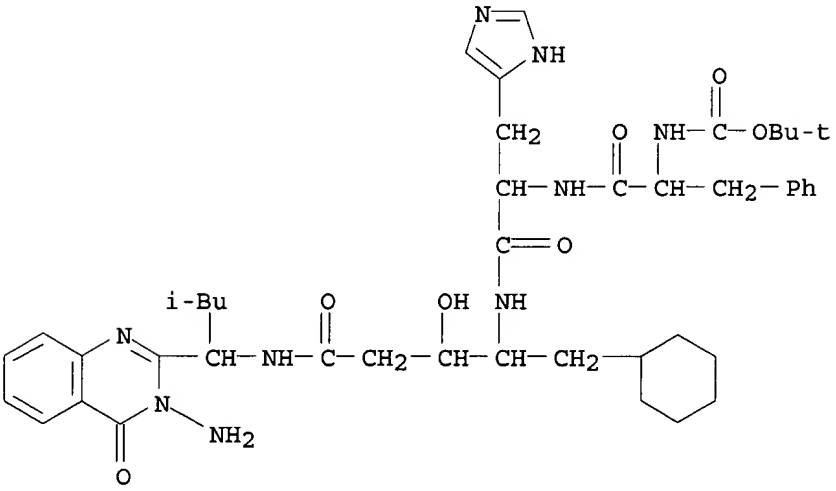
RN 119422-17-2 CAPLUS
CN L-threo-Pentonamide, N-[1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-2-methylbutyl]-5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



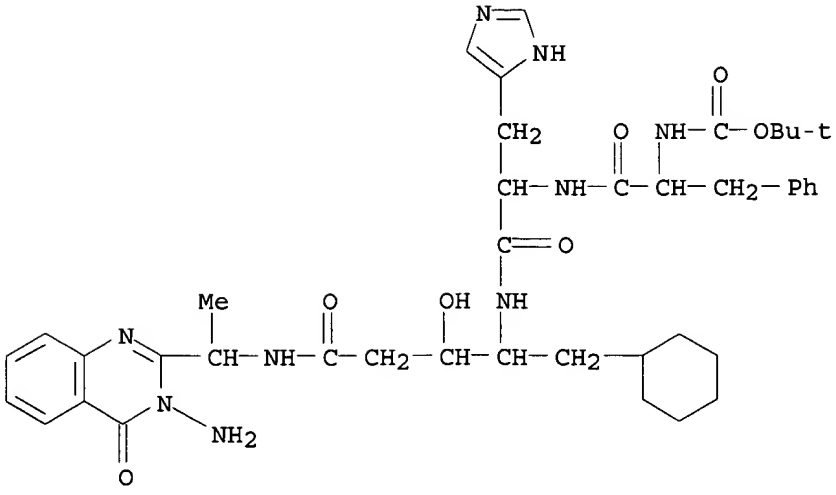
RN 119422-18-3 CAPLUS
CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, (S)- (9CI) (CA INDEX NAME)



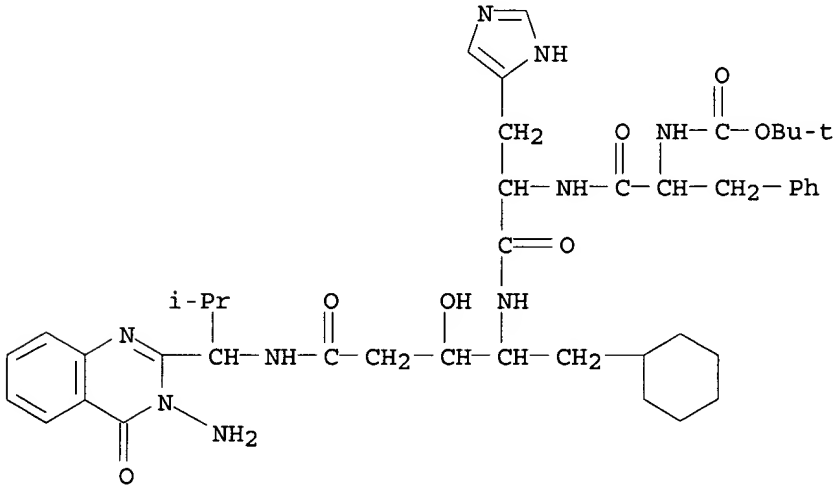
RN 119422-19-4 CAPLUS
CN L-threo-Pentonamide, N-[1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, (S)- (9CI) (CA INDEX NAME)



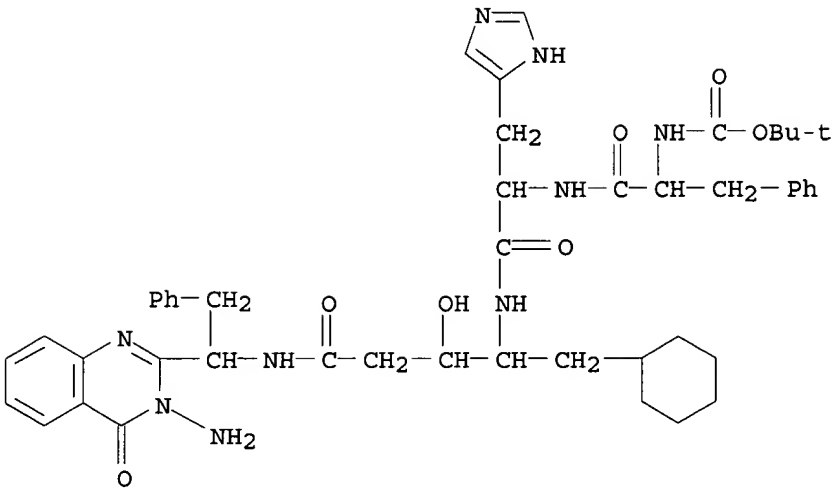
RN 119422-23-0 CAPLUS
CN L-threo-Pentonamide, N-[1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, (S)- (9CI) (CA INDEX NAME)



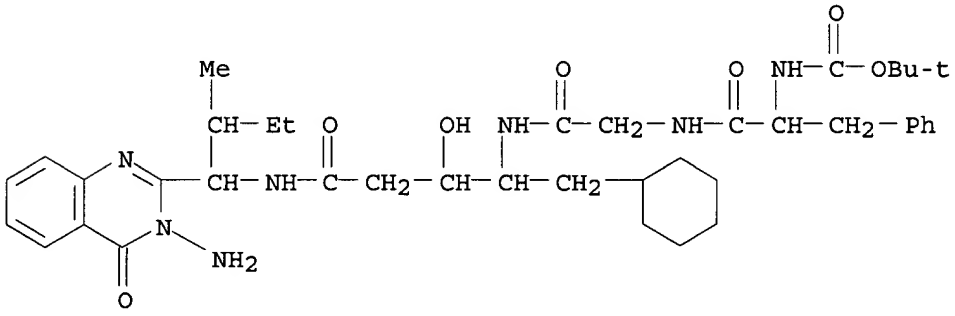
RN 119422-24-1 CAPLUS
CN L-threo-Pentonamide, N-[1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-2-methylpropyl]-5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, (S)- (9CI) (CA INDEX NAME)



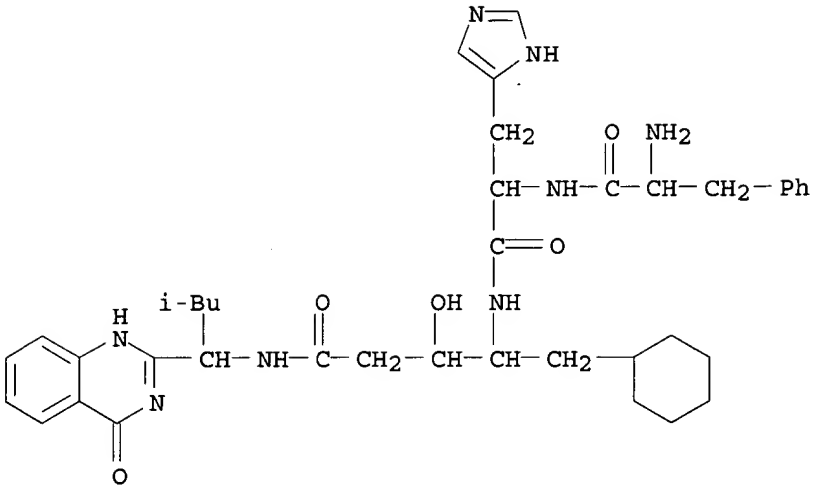
RN 119422-25-2 CAPLUS
CN L-threo-Pentonamide, N-[1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-2-phenylethyl]-5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-histidyl]amino]-, (S)- (9CI) (CA INDEX NAME)



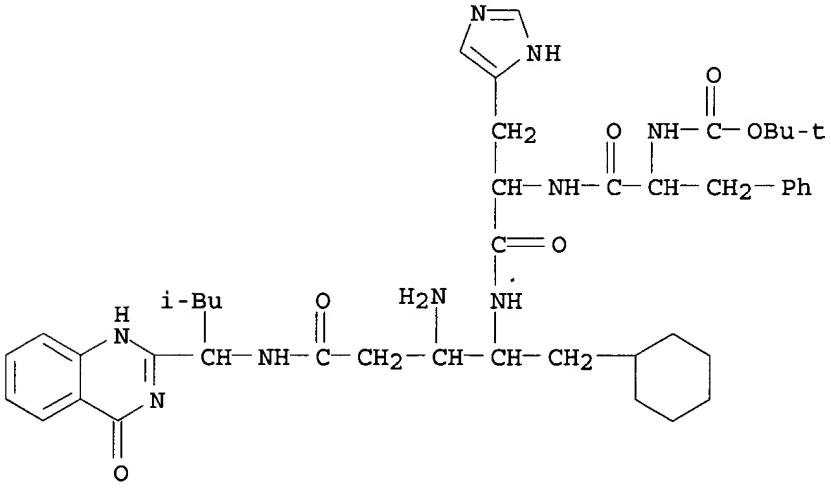
RN 119422-30-9 CAPLUS
CN L-threo-Pentonamide, N-[1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-2-methylbutyl]-5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]glycyl]amino]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 119422-31-0 CAPLUS
CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-4-[(N-L-phenylalanyl-L-histidyl)amino]-, (S)- (9CI) (CA INDEX NAME)

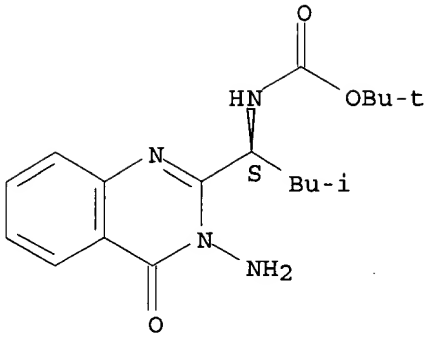


RN 119422-32-1 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[2-amino-1-(cyclohexylmethyl)-4-[[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]amino]-4-oxobutyl]-, [1S-[1R*,2R*,4(R*)]]- (9CI) (CA INDEX NAME)



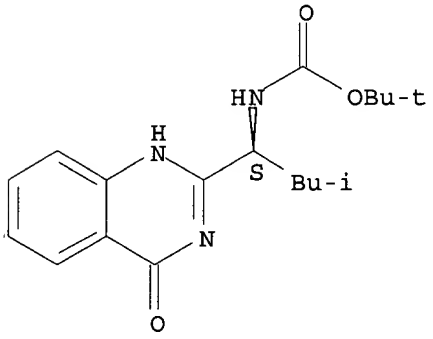
IT **119422-35-4P 119422-36-5P 119422-37-6P**
119422-38-7P 119422-39-8P 119422-42-3P
119422-43-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as renin inhibitor intermediate)
RN 119422-35-4 CAPLUS
CN Carbamic acid, [1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



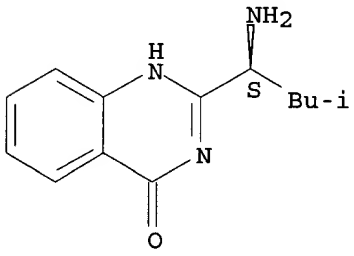
RN 119422-36-5 CAPLUS
CN Carbamic acid, [1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 119422-37-6 CAPLUS
CN 4(1H)-Quinazolinone, 2-(1-amino-3-methylbutyl)-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

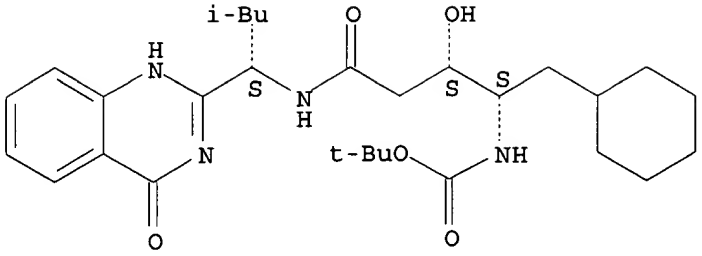
Absolute stereochemistry.



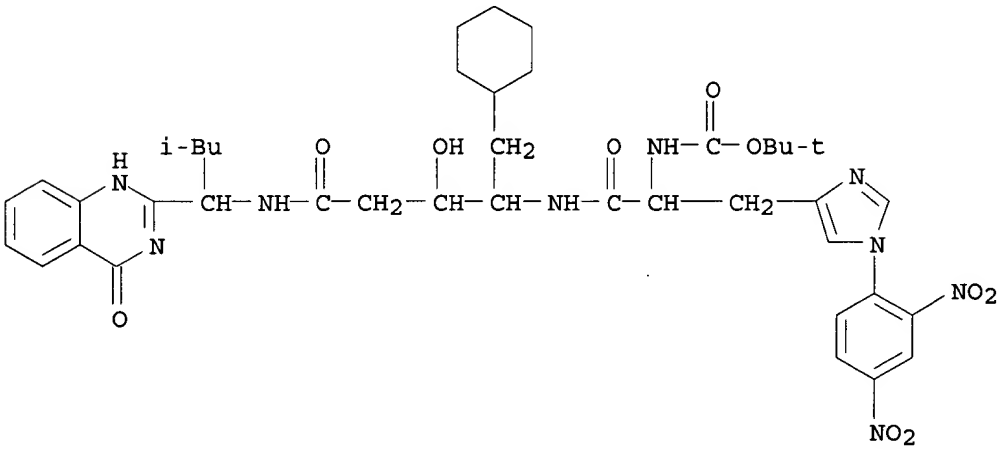
O_2 HCl

RN 119422-38-7 CAPLUS
CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

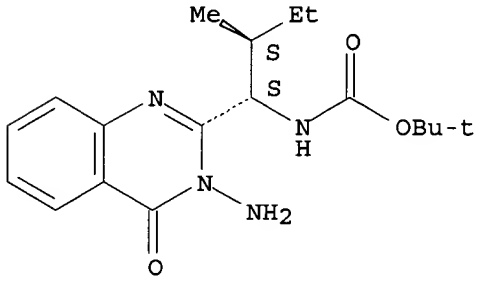


RN 119422-39-8 CAPLUS
CN L-threo-Pentonamide, 5-cyclohexyl-2,4,5-trideoxy-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]-4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]-1-oxopropyl]amino]-, [1(S),4(S)]- (9CI) (CA INDEX NAME)



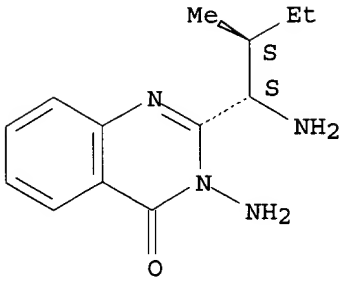
RN 119422-42-3 CAPLUS
CN Carbamic acid, [1-(3-amino-3,4-dihydro-4-oxo-2-quinazolinyl)-2-methylbutyl]-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

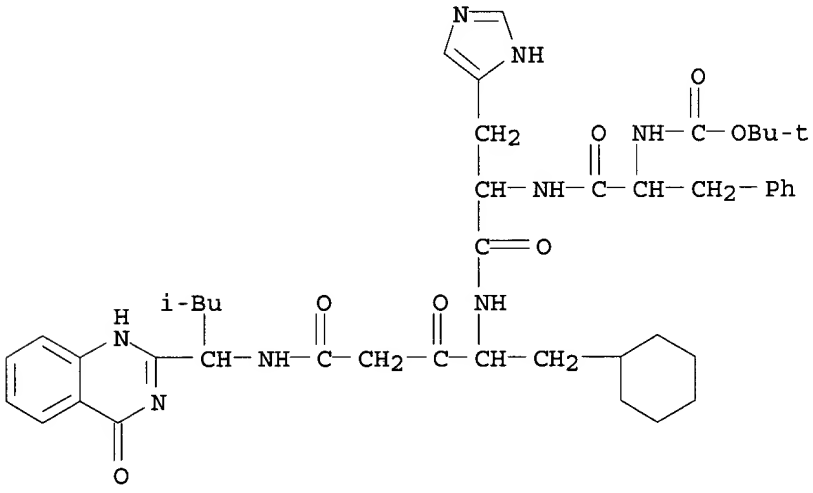


RN 119422-43-4 CAPLUS
CN 4(3H)-Quinazolinone, 3-amino-2-(1-amino-2-methylbutyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

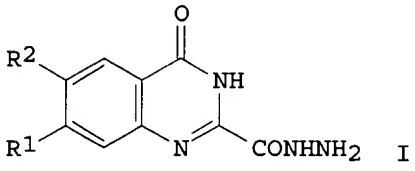
Absolute stereochemistry.



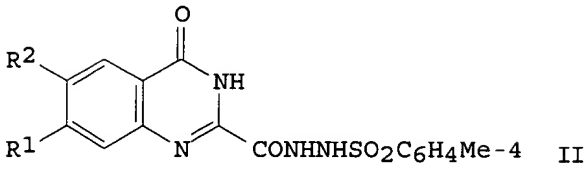
IT **119422-53-6**
RL: RCT (Reactant)
(reaction of, in prepn. of renin inhibitor)
RN 119422-53-6 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-4-[[1-(1,4-dihydro-4-oxo-2-quinazolinyl)-3-methylbutyl]amino]-2,4-dioxobutyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



L5 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:112384 CAPLUS
DOCUMENT NUMBER: 108:112384
TITLE: Synthesis of some new 4-quinazolinone-2-carboxylic acid esters, -2-**carboxamides**, -2-carbohydrazides and their tosyl derivatives having potential biological activity
AUTHOR(S): Joshi, Vidya; Chaudhari, Rajendra P.
CORPORATE SOURCE: Dep. Org. Chem., Inst. Sci., Bombay, 400 032, India
SOURCE: Indian J. Chem., Sect. B (1987), 26B(6), 602-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:112384
GI



I



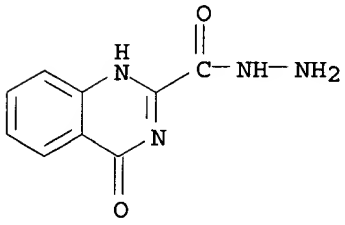
II

AB Quinazolinecarbohydrazides I [R1 = H, Me, OMe, halo, and R2 = H; R1R2 = (CH2O2)] were prepd. from the resp. anthranilamides by cyclocondensation with EtO2CCO2Et and subsequent amidation with N2H4; I showed antitubercular activity. Also prepd. were tosyl derivs. II.

IT **34632-71-8P 113124-33-7P 113124-34-8P 113124-35-9P 113144-38-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antitubercular activity of)

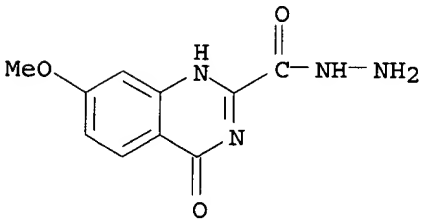
RN 34632-71-8 CAPLUS

CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, hydrazide (9CI) (CA INDEX NAME)



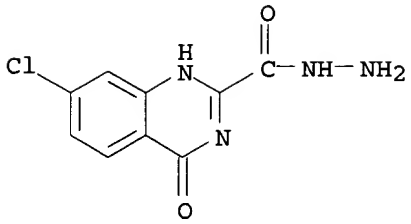
RN 113124-33-7 CAPLUS

CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-7-methoxy-4-oxo-, hydrazide (9CI) (CA INDEX NAME)

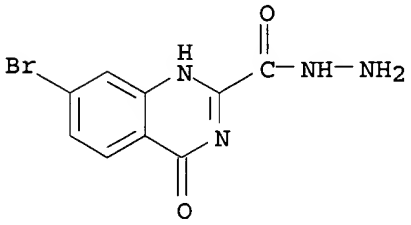


RN 113124-34-8 CAPLUS

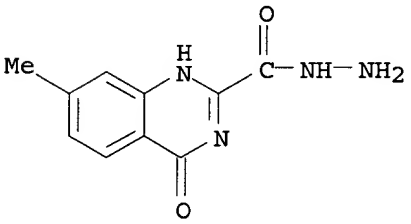
CN 2-Quinazolinecarboxylic acid, 7-chloro-1,4-dihydro-4-oxo-, hydrazide (9CI) (CA INDEX NAME)



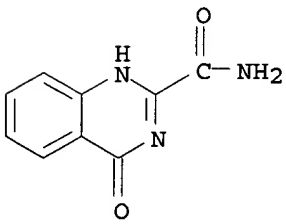
RN 113124-35-9 CAPLUS
CN 2-Quinazolinecarboxylic acid, 7-bromo-1,4-dihydro-4-oxo-, hydrazide (9CI) (CA INDEX NAME)



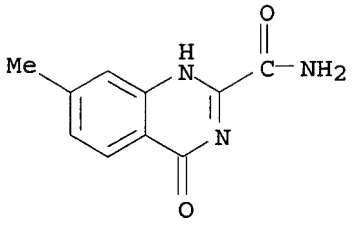
RN 113144-38-0 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-7-methyl-4-oxo-, hydrazide (9CI) (CA INDEX NAME)



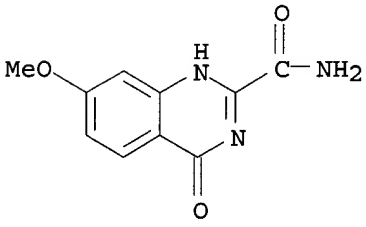
IT **76617-97-5P 113124-28-0P 113124-29-1P 113124-30-4P 113124-31-5P 113124-36-0P 113124-38-2P 113124-39-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 76617-97-5 CAPLUS
CN 2-Quinazolinecarboxamide, 1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



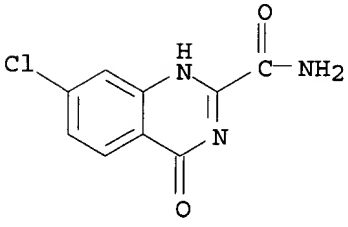
RN 113124-28-0 CAPLUS
CN 2-Quinazolinecarboxamide, 1,4-dihydro-7-methyl-4-oxo- (9CI) (CA INDEX NAME)



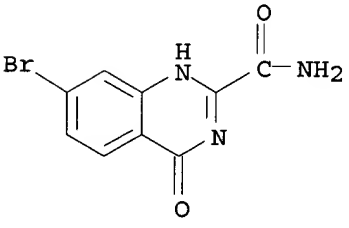
RN 113124-29-1 CAPLUS
CN 2-Quinazolinecarboxamide, 1,4-dihydro-7-methoxy-4-oxo- (9CI) (CA INDEX NAME)



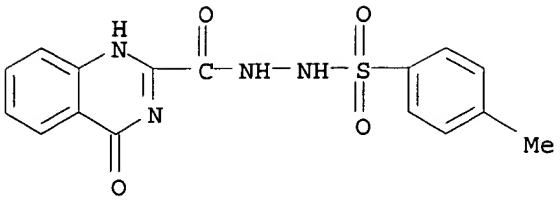
RN 113124-30-4 CAPLUS
CN 2-Quinazolinecarboxamide, 7-chloro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



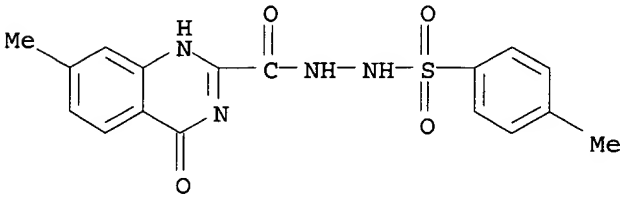
RN 113124-31-5 CAPLUS
CN 2-Quinazolinecarboxamide, 7-bromo-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)



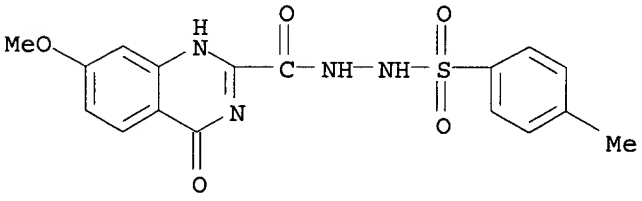
RN 113124-36-0 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-4-oxo-, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



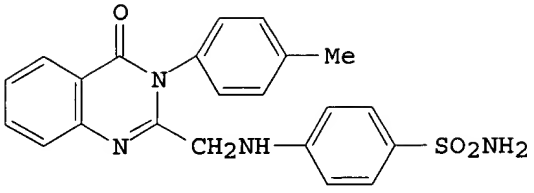
RN 113124-38-2 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-7-methyl-4-oxo-, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



RN 113124-39-3 CAPLUS
CN 2-Quinazolinecarboxylic acid, 1,4-dihydro-7-methoxy-4-oxo-, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:405584 CAPLUS
DOCUMENT NUMBER: 99:5584
TITLE: Synthesis and biological activities of certain derivatives of 3-aryl-4(3H)-quinazolinones. Part I
AUTHOR(S): Shankar, C. Ravi; Rao, A. Devendar; Reddy, B. Jayasena; Reddy, V. Malla
CORPORATE SOURCE: Univ. Coll. Pharm. Sci., Kakatiya Univ., Warangal, 506 009, India
SOURCE: J. Indian Chem. Soc. (1983), 60(1), 61-3
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:5584
GI



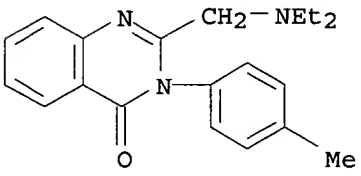
I

AB Nine different 3-aryl-2-(N',N'-substituted aminomethyl)-4(3H)-quinazolinones have been synthesized by condensing 3-aryl-2-chloromethyl-4(3H)-quinazolinones with different secondary bases. Similarly, seven different 3-aryl-2-(N4-arylsulfonamidomethyl)-4(3H)-quinazolinones, e.g. I, have been obtained on reacting 3-aryl-2-chloromethyl-4-(3H)-quinazolinones with various aryl **sulfonamides**. The antibacterial and antifungal activities of the compds. have been detd. and the structure-activity relationships is discussed.

IT **86109-92-4P 86109-93-5P 86109-94-6P**
86109-97-9P 86109-98-0P 86109-99-1P
86110-00-1P 86110-01-2P 86110-02-3P
86110-03-4P 86110-04-5P 86110-05-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., bactericidal, and fungicidal activity of)

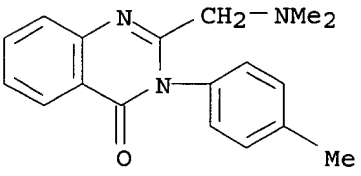
RN 86109-92-4 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(diethylamino)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



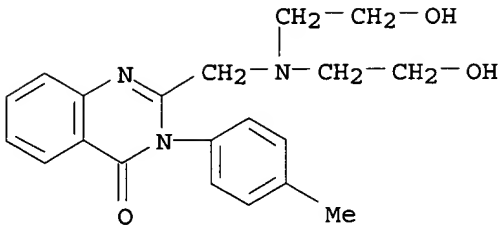
RN 86109-93-5 CAPLUS

CN 4(3H)-Quinazolinone, 2-[(dimethylamino)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

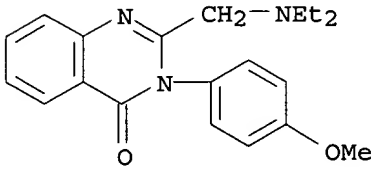


RN 86109-94-6 CAPLUS

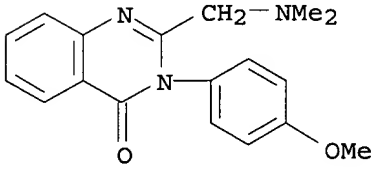
CN 4(3H)-Quinazolinone, 2-[[bis(2-hydroxyethyl)amino]methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



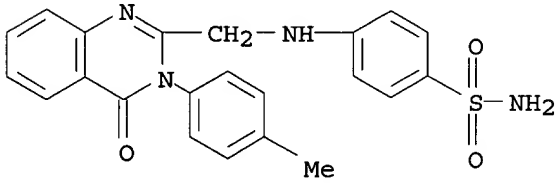
RN 86109-97-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(diethylamino)methyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



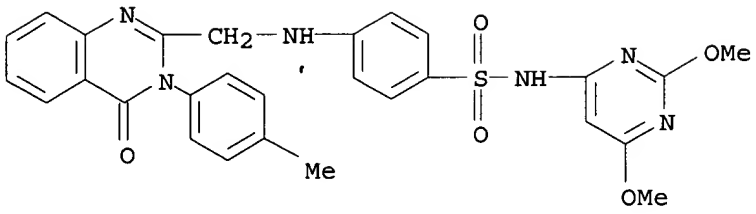
RN 86109-98-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(dimethylamino)methyl]-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



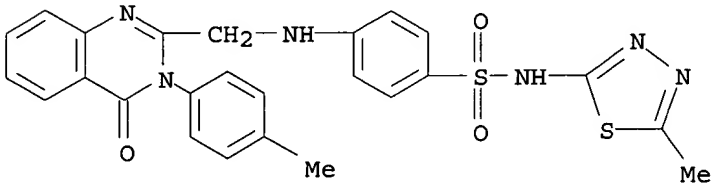
RN 86109-99-1 CAPLUS
CN Benzenesulfonamide, 4-[[[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]methyl]amino]- (9CI) (CA INDEX NAME)



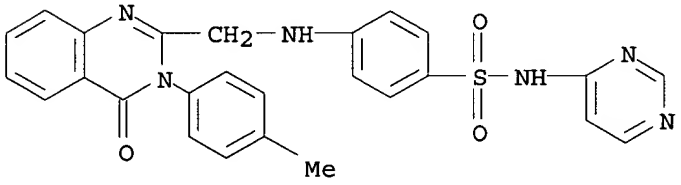
RN 86110-00-1 CAPLUS
CN Benzenesulfonamide, 4-[[[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-N-(2,6-dimethoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



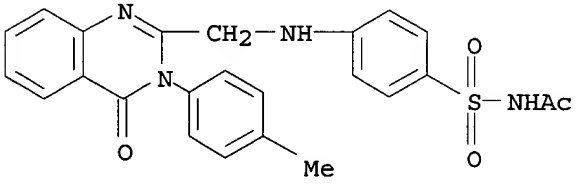
RN 86110-01-2 CAPLUS
CN Benzenesulfonamide, 4-[[[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-N-(5-methyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



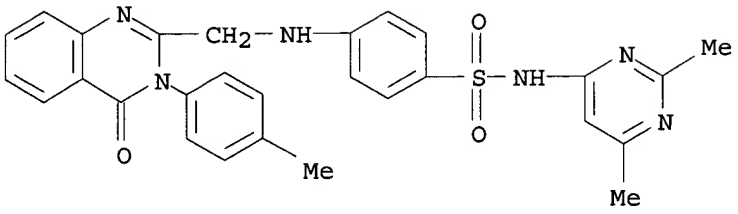
RN 86110-02-3 CAPLUS
CN Benzenesulfonamide, 4-[[[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-N-4-pyrimidinyl- (9CI) (CA INDEX NAME)



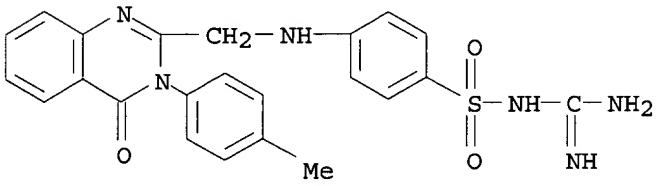
RN 86110-03-4 CAPLUS
CN Acetamide, N-[[4-[[[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]methyl]amino]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



RN 86110-04-5 CAPLUS
CN Benzenesulfonamide, 4-[[[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]methyl]amino]-N-(2,6-dimethyl-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



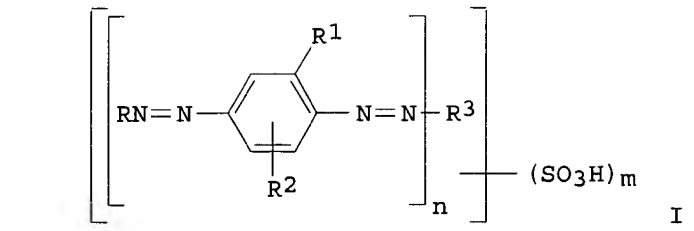
RN 86110-05-6 CAPLUS
CN Benzenesulfonamide, N-(aminoiminomethyl)-4-[[[3,4-dihydro-3-(4-methylphenyl)-4-oxo-2-quinazolinyl]methyl]amino]- (9CI) (CA INDEX NAME)



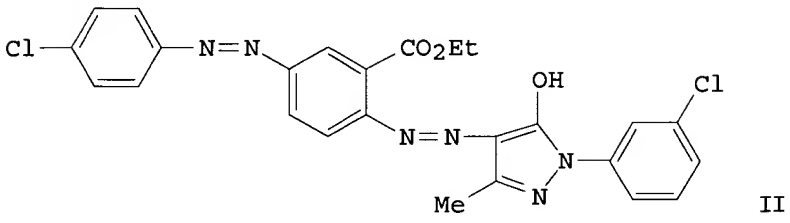
L5 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1983:55550 CAPLUS
DOCUMENT NUMBER: 98:55550
TITLE: Disazo dyes
INVENTOR(S): Kurtz, Walter; Lamm, Tunther
PATENT ASSIGNEE(S): BASF A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 216 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3111937	A1	19821007	DE 1981-3111937	19810326
EP 62200	A1	19821013	EP 1982-102278	19820319
EP 62200	B1	19850123		
R: CH, DE, FR, GB, IT				
JP 57167354	A2	19821015	JP 1982-43011	19820319

PRIORITY APPLN. INFO.: DE 1981-3111937 19810326
GI



I



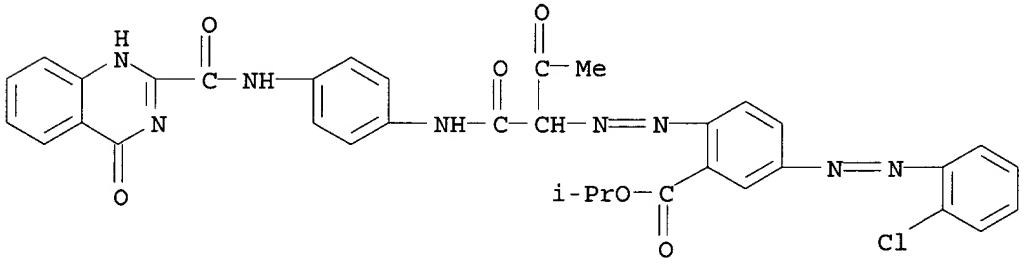
II

AB Disazo dyes (I, R = diazo component residue; R1 = CN, CO2R4, COSR5, CONR4R5, morpholinocarbonyl, piperidinylcarbonyl, pyrrolidinylcarbonyl, piperazinylcarbonyl, optionally substituted 1,2,4-thiadiazolyl, oxadiazolyl; R2 = H, Cl, Br, alkoxy, PhO, alkyl, AcNH, dialkylamino, CO2H, NO2; R3 = a coupling component residue, except pyridones; R4 = H, R5; R5 = optionally substituted alkyl, alkenyl, cycloalkyl, or aryl; n = 1, 2; m = 0, 1, 2, 3, 4) were prepd. and were used to dye cotton, polyester fibers, their blends, polyamide and acrylic fibers, and leather fast yellow to blue shades. Thus, Et 2-amino-5-[(4-chlorophenyl)azo]benzoate [80162-91-0] was diazotized and coupled with 1-(3-chlorophenyl)-3-methyl-5-pyrazolone [90-31-3] to give II [84267-20-9], dyeing a cotton-polyester blend a fast yellow shade. Numerous other I were prepd.

IT **84266-80-8P 84266-98-8P 84342-68-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 84266-80-8 CAPLUS

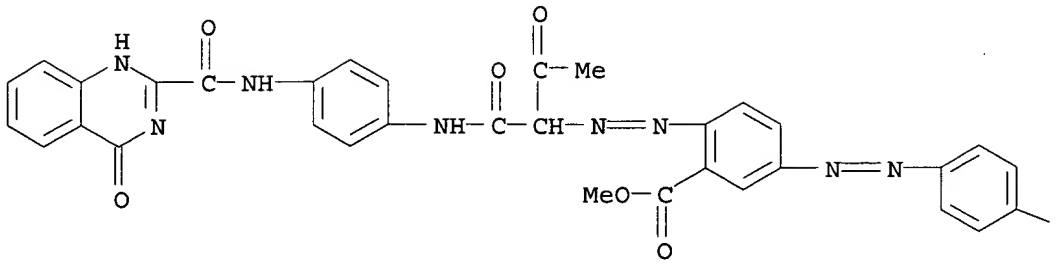
CN Benzoic acid, 5-[(2-chlorophenyl)azo]-2-[[1-[[[4-[[(1,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]amino]phenyl]amino]carbonyl]-2-oxopropyl]azo]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 84266-98-8 CAPLUS

CN Benzoic acid, 5-[(4-chlorophenyl)azo]-2-[[1-[[[4-[[(1,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]amino]phenyl]amino]carbonyl]-2-oxopropyl]azo]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

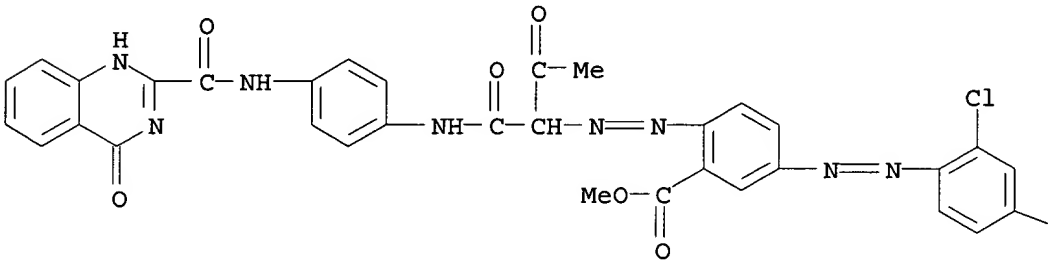


PAGE 1-B

Cl

RN 84342-68-7 CAPLUS
CN Benzoic acid, 5-[(2,4-dichlorophenyl)azo]-2-[[1-[[[4-[[(1,4-dihydro-4-oxo-2-quinazolinyl)carbonyl]amino]phenyl]amino]carbonyl]-2-oxopropyl]azo]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



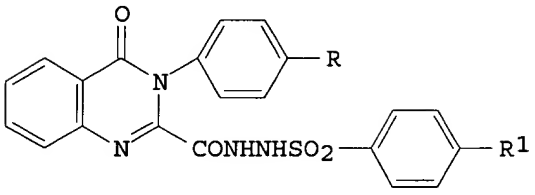
PAGE 1-B

Cl

L5 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2002 ACS

09/ 724,941

ACCESSION NUMBER: 1981:549701 CAPLUS
DOCUMENT NUMBER: 95:149701
TITLE: Ionization constants of arenesulfhydrazides of 3-R-quinazolin-4-one-2-carboxylic acid
AUTHOR(S): Bezuglyi, P. A.; Chernykh, V. P.; Makurina, V. I.; Sopel'nik, E. M.
CORPORATE SOURCE: Khar'k. Farm. Inst., Kharkov, USSR
SOURCE: Soobshch. Akad. Nauk Gruz. SSR (1981), 102(1), 77-80
CODEN: SAKNAH; ISSN: 0002-3167
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

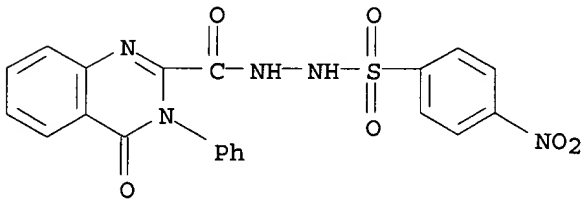


I

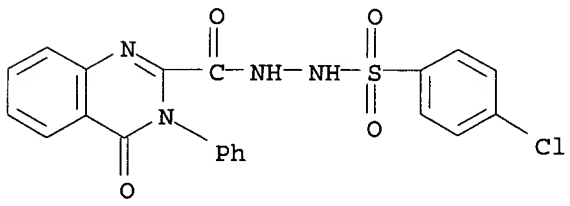
AB The ionization consts. of I (R, R1 = MeO, Me, H, Br, Cl, NO2) and their conjugate acids were linearly correlated with Hammett .sigma. consts.; .rho. was neg. in all cases. R1 had a greater effect than R on the pKa of I.

IT **79342-90-8 79342-91-9 79342-92-0**
79342-93-1 79342-94-2 79342-95-3
79342-96-4 79342-97-5 79342-98-6
79342-99-7 79343-00-3
RL: PRP (Properties)
(ionization consts. of)

RN 79342-90-8 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, 2-[(4-nitrophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

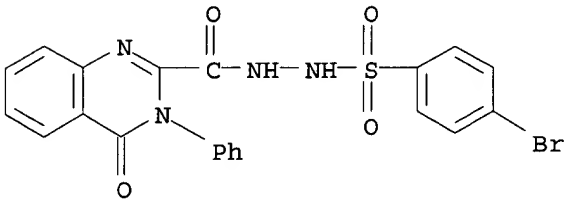


RN 79342-91-9 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, 2-[(4-chlorophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)

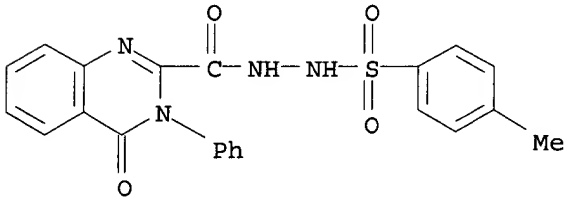


RN 79342-92-0 CAPLUS

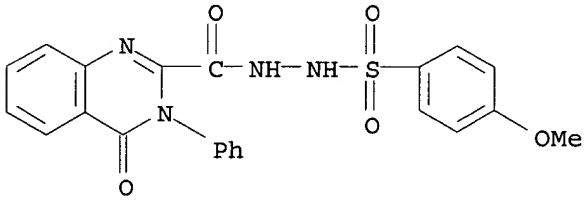
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, 2-[(4-bromophenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



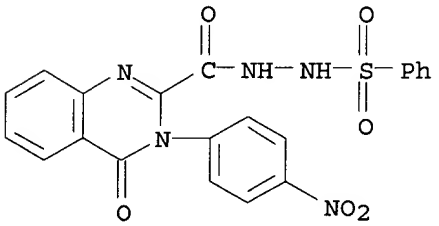
RN 79342-93-1 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



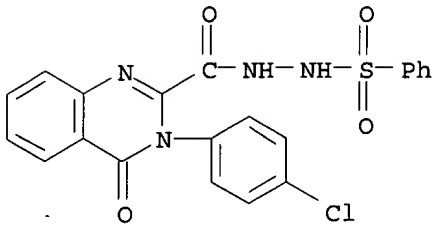
RN 79342-94-2 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, 2-[(4-methoxyphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



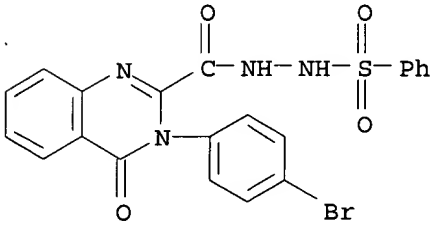
RN 79342-95-3 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-3-(4-nitrophenyl)-4-oxo-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



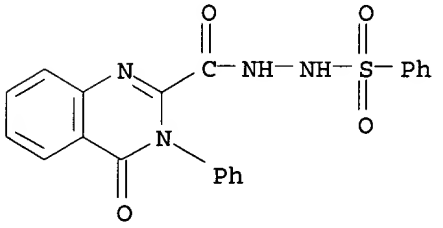
RN 79342-96-4 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3-(4-chlorophenyl)-3,4-dihydro-4-oxo-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



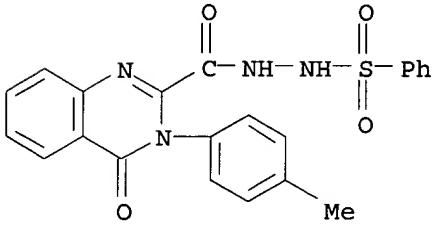
RN 79342-97-5 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3-(4-bromophenyl)-3,4-dihydro-4-oxo-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



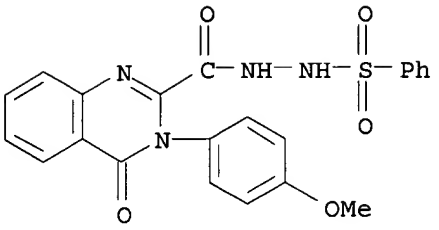
RN 79342-98-6 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-4-oxo-3-phenyl-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



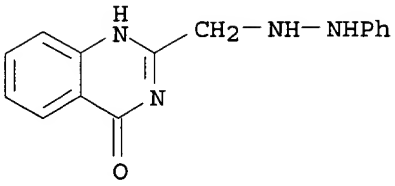
RN 79342-99-7 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-3-(4-methylphenyl)-4-oxo-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



RN 79343-00-3 CAPLUS
CN 2-Quinazolinecarboxylic acid, 3,4-dihydro-3-(4-methoxyphenyl)-4-oxo-, 2-(phenylsulfonyl)hydrazide (9CI) (CA INDEX NAME)



L5 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1970:66886 CAPLUS
DOCUMENT NUMBER: 72:66886
TITLE: 2-Hydrazinomethylquinazoline-4-one and 2-mercaptomethylquinazoline-4-one and their reactions
AUTHOR(S): Lubimowski, Boleslaw; Berezowski, Lubomir
CORPORATE SOURCE: Akad. Med., Cracow, Poland
SOURCE: Acta Pol. Pharm. (1969), 26(4), 293-300
CODEN: APPHAX
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI For diagram(s), see printed CA Issue.
AB Several derivs. of 4(3H)-quinazolinone were prepd. as compds. with potential biol. activity. I (0.19 g), 5 ml C5H5N, 5 ml 95% EtOH, and 1 ml 85% NH2NH2.H2O was refluxed 2 hr to yield 78.7% II, m. 185-6.degree. (H2O); picrate m. 197-8.degree. (EtOH). Similarly, I and PhNHNH2 gave 94.1% III, m. 280-1.degree. (EtOH); picrate m. 255.5-6.degree. (EtOH). II refluxed in EtOH with 10% excess of **carbonyl** compds. gave the following IV [R1, % yield, and m.p. (EtOH) given]: 4-Me2NC6H4CH, 59.3, 250.5-1.5.degree.; 2-C10H7CH, 82.9, 263-4.degree.; PhCH, 85.5, 228-9.degree.; furfurylidene, 72, 203-4.degree.; Me2C, 90, 214-16.degree.; PhMeC, 67, 204-5.degree.. I (0.19 g) in 10 ml hot EtOH was treated with 0.19 g thiourea in 5 ml EtOH and the mixt. refluxed 3 hr to yield 85.5% V, m. 164.5-5.5.degree. (MeOH). When the same reactants were refluxed 30 min with 0.01 g KI and then 30 min with 0.2 g picric acid, 82% V picrate, m. 209-10.degree. (EtOH), was obta ined. V (1.7 g) (or 0.97 g VI) and 20 ml MeOH or EtOH was refluxed 1 hr to yield 83.3% VIII, m. 212.5-13.5.degree. (C5H5N). V (0.23 g), 1.5-2.0 ml 0.5M KOH in EtOH, and 15 ml 95% EtOH refl uxed 1 hr yielded 70% VI, m. 191-2.degree.. VI was also prepd. when 1.95 g I in 50 ml EtOH and 2.5 g Na2S2O3 .5H2O in 40 ml H2O was refluxed .apprx.30 min and worked up. VI (0.19 g), in 1 ml 10% NaOH and 5 ml EtOH, treated with 0.2 g 2,4 -(O2N)2C6H3Cl in 5 ml EtOH, and the mixt. refluxed 10 min yielded 84% VII, which slowly decompd. on heating. In biol. tests, II inhibited monoamine oxidase in 10-4-10-2M solns., bu t III was inactive.
IT **19062-56-7P 25816-09-5P 25816-10-8P 25816-11-9P 25816-12-0P 25816-13-1P 25816-14-2P 25816-15-3P 26032-94-0P 26032-95-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 19062-56-7 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(2-phenylhydrazino)methyl]- (8CI) (CA INDEX NAME)



RN 25816-09-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-(hydrazinomethyl)-, monopicrate (8CI) (CA INDEX NAME)

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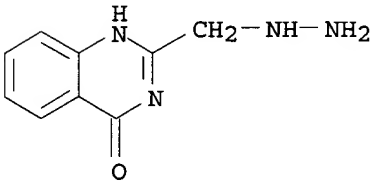
CRN 26032-94-0
CMF C9 H10 N4 O



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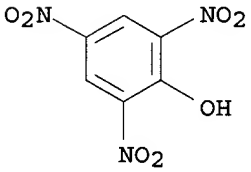
CRN 88-89-1
CMF C6 H3 N3 O7



RN 25816-10-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(2-phenylhydrazino)methyl]-, monopicrate (8CI) (CA INDEX NAME)

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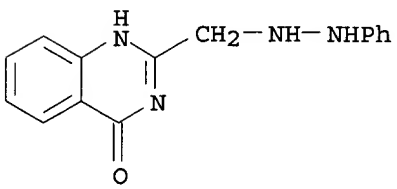
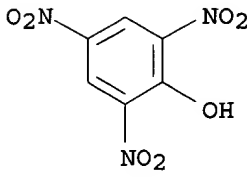
CRN 19062-56-7
CMF C15 H14 N4 O

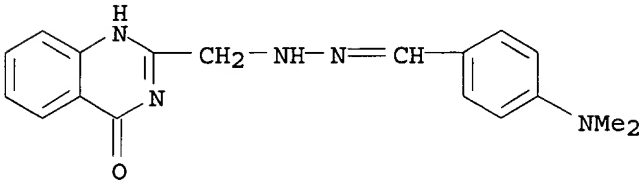


CM 2

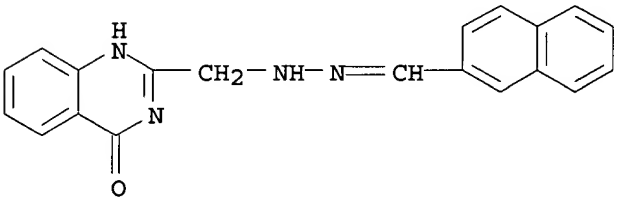
CRN 88-89-1
CMF C6 H3 N3 O7



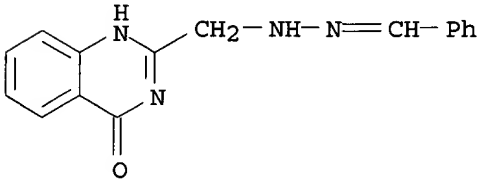
RN 25816-11-9 CAPLUS
CN Benzaldehyde, p-(dimethylamino)-, [(3,4-dihydro-4-oxo-2-quinazolinyl)methyl]hydrazone (8CI) (CA INDEX NAME)



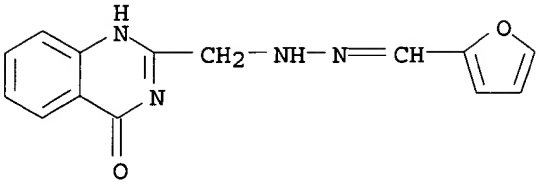
RN 25816-12-0 CAPLUS
CN 2-Naphthaldehyde, [(3,4-dihydro-4-oxo-2-quinazolinyl)methyl]hydrazone (8CI) (CA INDEX NAME)



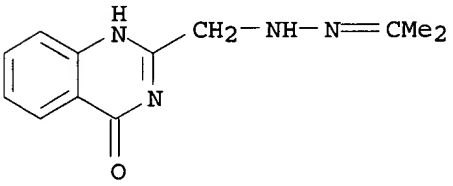
RN 25816-13-1 CAPLUS
CN Benzaldehyde, [(3,4-dihydro-4-oxo-2-quinazolinyl)methyl]hydrazone (8CI) (CA INDEX NAME)



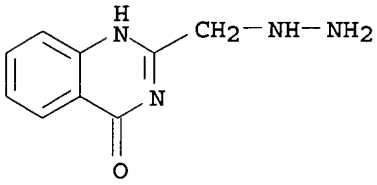
RN 25816-14-2 CAPLUS
CN 2-Furaldehyde, [(3,4-dihydro-4-oxo-2-quinazolinyl)methyl]hydrazone (8CI) (CA INDEX NAME)



RN 25816-15-3 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(isopropylidenehydrazino)methyl]- (8CI) (CA INDEX NAME)



RN 26032-94-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-(hydrazinomethyl)- (8CI) (CA INDEX NAME)



RN 26032-95-1 CAPLUS
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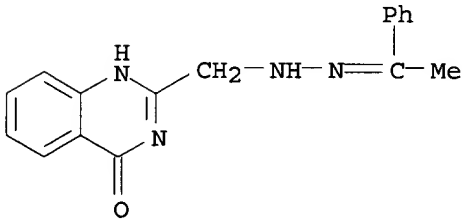

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L3 36714 S L1 FUL

09/ 724,941

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L5           21 S L4 AND (CARBONYL OR CARBOXAMID? OR SULFON?)

=> s l4 and (proliferati? or ksp or cancer? or hyperplasia)
        151085 PROLIFERATI?
           743 KSP
        173658 CANCER?
         18885 HYPERPLASIA
L6           6 L4 AND (PROLIFERATI? OR KSP OR CANCER? OR HYPERPLASIA)

=> s l6 not l5
L7           5 L6 NOT L5

=> d l7 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L7   ANSWER 1 OF 5  CAPLUS  COPYRIGHT 2002 ACS
ACCESSION NUMBER:         2002:293477  CAPLUS
DOCUMENT NUMBER:          136:304056
TITLE:                    Hedgehog antagonists, methods and uses related thereto
INVENTOR(S):              Dudek, Henryk; Pepicelli, Carmen; Karavanov, Irina
PATENT ASSIGNEE(S):       Curis, Inc., USA
SOURCE:                   PCT Int. Appl., 224 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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     WO 2002030462      A2   20020418       WO 2001-US32100  20011015
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
             RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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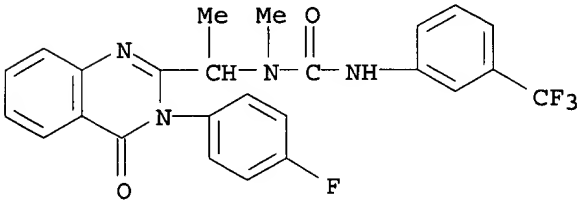
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                  US 2000-240564P  P  20001013
AB   The present application is directed to compns. and methods for inhibiting
     angiogenesis and treating or preventing unwanted cell
     proliferation, including tumors, by inhibiting the hedgehog
     pathway, e.g., with an antagonist of the hedgehog pathway such as those
     disclosed herein.  In one embodiment, the subject methods may be used to
     inhibit unwanted cell proliferation by detg. whether cells
     overexpress a gli gene, and contacting cells that overexpress gli gene
     with an effective amt. of a hedgehog antagonist.  In preferred embodiments
     ,the unwanted cell proliferation is cancer or benign
     prostatic hyperplasia.  Another aspect of the present invention
     involves measuring the levels of gli gene expression in order detn. the
     likelihood that a cancer will develop or to detn. a
     cancer treatment protocol.  Another embodiment of the invention
     involves methods for using hedgehog antagonists to stimulate surfactant
     prodn. or lamellated body formation in lung cells, esp. the lung cells of
     premature infants.  In other preferred embodiments, hedgehog antagonists
     are selected from small mols., hedgehog antibodies, antisense nucleic
     acids and ribozymes.
IT   330796-27-5
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
```

(Biological study); USES (Uses)
(hedgehog pathway antagonists for inhibition of unwanted cell **proliferation** in cells overexpressing gli gene or to stimulate surfactant prodn. in lung for treatment of premature infants)

RN 330796-27-5 CAPLUS

CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:935583 CAPLUS

DOCUMENT NUMBER: 136:53759

TITLE: Preparation of N-acylquinazolinonealkylamines as **KSP** kinesin inhibitors

INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.; Chabala, John C.; Morgans, David J., Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427

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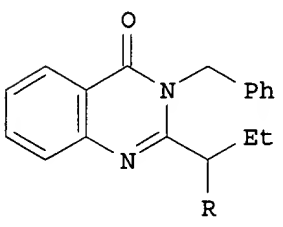
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-213104P P 20000621
US 2000-699047 A 20001024

OTHER SOURCE(S): MARPAT 136:53759

GI



II

AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepd. Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

IT **288261-76-7P 288261-77-8P 289672-01-1P**
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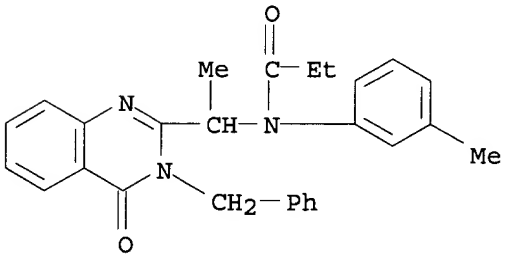
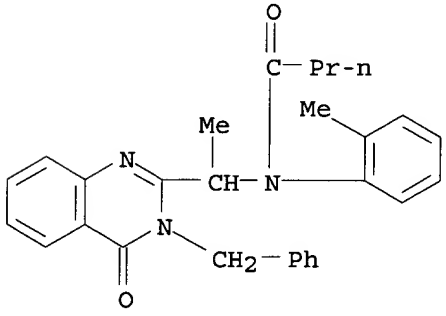
336116-41-7P 336116-43-9P 336116-45-1P
336116-47-3P 336116-49-5P 336116-51-9P
336116-53-1P 336116-55-3P 336116-57-5P
336116-59-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acylquinazolinonealkylamines as **KSP** kinesin inhibitors)

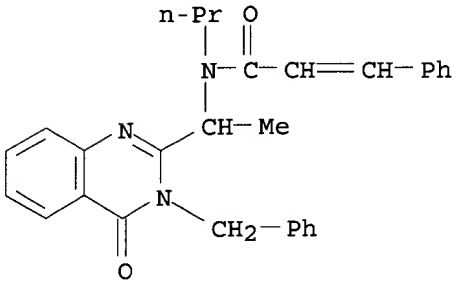
RN 288261-76-7 CAPLUS
CN Propanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



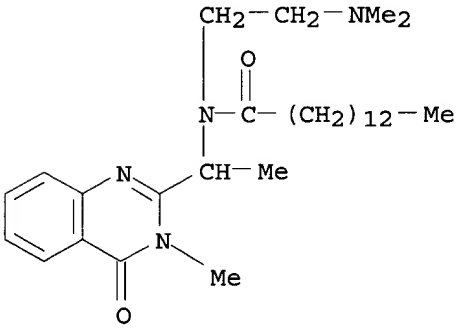
RN 288261-77-8 CAPLUS
CN Butanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



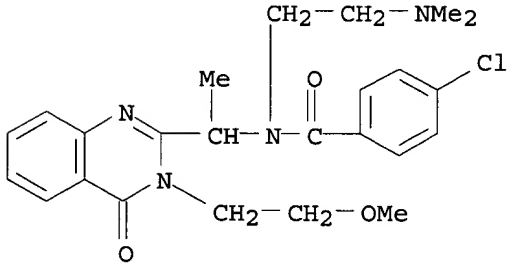
RN 289672-01-1 CAPLUS
CN 2-Propenamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-3-phenyl-N-propyl- (9CI) (CA INDEX NAME)



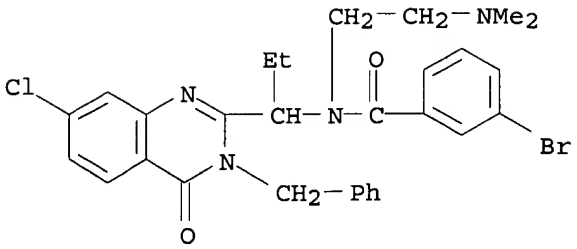
RN 299444-93-2 CAPLUS
CN Tetradecanamide, N-[1-(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



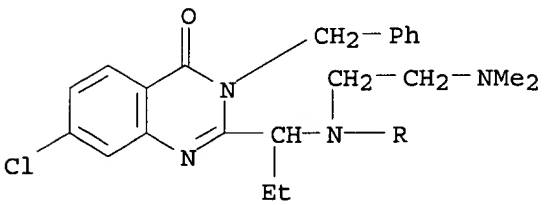
RN 299446-39-2 CAPLUS
CN Benzamide, 4-chloro-N-[1-[3,4-dihydro-3-(2-methoxyethyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

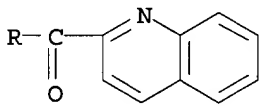


RN 299446-79-0 CAPLUS
CN 2-Naphthalenecarboxamide, N-[1-[3,4-dihydro-3-(2-methoxyethyl)-4-oxo-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 336116-59-7 CAPLUS
CN 2-Quinolinecarboxamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)





IT **336116-61-1P 336116-63-3P 336116-65-5P**
336116-67-7P 336116-69-9P 336116-71-3P
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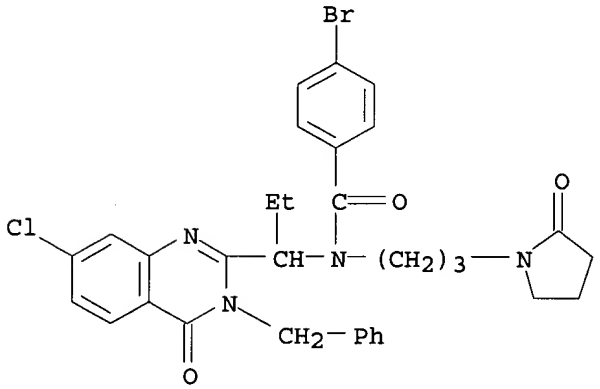
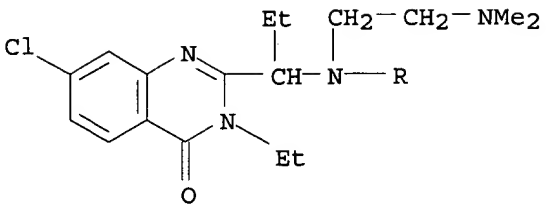
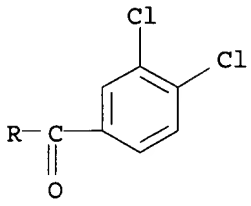
336125-01-0P 383191-98-8P 383192-12-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acylquinazolinonealkylamines as **KSP** kinesin inhibitors)

RN 336116-61-1 CAPLUS
CN Benzamide, 4-bromo-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

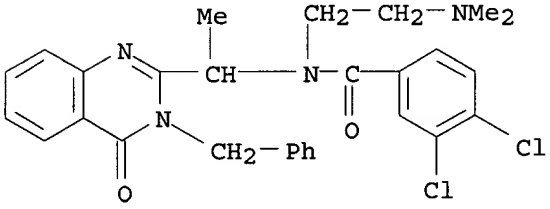


RN 336116-63-3 CAPLUS
CN Benzamide, 3,4-dichloro-N-[1-(7-chloro-3-ethyl-3,4-dihydro-4-oxo-2-quinazolinyl)propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

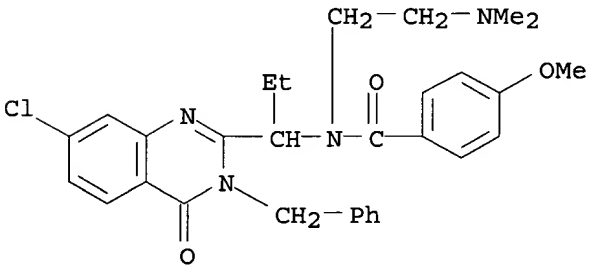




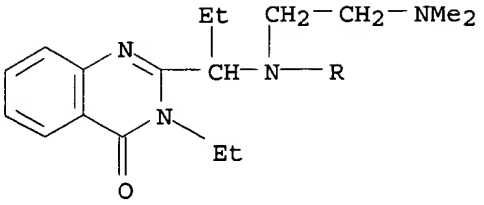
RN 336116-65-5 CAPLUS
CN Benzamide, 3,4-dichloro-N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

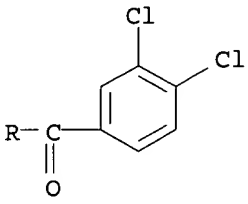


RN 336116-67-7 CAPLUS
CN Benzamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]-4-methoxy- (9CI) (CA INDEX NAME)



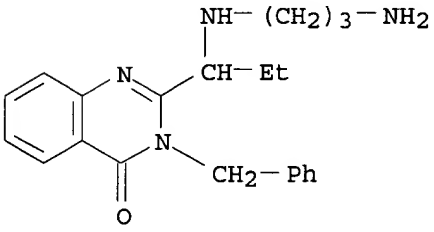
RN 336116-69-9 CAPLUS
CN Benzamide, 4-bromo-N-[1-[3,4-dihydro-7-methyl-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



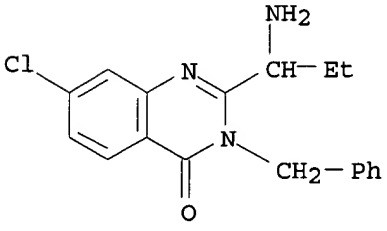


IT **336119-86-9DP**, resin bound **336119-87-0P**
336119-90-5P 383192-88-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-acylquinazolinonealkylamines as **KSP** kinesin inhibitors)

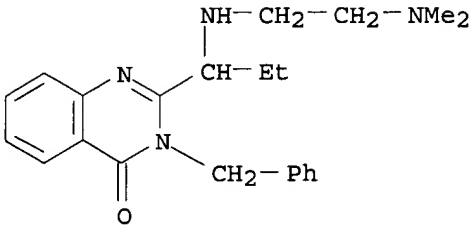
RN 336119-86-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[(3-aminopropyl)amino]propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 336119-87-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-(1-aminopropyl)-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



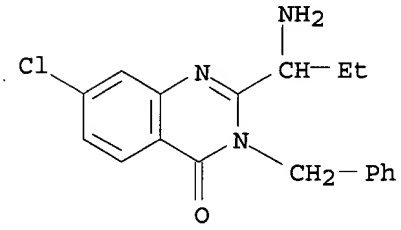
RN 336119-90-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[[2-(dimethylamino)ethyl]amino]propyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 383192-88-9 CAPLUS
CN 4(3H)-Quinazolinone, 2-(1-aminopropyl)-7-chloro-3-(phenylmethyl)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

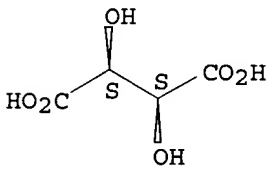
CRN 336119-87-0
CMF C18 H18 Cl N3 O



CM 2

CRN 147-71-7
CMF C4 H6 O6
CDES 1:S2:R*,R*

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:869018 CAPLUS
DOCUMENT NUMBER: 136:700
TITLE: Allosteric inhibitors of pyruvate kinase for therapeutic use
INVENTOR(S): Abraham, Donald J.; Wang, Changging; Danso-Danquah, Richmond; Burnett, James C.; Joshi, Gajanan S.; Hoffman, Steven J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. 6,214,879.
CODEN: USXXCO

09/ 724,941

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001046997	A1	20011129	US 2001-799873	20010307
US 6214879	B1	20010410	US 1998-46643	19980324

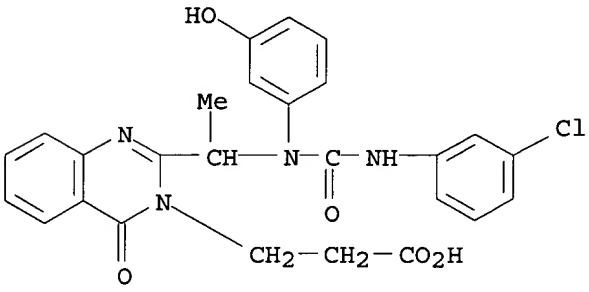
PRIORITY APPLN: INFO.: US 1998-46643 A2 19980324

AB Chem. structures have been identified which allosterically modify pyruvate kinase and inhibit enzymic activity. These compds. can be used as pharmaceuticals in the treatment of a wide variety of diseases and disorders where influencing metabolic processes is beneficial, e.g. the glycolytic pathway, all pathways which use ATP as an energy source, and all pathways which involve 2,3-diphosphoglycerate related to the delivery of oxygen by modifying Hb's oxygen affinity, treatments of tumor and **cancer** and Alzheimer's disease. Prepn. of e.g. 2-phenylethyloxy-5-formylbenzoic acid is described.

IT **375823-98-6**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyruvate kinase allosteric inhibitors for therapeutic use)

RN 375823-98-6 CAPLUS

CN 3(4H)-Quinazolinepropanoic acid, 2-[1-[[[(3-chlorophenyl)amino]carbonyl](3-hydroxyphenyl)amino]ethyl]-4-oxo- (9CI) (CA INDEX NAME)



L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:798224 CAPLUS
DOCUMENT NUMBER: 135:357937
TITLE: Quinazolinone derivatives as inhibitors of human phosphatidylinositol 3-kinase delta
INVENTOR(S): Sadhu, Chanchal; Dick, Ken; Treiberg, Jennifer; Sowell, C. Gregory; Kesicki, Edward A.; Oliver, Amy
PATENT ASSIGNEE(S): Icos Corporation, USA
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081346	A2	20011101	WO 2001-US13315	20010424
WO 2001081346	A3	20020321		

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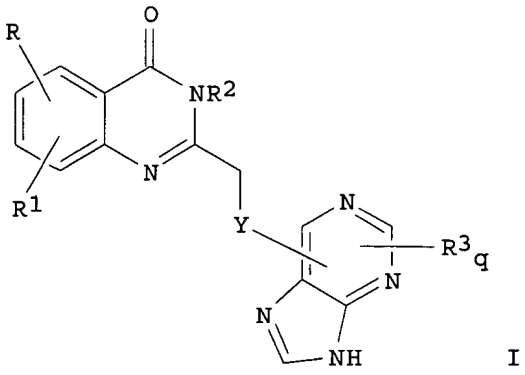
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2000-199655P P 20000425
US 2000-238057P P 20001005
OTHER SOURCE(S): MARPAT 135:357937
GI



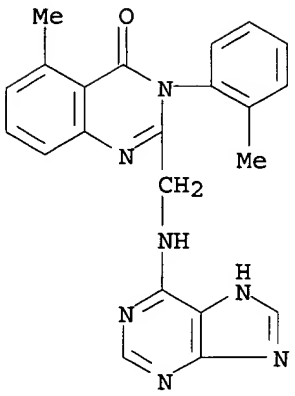
I

AB Methods of inhibiting phosphatidylinositol 3-kinase delta isoform (PI3K.delta.) activity, and methods of treating diseases, such as disorders of immunity and inflammation, in which PI3K.delta. plays a role in leukocyte function are claimed. Preferably, the methods employ active agents that selectively inhibit PI3K.delta., while not significantly inhibiting activity of other PI3K isoforms. Compds. are provided that inhibit PI3K.delta. activity, including compds. that selectively inhibit PI3K.delta. activity. The compds. claimed are all quinazolin-4-one derivs., including I [Y = null, S, NH; R = H, halo, OH, OME, Me, CF3; R1 = H, OMe, halo; RR1 together with C-6 and C-7 of quinazoline ring define a 5- or 6-membered arom. ring optionally contg. .gtoreq. 1 O, N or S; R2 = C1-6 alkyl, Ph, halophenyl, alkylphenyl, biphenyl, PhCH2, pyridinyl, 4-methylpiperazinyl, CO2Et, morpholinyl; R3 = NH2, halo, C1-3 alkyl, S(C1-3 alkyl), OH, NH(C1-3 alkyl), N(C1-3 alkyl)2, NH(C1-3 alkylenephenyl); q = 1, 2] and pharmaceutically acceptable salts and solvates thereof. Methods of using PI3K.delta. inhibitory compds. to inhibit **cancer** cell growth or **proliferation** are also provided. Accordingly, the invention provides methods of using PI3K.delta. inhibitory compds. to inhibit PI3K.delta.-mediated processes in vitro and in vivo. Thus, in an example, dose-dependent decrease in histamine release from basophils when stimulated with anti-IgE was 100% at 1,000 nM, with an EC50 of about 25 nM for I (Y = S, R = 5-Me, R1 = H, R2 = 2-ClC6H4, R3 = H; S connected to 6-position of purine ring; prepn. given).

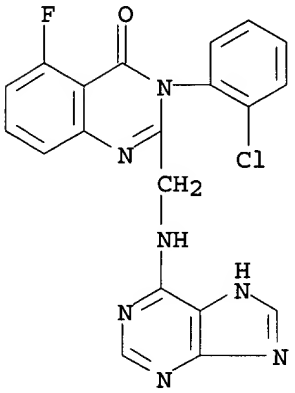
IT **371243-12-8P 371243-13-9P 371243-14-0P**
371243-15-1P 371243-17-3P 371243-18-4P
371243-22-0P 371243-23-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and inhibition of human phosphatidylinositol kinase by)

RN 371243-12-8 CAPLUS

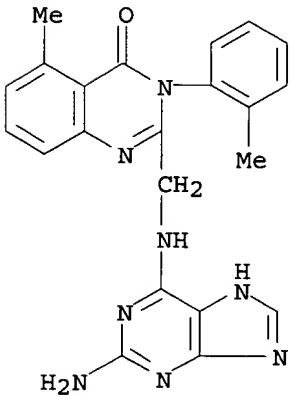
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-methylphenyl)-2-[(1H-purin-6-ylamino)methyl]- (9CI) (CA INDEX NAME)



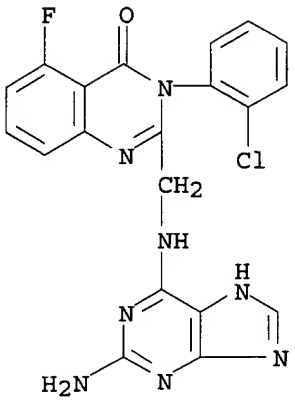
RN 371243-13-9 CAPLUS
CN 4(3H)-Quinazolinone, 3-(2-chlorophenyl)-5-fluoro-2-[(1H-purin-6-ylamino)methyl]- (9CI) (CA INDEX NAME)



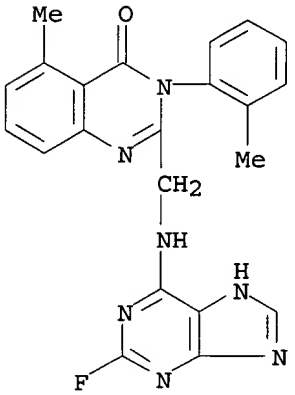
RN 371243-14-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[(2-amino-1H-purin-6-yl)amino]methyl]-5-methyl-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



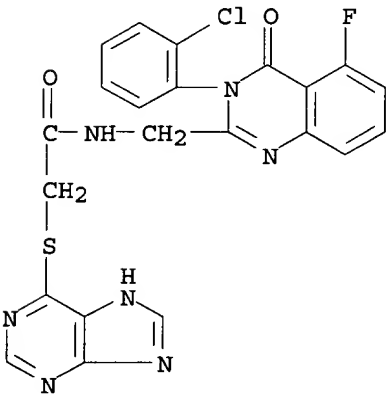
RN 371243-15-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[(2-amino-1H-purin-6-yl)amino]methyl]-3-(2-chlorophenyl)-5-fluoro- (9CI) (CA INDEX NAME)



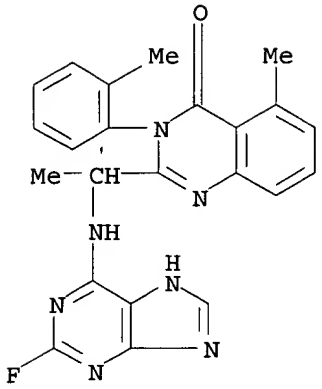
RN 371243-17-3 CAPLUS
CN 4(3H)-Quinazolinone, 2-[[(2-fluoro-1H-purin-6-yl)amino]methyl]-5-methyl-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



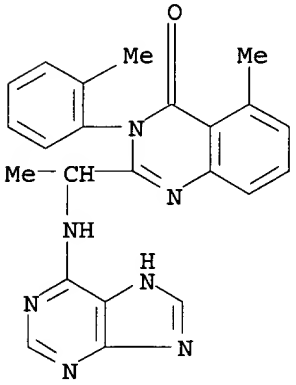
RN 371243-18-4 CAPLUS
CN Acetamide, N-[[3-(2-chlorophenyl)-5-fluoro-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]-2-(1H-purin-6-ylthio)- (9CI) (CA INDEX NAME)



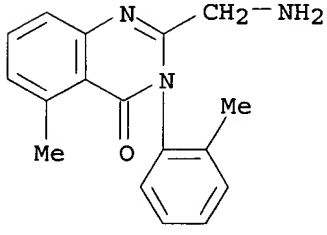
RN 371243-22-0 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1-[(2-fluoro-1H-purin-6-yl)amino]ethyl]-5-methyl-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



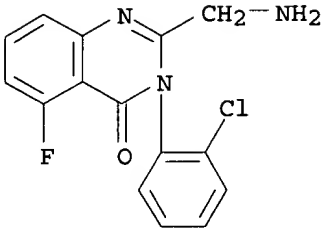
RN 371243-23-1 CAPLUS
CN 4(3H)-Quinazolinone, 5-methyl-3-(2-methylphenyl)-2-[1-(1H-purin-6-ylamino)ethyl]- (9CI) (CA INDEX NAME)



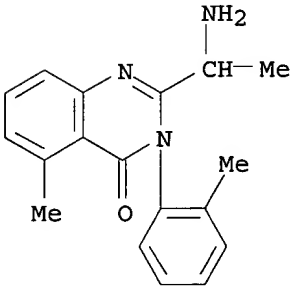
IT **371244-07-4P 371244-08-5P 371244-09-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and substitution reaction of, with chloropurine derivs.)
RN 371244-07-4 CAPLUS
CN 4(3H)-Quinazolinone, 2-(aminomethyl)-5-methyl-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 371244-08-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-(aminomethyl)-3-(2-chlorophenyl)-5-fluoro- (9CI) (CA INDEX NAME)



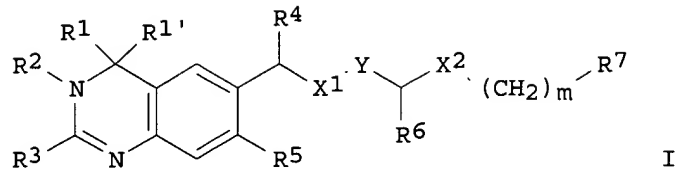
RN 371244-09-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-(1-aminoethyl)-5-methyl-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:608742 CAPLUS
DOCUMENT NUMBER: 133:207917
TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity
INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1155012	A1	20011121	EP 2000-905212	20000224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: GB 1999-4275 A 19990224
WO 2000-GB655 W 20000224
OTHER SOURCE(S): MARPAT 133:207917
GI



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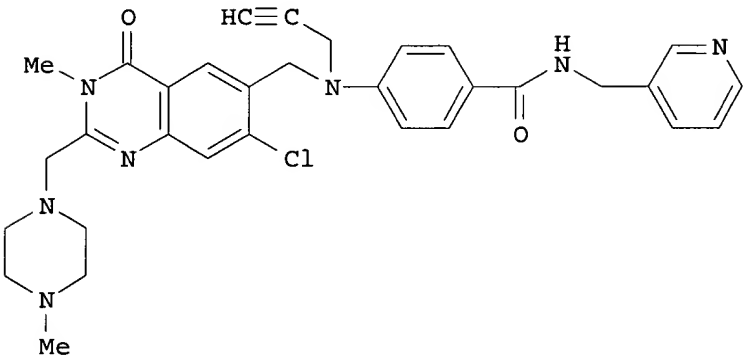


II

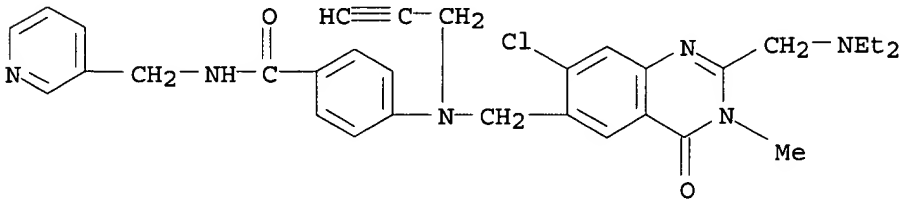
AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)pA; p = 1-4; A = 5- or 6-membered N-contg. heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically acceptable salts thereof, were prepd. for the treatment or prevention of **cancer**. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu ester (multi-step prepn. given) with TFA in CH2Cl2, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP.RTM. in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W1l2:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addn., II demonstrated antitumor activity against CH1 ovarian and HT29 colon **cancer** cells in nude mice at doses that were tolerated.

IT **289715-29-3P**, CB 300922
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anticancer agent; prepn. of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-29-3 CAPLUS

09/ 724,941

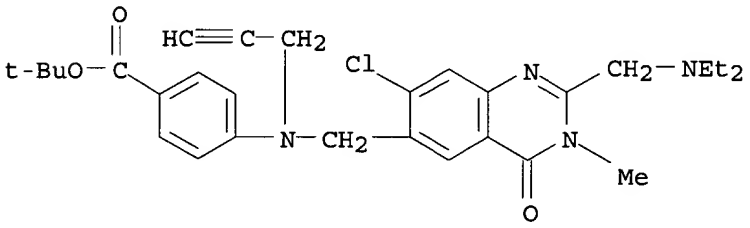
CN Benzamide, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



IT **289686-91-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289686-91-5 CAPLUS

CN Benzoic acid, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 10:36:56 ON 22 JUL 2002)

FILE 'REGISTRY' ENTERED AT 10:37:20 ON 22 JUL 2002
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 36714 S L1 FUL

FILE 'CAPLUS' ENTERED AT 10:39:42 ON 22 JUL 2002
L4 248 S L3
L5 21 S L4 AND (CARBONYL OR CARBOXAMID? OR SULFON?)
L6 6 S L4 AND (PROLIFERATI? OR KSP OR CANCER? OR HYPERPLASIA)
L7 5 S L6 NOT L5

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	128.28	269.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

09/ 724,941

CA SUBSCRIBER PRICE -16.11 -16.11

STN INTERNATIONAL LOGOFF AT 10:43:47 ON 22 JUL 2002